Room ~~CM1-4E01~~ CM1-4E01

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

113081

Requestor's Name: Houng Lin 77011 Serial Number: 10/072,308

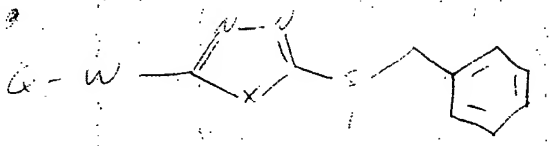
Date: 11/22/04 Phone: 306-5814 Art Unit: 1624

Search T pic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

Barb please !

A method of treating a disease associated with the glycine transporter with the compound.



E Laborde
H Villar

Inhibitors of Glycine transporter 2

STAFF USE ONLY

Date completed: 1-29-04
Searcher: BOB
Terminal time: 17
Elapsed time: prep 20
CPU time:
Total time:
Number of Searches:
Number of Databases:

Search Site
- ____ STIC
- ____ CM-1
- ____ Pre-S

Type of Search
- ____ N.A. Sequence
- ____ A.A. Sequence
- 1 Structure
- ____ Bibliographic

Vendors
- ____ IG
- 404 STN
- ____ Dialog
- ____ APS
- ____ Geninfo
- ____ SDC
- ____ DARC/Questel
- ____ Other

PTO-1580 (9-90)

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact*:**

Mary Hale, Information Branch Supervisor
Remsen Bldg. 01 D86
571-272-2507

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found**:*
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library, Remsen Bldg.

```
=> fil reg; d stat que l8; fil capl; d que nos l26; fil uspatf; d que nos l44
FILE 'REGISTRY' ENTERED AT 15:55:38 ON 29 JAN 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   28 JAN 2004  HIGHEST RN 642928-00-5
DICTIONARY FILE UPDATES:  28 JAN 2004  HIGHEST RN 642928-00-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L6                STR
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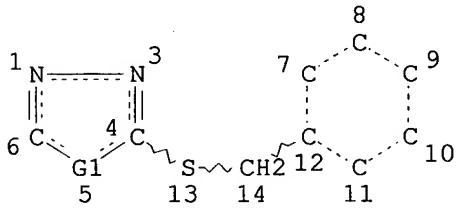


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VAR G1=O/S/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L8        18655 SEA FILE=REGISTRY SSS FUL L6

100.0% PROCESSED  38914 ITERATIONS                    18655 ANSWERS
SEARCH TIME: 00.00.01


FILE 'CAPLUS' ENTERED AT 15:55:38 ON 29 JAN 2004
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FILE COVERS 1907 - 29 Jan 2004 VOL 140 ISS 5
FILE LAST UPDATED: 28 Jan 2004 (20040128/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L6		STR
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L9	863	SEA FILE=CAPLUS ABB=ON L8
L10	1082	SEA FILE=CAPLUS ABB=ON GLYCINE/OBI(2A)TRANSPORT?/OBI
L11	490	SEA FILE=CAPLUS ABB=ON GLYCINERGIC/OBI(L)NEUROTRANSMI?/OBI
L12	4013	SEA FILE=CAPLUS ABB=ON NERVOUS SYSTEM AGENTS/CT
L13	7640	SEA FILE=CAPLUS ABB=ON NERVOUS SYSTEM, DISEASE/CT
L14	15165	SEA FILE=CAPLUS ABB=ON (MUSCLE#/OBI OR MUSCULAR/OBI)(L)(DISEASE#/OBI OR DISORDER#/OBI)
L15	10107	SEA FILE=CAPLUS ABB=ON PSYCHOS!S/OBI OR PSYCHOTIC?/OBI OR ANTIPSYCHOTIC?/OBI
L16	11347	SEA FILE=CAPLUS ABB=ON PAIN/CT
L17	9114	SEA FILE=CAPLUS ABB=ON ANALGESIA/CT
L18	23794	SEA FILE=CAPLUS ABB=ON EPILEP?/OBI OR ANTIEPILEP?/OBI OR SEIZURE#/OBI
L19	5054	SEA FILE=CAPLUS ABB=ON NEURODEGEN?/OBI
L20	9614	SEA FILE=CAPLUS ABB=ON STROKE/OBI
L21	9469	SEA FILE=CAPLUS ABB=ON (HEAD/OBI OR BRAIN/OBI OR CEREBRAL/OBI)(L)(TRAUMA#/OBI OR INJUR?/OBI)
L22	8601	SEA FILE=CAPLUS ABB=ON MULTIPLE SCLEROSIS/OBI
L23	912	SEA FILE=CAPLUS ABB=ON SPASTIC?/OBI
L24	622	SEA FILE=CAPLUS ABB=ON MYOCLON?/OBI
L26	24	SEA FILE=CAPLUS ABB=ON L9 AND (L10 OR L11 OR L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23 OR L24)

FILE 'USPATFULL' ENTERED AT 15:55:38 ON 29 JAN 2004

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Jan 2004 (20040127/PD)
FILE LAST UPDATED: 27 Jan 2004 (20040127/ED)
HIGHEST GRANTED PATENT NUMBER: US6684403
HIGHEST APPLICATION PUBLICATION NUMBER: US2004016035
CA INDEXING IS CURRENT THROUGH 27 Jan 2004 (20040127/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Jan 2004 (20040127/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<

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>>> are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.                                                        <<<

>>> USPATFULL and USPAT2 can be accessed and searched together        <<<
>>> through the new cluster USPATALL.  Type FILE USPATALL to         <<<
>>> enter this cluster.                                              <<<
>>>                                                                  <<<
>>> Use USPATALL when searching terms such as patent assignees,      <<<
>>> classifications, or claims, that may potentially change from     <<<
>>> the earliest to the latest publication.                          <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L6              STR
L8          18655 SEA FILE=REGISTRY SSS FUL L6
L27           735 SEA FILE=REGISTRY ABB=ON  L8 AND USPATFULL/LC
L28           227 SEA FILE=USPATFULL ABB=ON  L27
L29            39 SEA FILE=USPATFULL ABB=ON  (GLYCINE(2A)TRANSPORT?)/IT
L30            28 SEA FILE=USPATFULL ABB=ON  (GLYCINERGIC(L)NEUROTRANSMI?)/IT
L31          2184 SEA FILE=USPATFULL ABB=ON  NERVOUS SYSTEM AGENTS/CT
L32          1391 SEA FILE=USPATFULL ABB=ON  NERVOUS SYSTEM, DISEASE/CT
L33          2169 SEA FILE=USPATFULL ABB=ON  ((MUSCLE# OR MUSCULAR)(L)(DISEASE#
                  OR DISORDER#))/IT
L34          2232 SEA FILE=USPATFULL ABB=ON  (PSYCHOS!S OR PSYCHOTIC? OR
                  ANTIPSYCHOTIC?)/IT
L35          1020 SEA FILE=USPATFULL ABB=ON  PAIN/CT
L36           115 SEA FILE=USPATFULL ABB=ON  ANALGESIA/CT
L37          1958 SEA FILE=USPATFULL ABB=ON  (EPILEP?  OR ANTIEPILEP? OR SEIZURE#)
                  /IT
L38           494 SEA FILE=USPATFULL ABB=ON  NEURODEGEN?/IT
L39          2612 SEA FILE=USPATFULL ABB=ON  STROKE/IT
L40          1073 SEA FILE=USPATFULL ABB=ON  ((HEAD OR BRAIN OR CEREBRAL)(L)(TRAU
                  MA# OR INJUR?))/IT
L41          2511 SEA FILE=USPATFULL ABB=ON  (MULTIPLE SCLEROSIS)/IT
L42           125 SEA FILE=USPATFULL ABB=ON  SPASTIC?/IT
L43            47 SEA FILE=USPATFULL ABB=ON  MYOCLON?/IT
L44            10 SEA FILE=USPATFULL ABB=ON  L28 AND (L29 OR L30 OR L31 OR L32
                  OR L33 OR L34 OR L35 OR L36 OR L37 OR L38 OR L39 OR L40 OR L41
                  OR L42 OR L43)


=> dup rem 126,144
FILE 'CAPLUS' ENTERED AT 15:55:43 ON 29 JAN 2004
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FILE 'USPATFULL' ENTERED AT 15:55:43 ON 29 JAN 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L26
PROCESSING COMPLETED FOR L44
L46            31 DUP REM L26 L44 (3 DUPLICATES REMOVED)
                  ANSWERS '1-24' FROM FILE CAPLUS
                  ANSWERS '25-31' FROM FILE USPATFULL

=> d ibib abs hitstr 1-31; fil hom

L46  ANSWER 1 OF 31  CAPLUS  COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2003:150554  CAPLUS
DOCUMENT NUMBER:          138:188073
```
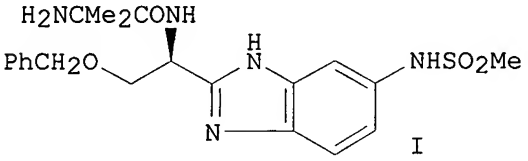

TITLE: Preparation of dipeptide heterocyclic aromatic compounds as growth hormone secretagogues
INVENTOR(S): Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 506,749, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525203	B1	20030225	US 2000-662448	20000914
US 6518292	B1	20030211	US 2000-506749	20000218
ZA 2001006854	A	20021120	ZA 2001-6854	20010820
US 6660760	B1	20031209	US 2002-282182	20021028
US 2004002525	A1	20040101	US 2002-281818	20021028

PRIORITY APPLN. INFO.: US 1999-124131P P 19990312
US 1999-154919P P 19990921
US 2000-506749 A2 20000218
OTHER SOURCE(S): MARPAT 138:188073
GI



I

AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = substituted 2-benzoxazolyl, 2-benzothiazolyl, or 2-benzimidazolyl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl; Y = phenylene, (phenylene-interrupted)alkylene, (un)substituted alkylene, aza- or oxaalkylene, or alkenylene] were prepd. as growth hormone prodn. and/or release stimulants. Thus, dipeptide benzimidazole deriv. I (Boc = tert-butoxycarbonyl) was prepd. by a multistep procedure starting from Boc-D-Ser(CH2Ph)-OH, 4-nitro-o-phenylenediamine, Boc-methylalanine, and MeSO2Cl.

IT **295331-57-6P 295331-59-8P 295332-80-8P**
295332-84-2P 295332-85-3P 295333-05-0P
295333-06-1P 295333-07-2P 295333-08-3P
295333-09-4P 295333-11-8P 295333-13-0P
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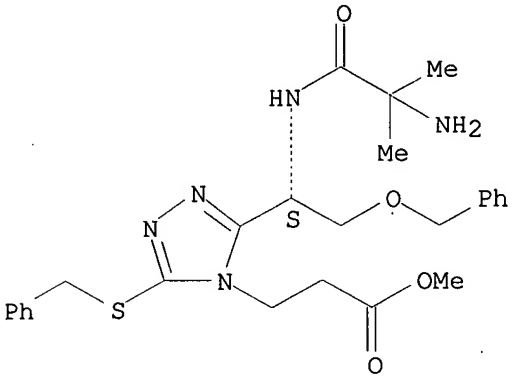
295333-38-9P 295333-39-0P 295333-40-3P
295333-41-4P 295333-42-5P 295333-44-7P
295333-45-8P 295333-47-0P 295333-48-1P
295333-49-2P 295333-52-7P 295333-53-8P
295333-62-9P 295333-63-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dipeptide heterocyclic arom. compds. as growth hormone secretagogues)

RN 295331-57-6 CAPLUS

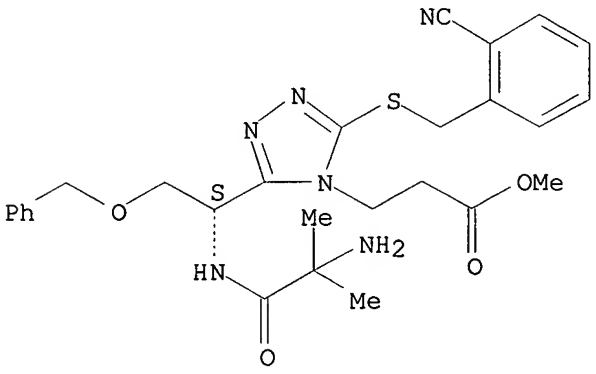
CN 4H-1,2,4-Triazole-4-propanoic acid, 3-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-5-[(phenylmethyl)thio]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



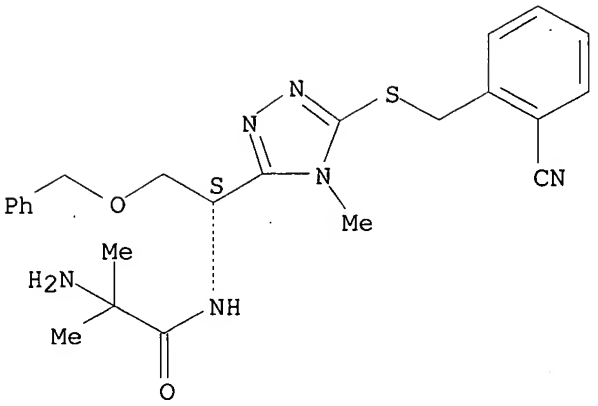
RN 295331-59-8 CAPLUS
CN 4H-1,2,4-Triazole-4-propanoic acid, 3-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-5-[[(2-cyanophenyl)methyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 295332-80-8 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(2-cyanophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 295332-84-2 CAPLUS
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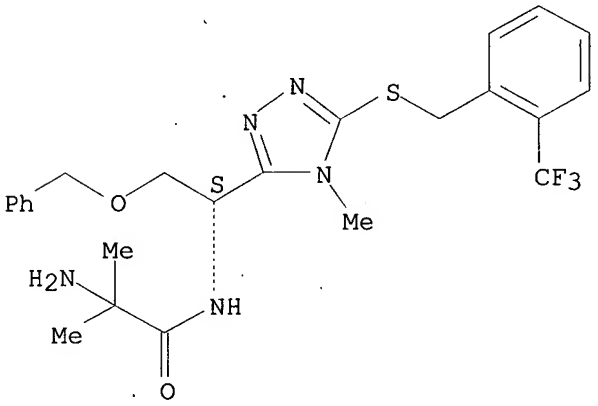
Absolute stereochemistry.



RN 295332-85-3 CAPLUS
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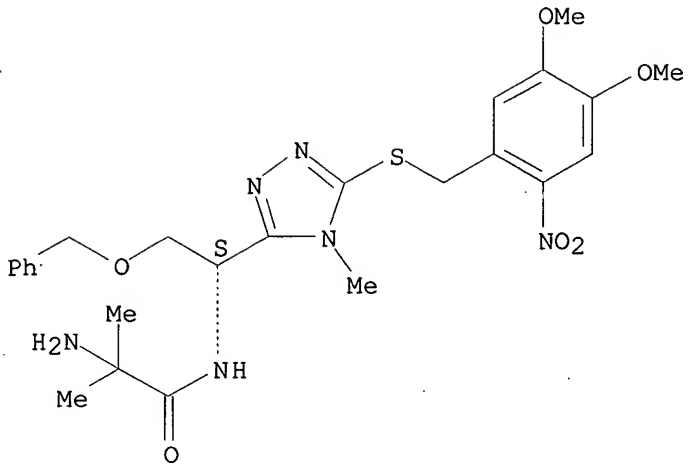
Absolute stereochemistry.



RN 295333-05-0 CAPLUS
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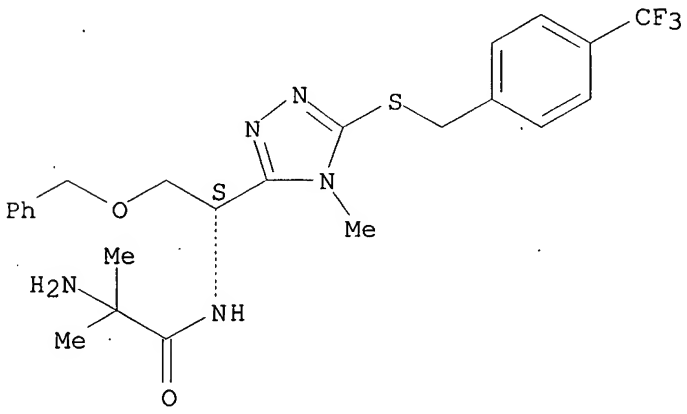
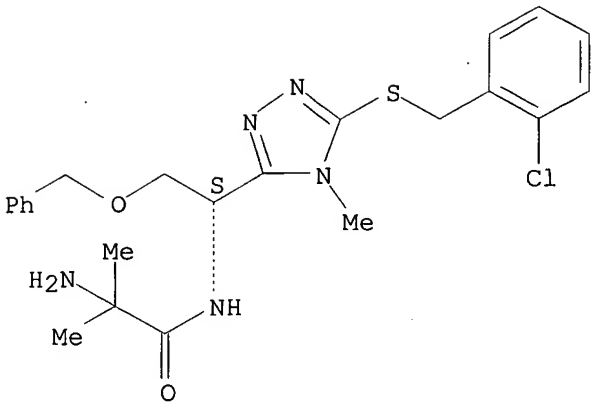
Absolute stereochemistry.



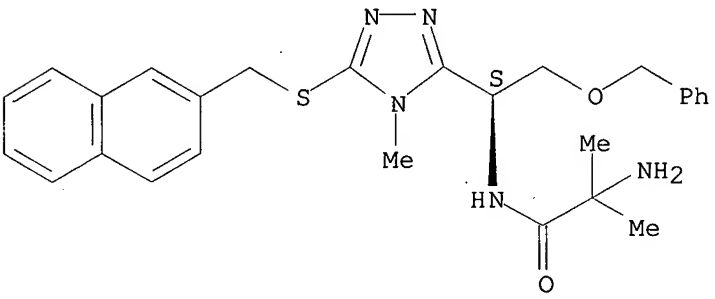
RN 295333-06-1 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(2-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



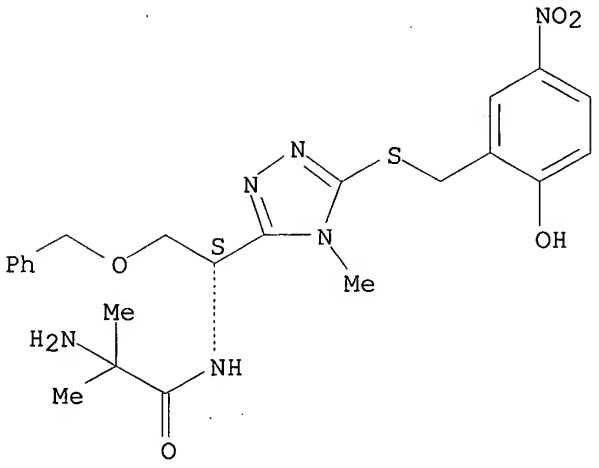
RN 295333-07-2 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[(2-naphthalenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



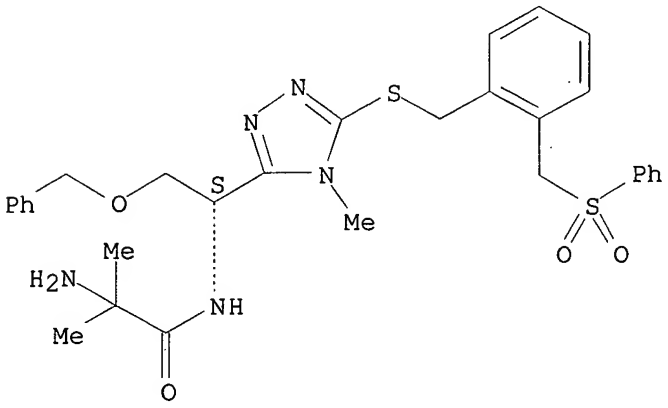
RN 295333-08-3 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(2-hydroxy-5-nitrophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



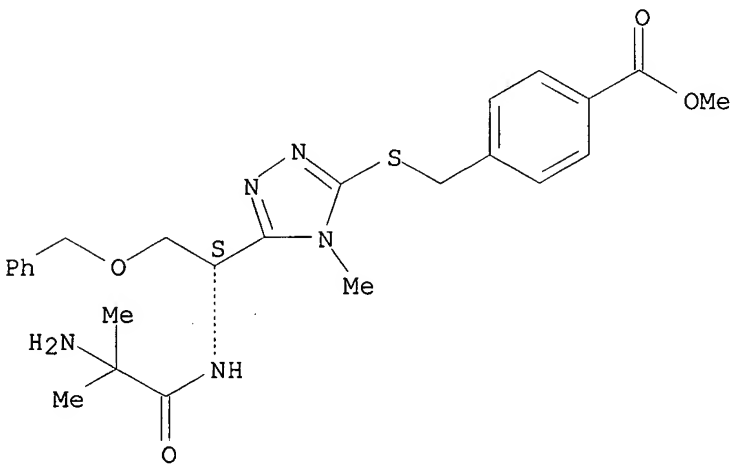
RN 295333-09-4 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[2-[(phenylsulfonyl)methyl]phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



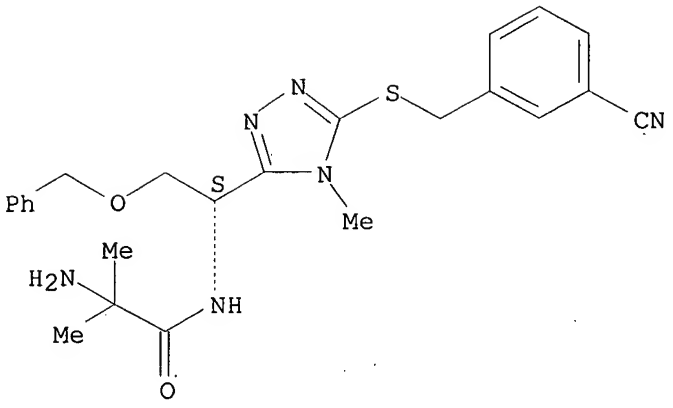
RN 295333-11-8 CAPLUS
CN Benzoic acid, 4-[[[5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-4-methyl-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 295333-13-0 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(3-cyanophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 295333-14-1 CAPLUS
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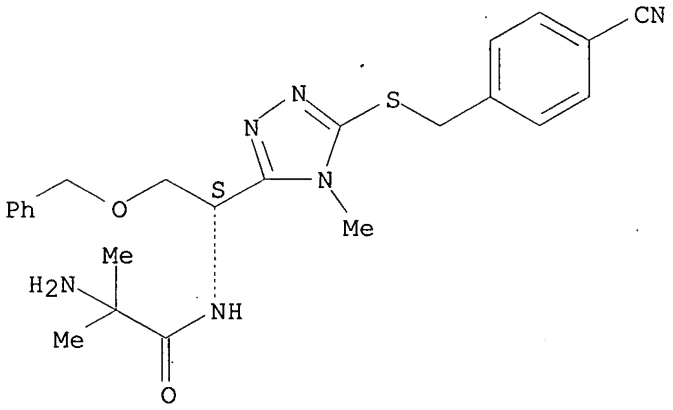
Absolute stereochemistry.



RN 295333-15-2 CAPLUS
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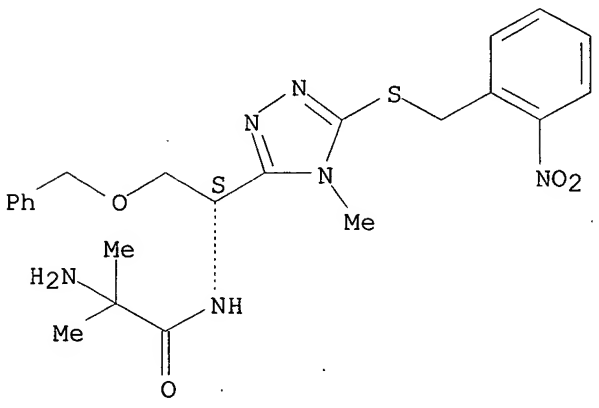
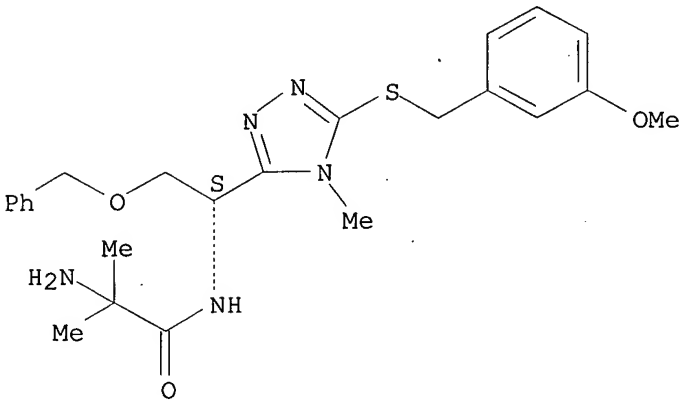
Absolute stereochemistry.



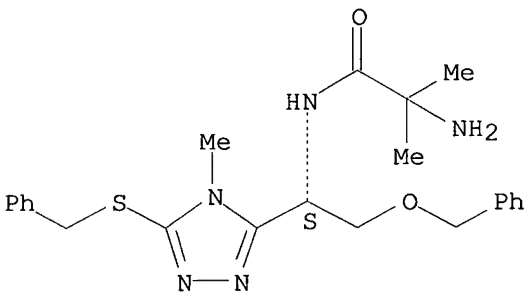
RN 295333-16-3 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(3-methoxyphenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



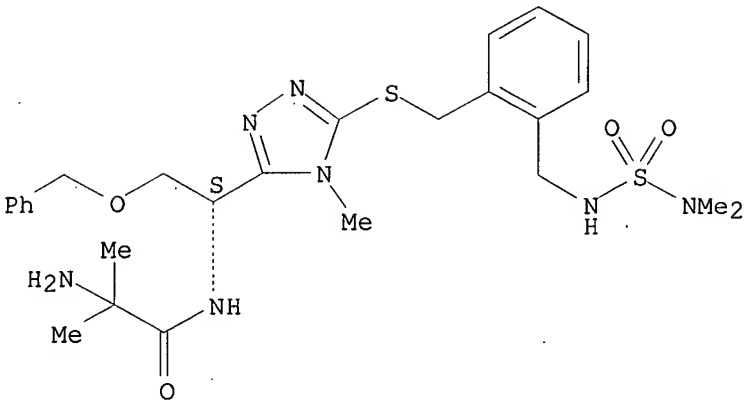
RN 295333-20-9 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



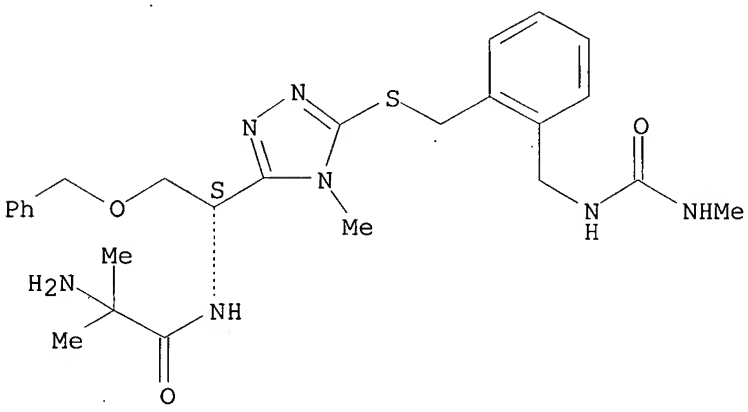
RN 295333-26-5 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[2-[[[(dimethylamino)sulfonyl]amino]methyl]phenyl]methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



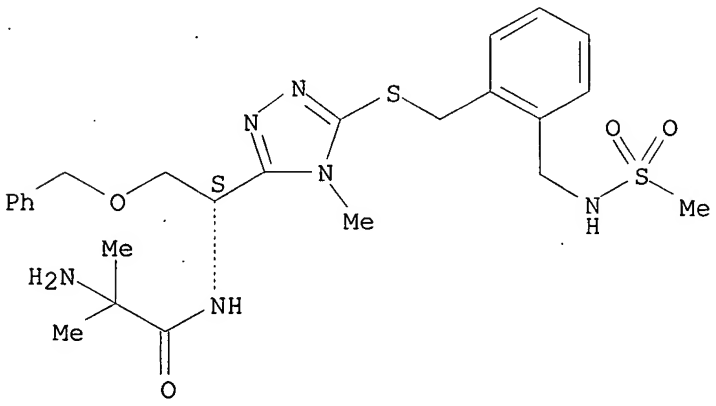
RN 295333-33-4 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[2-[[[(methylamino)carbonyl]amino]methyl]phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



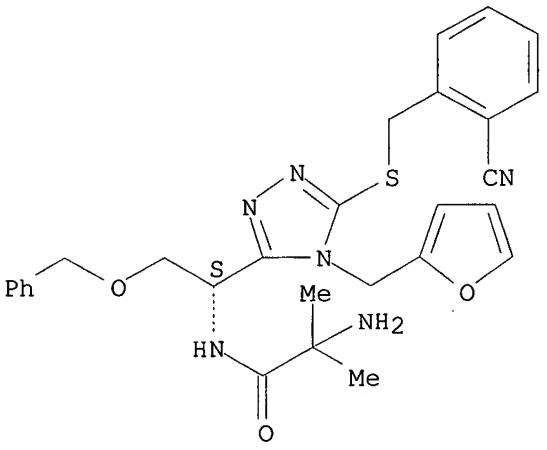
RN 295333-34-5 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(1S)-1-[4-methyl-5-[[[2-[[(methylsulfonyl)amino]methyl]phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



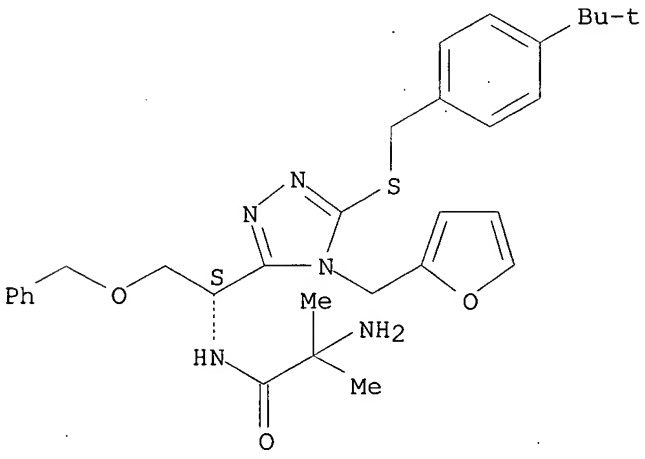
RN 295333-36-7 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(2-cyanophenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



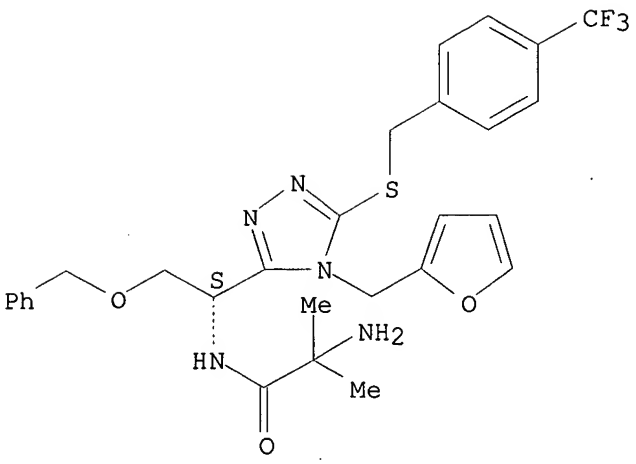
RN 295333-37-8 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[[4-(1,1-dimethylethyl)phenyl]methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



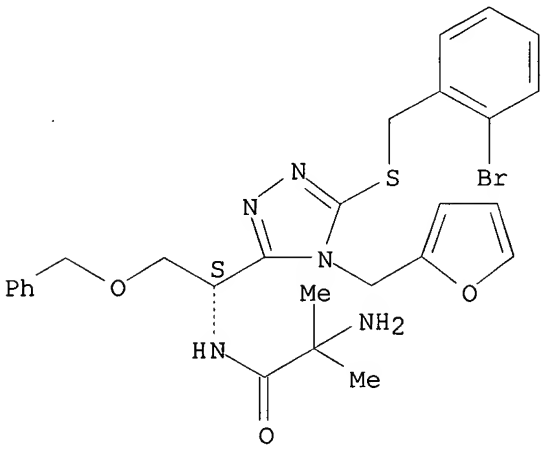
RN 295333-38-9 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[[4-(trifluoromethyl)phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



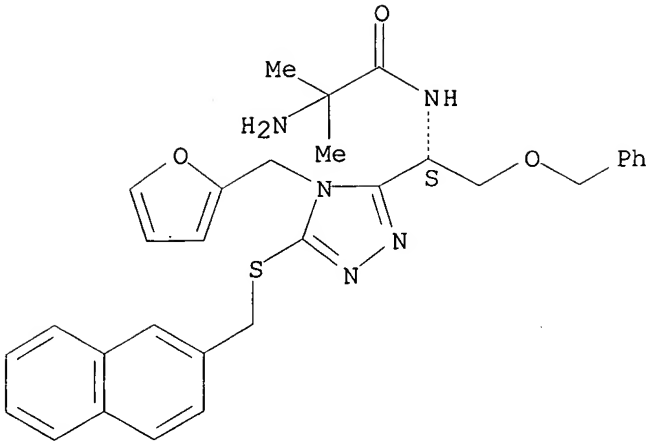
RN 295333-39-0 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(2-bromophenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



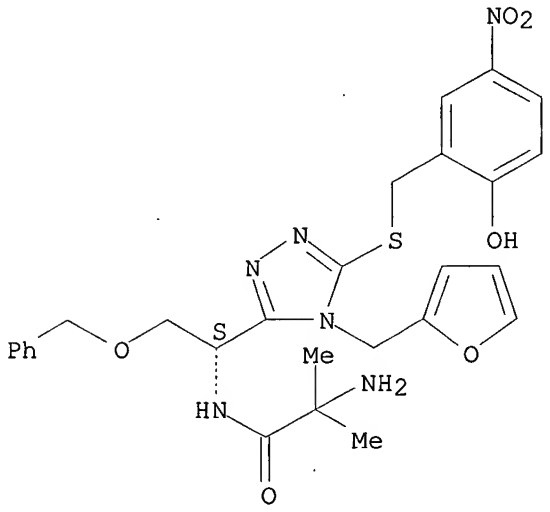
RN 295333-40-3 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[(2-naphthalenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



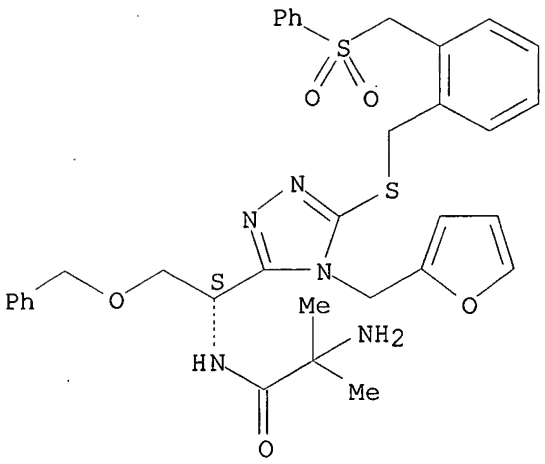
RN 295333-41-4 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[(2-hydroxy-5-nitrophenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



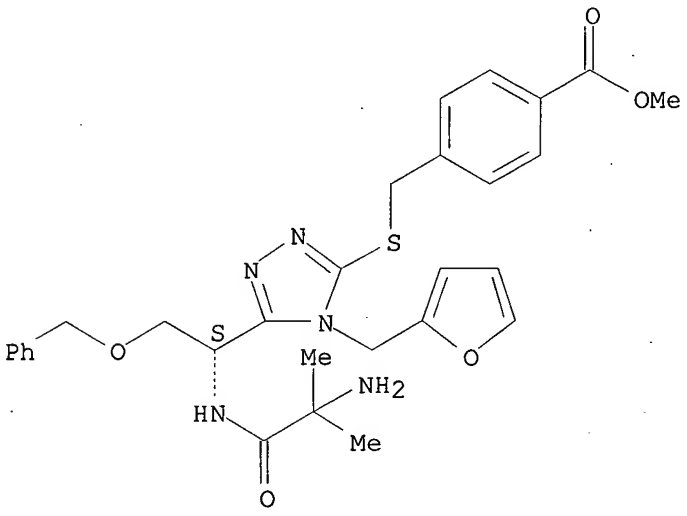
RN 295333-42-5 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[[2-[(phenylsulfonyl)methyl]phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



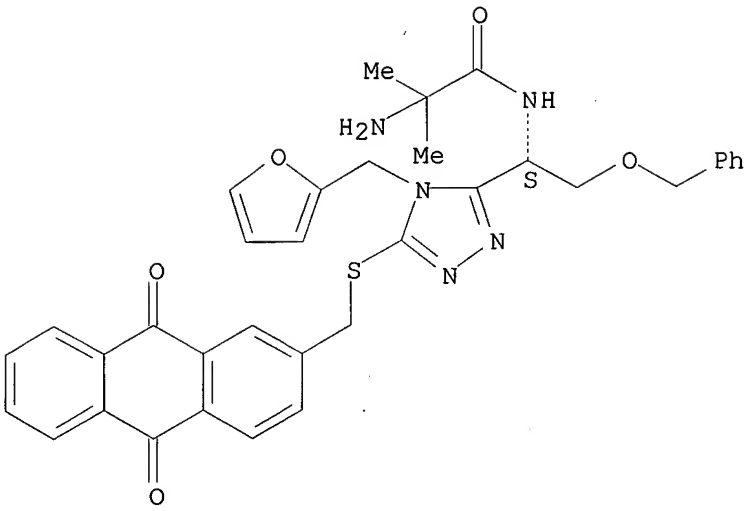
RN 295333-44-7 CAPLUS
CN Benzoic acid, 4-[[[5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



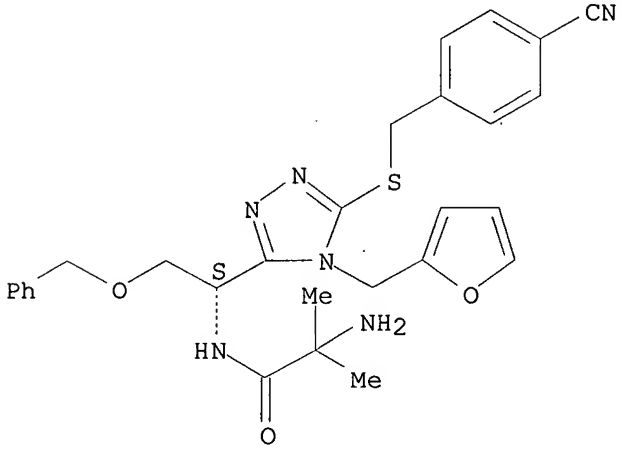
RN 295333-45-8 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(9,10-dihydro-9,10-dioxo-2-anthracenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



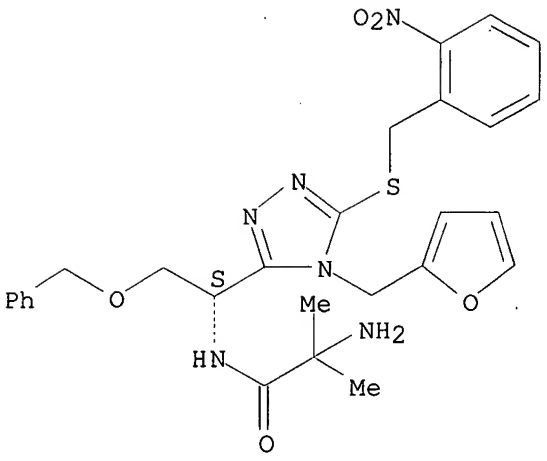
RN 295333-47-0 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(4-cyanophenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



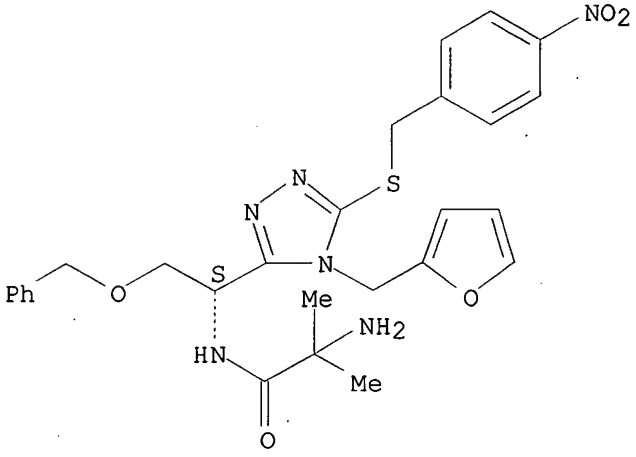
RN 295333-48-1 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[(2-nitrophenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



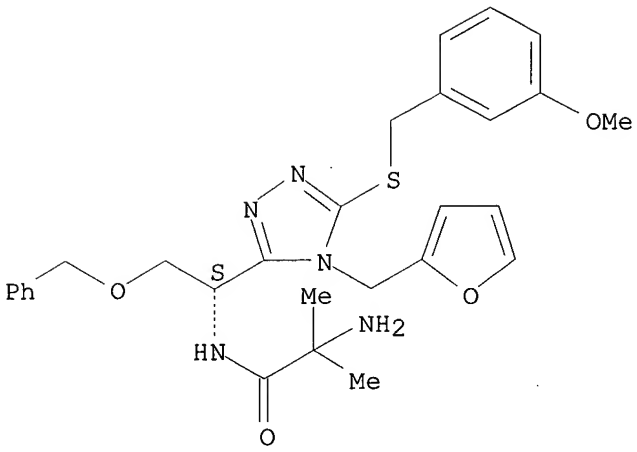
RN 295333-49-2 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[(4-nitrophenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



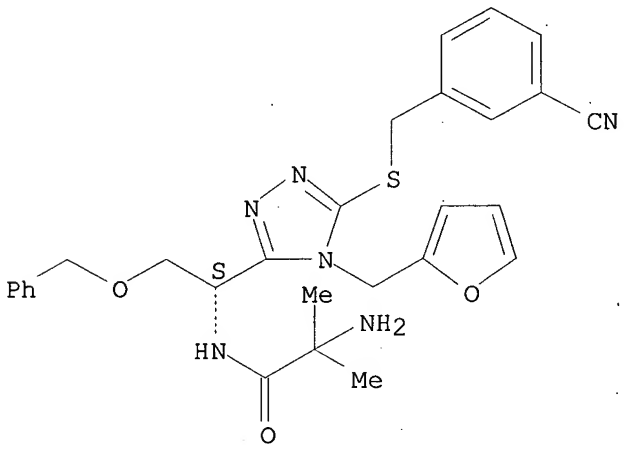
RN 295333-52-7 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[(3-methoxyphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



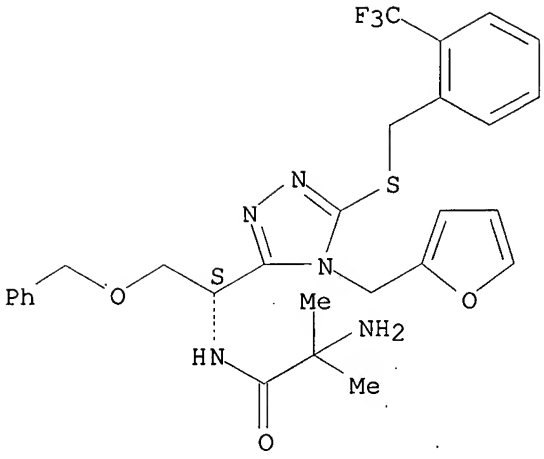
RN 295333-53-8 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(3-cyanophenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



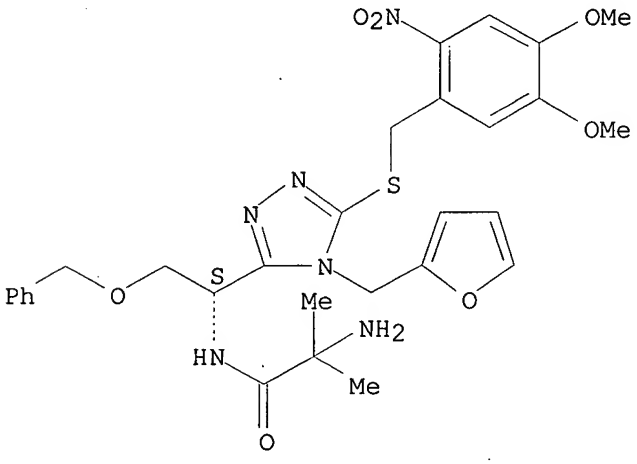
RN 295333-62-9 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[4-(2-furanylmethyl)-5-[[[2-(trifluoromethyl)phenyl]methyl]thio]-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 295333-63-0 CAPLUS
CN Propanamide, 2-amino-N-[(1S)-1-[5-[[(4,5-dimethoxy-2-nitrophenyl)methyl]thio]-4-(2-furanylmethyl)-4H-1,2,4-triazol-3-yl]-2-(phenylmethoxy)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2002:869496 CAPLUS
DOCUMENT NUMBER: 137:363033
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124
US 2004006011	A1	20040108	US 2003-425557	20030428

PRIORITY APPLN. INFO.:
US 2000-491078 A2 20000124
US 1996-21612P P 19960712
US 1997-893534 A1 19970711
US 2000-507102 A1 20000217
US 2001-769145 B2 20010124
US 2001-6982 A2 20011204

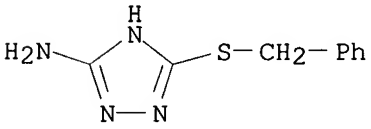
OTHER SOURCE(S): MARPAT 137:363033

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

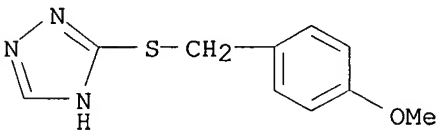
IT **3922-47-2**, 1H-1,2,4-Triazol-3-amine, 5-[(phenylmethyl)thio]- **17357-75-4**, 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]thio]- **41266-78-8**, 1H-1,2,4-Triazol-3-amine, 5-[[(4-chlorophenyl)methyl]thio]- **68838-40-4**, 1H-1,2,4-Triazole, 3-methyl-5-[(phenylmethyl)thio]- **134796-34-2**, 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]thio]- **254880-42-7**, 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]- **254880-46-1**, 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]- **261511-13-1**, 1H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-5-[[(2,4-dichlorophenyl)methyl]thio]- **261511-30-2**, 1H-1,2,4-Triazole, 3-[3,5-bis(trifluoromethyl)phenyl]-5-[[(2-chloro-6-fluorophenyl)methyl]thio]- **261626-98-6**, 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[(phenylmethyl)thio]- **261626-99-7**, 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[[(4-methylphenyl)methyl]thio]- **261627-00-3**, 1H-1,2,4-Triazole, 3-[[(2,4-dichlorophenyl)methyl]thio]-5-[4-(1,1-dimethylethyl)phenyl]- **261704-50-1**, 1H-1,2,4-Triazole, 3-[[(2-chlorophenyl)methyl]thio]-5-(4-pentylphenyl)- **261705-07-1**, 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]-5-(trifluoromethyl)- **261928-97-6**, 1H-1,2,4-Triazol-3-amine, 5-[[(2,6-dichlorophenyl)methyl]thio]- **261928-98-7**, 1H-1,2,4-Triazol-3-amine, 5-[[(2-chloro-6-fluorophenyl)methyl]thio]- **262856-19-9**, 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-methyl-5-[(phenylmethyl)thio]- **296272-93-0**, 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]thio]- **302804-66-6**, 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]- **303150-34-7**, 1H-1,2,4-Triazol-3-amine, 5-[[(2,4-dichlorophenyl)methyl]thio]- **303150-56-3**, 1H-1,2,4-Triazol-3-amine, 5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- **307545-27-3**, 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]thio]- **338391-99-4**, 1H-1,2,4-Triazol-3-amine, 5-[[(3,4-dichlorophenyl)methyl]thio]- **338393-05-8**, 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]thio]- **338393-13-8**, 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]sulfonyl]- **339022-11-6**, 1(3H)-Isobenzofuranone, 3-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]- **339022-23-0**, 1(2H)-Phthalazinone, 4-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]- **339105-69-0**, 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]sulfonyl]- **339105-71-4**, 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- **339105-73-6**, 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]sulfonyl]- **339105-78-1**, 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]sulfonyl]- **339105-82-7**, 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]thio]- **339105-84-9**, 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]-

339105-87-2, 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]sulfonyl]- **341944-06-7**, 1H-1,2,4-Triazol-3-amine, 5-[[(2-chlorophenyl)methyl]thio]- **344262-76-6**, 1H-1,2,4-Triazol-3-amine, 5-[[(3-chlorophenyl)methyl]thio]- **351857-48-2**, 1,3,4-Oxadiazole, 2-[[(4-chlorophenyl)methyl]thio]-5-[(4,5-dichloro-1H-imidazol-1-yl)methyl]- **351858-12-3**, 1H-1,2,4-Triazole, 3-[[(4-bromophenyl)methyl]thio]-5-phenyl- **351858-13-4**, 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]methyl]- **351858-14-5**, 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[(4-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]methyl]- **351858-15-6**, 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

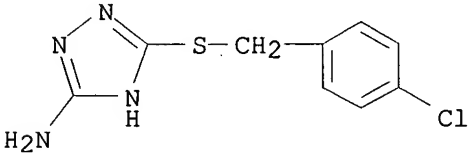
RN 3922-47-2 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



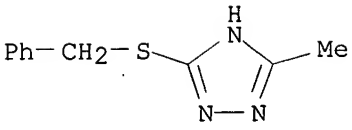
RN 17357-75-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



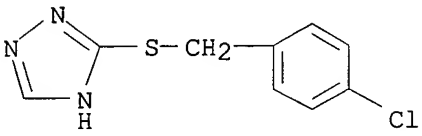
RN 41266-78-8 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



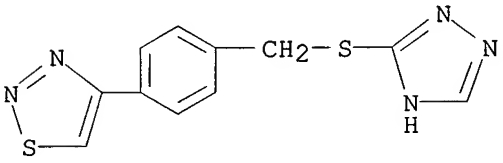
RN 68838-40-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



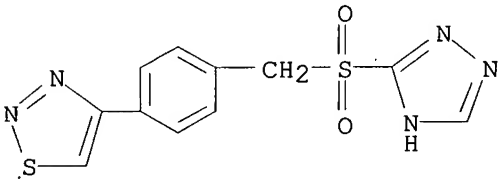
RN 134796-34-2 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



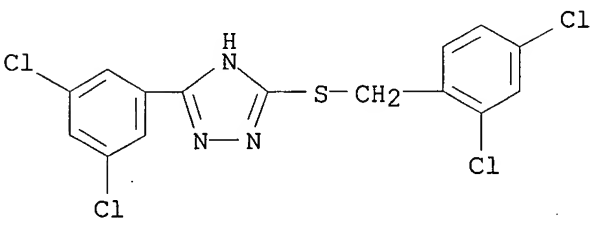
RN 254880-42-7 CAPLUS
CN 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 254880-46-1 CAPLUS
CN 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

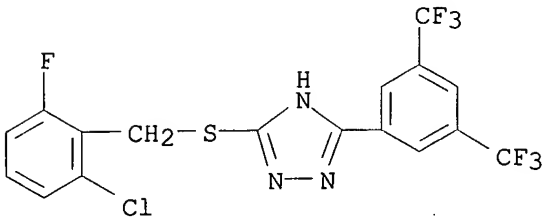


RN 261511-13-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-5-[[(2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

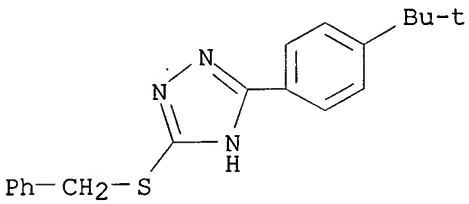


RN 261511-30-2 CAPLUS

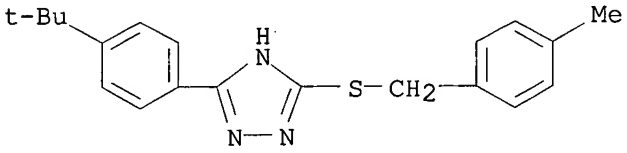
CN 1H-1,2,4-Triazole, 3-[3,5-bis(trifluoromethyl)phenyl]-5-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



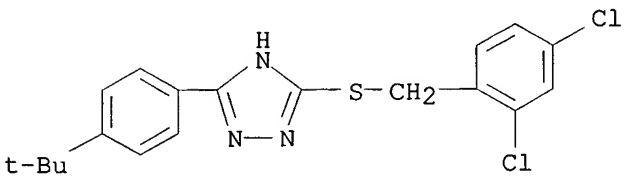
RN 261626-98-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



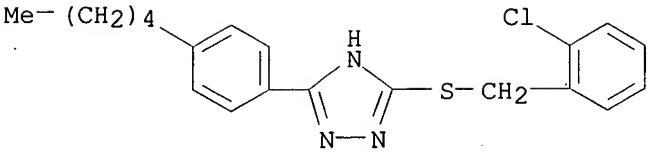
RN 261626-99-7 CAPLUS
CN 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



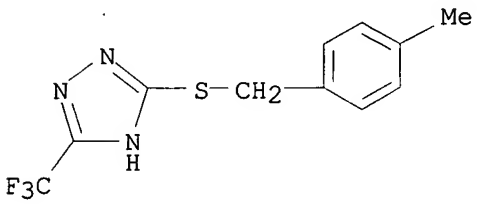
RN 261627-00-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2,4-dichlorophenyl)methyl]thio]-5-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



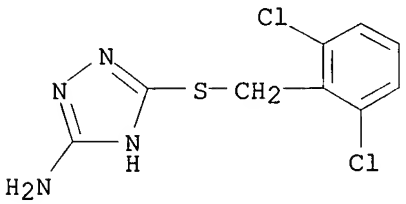
RN 261704-50-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chlorophenyl)methyl]thio]-5-(4-pentylphenyl)- (9CI) (CA INDEX NAME)



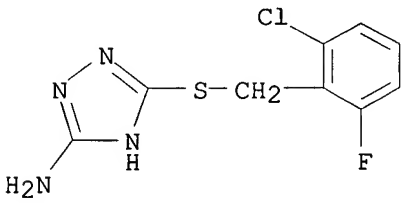
RN 261705-07-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)



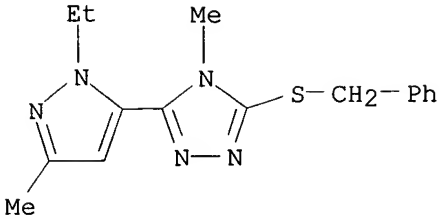
RN 261928-97-6 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2,6-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



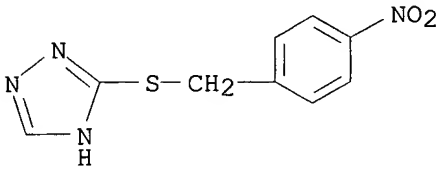
RN 261928-98-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



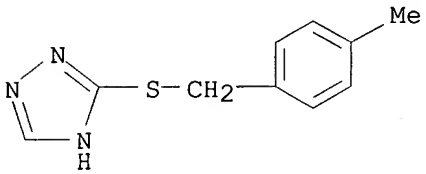
RN 262856-19-9 CAPLUS
CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



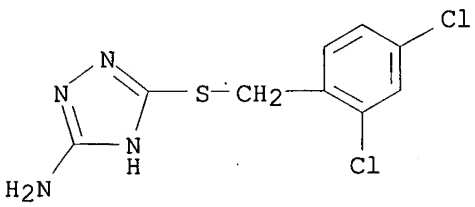
RN 296272-93-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



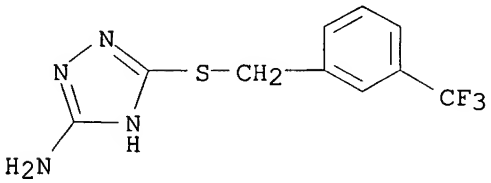
RN 302804-66-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



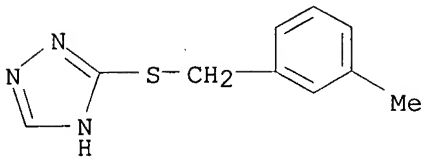
RN 303150-34-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



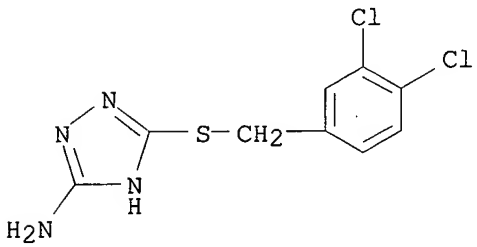
RN 303150-56-3 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



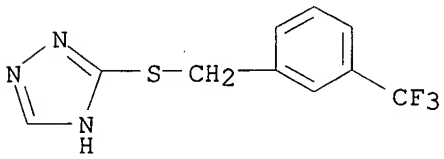
RN 307545-27-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



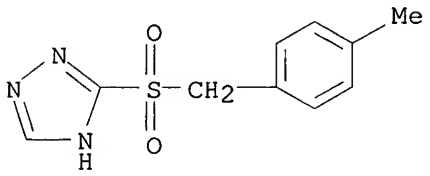
RN 338391-99-4 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(3,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



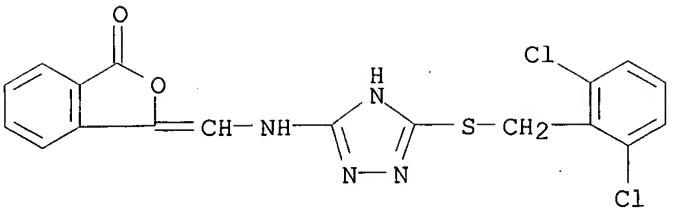
RN 338393-05-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



RN 338393-13-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 339022-11-6 CAPLUS
CN 1(3H)-Isobenzofuranone, 3-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]- (9CI) (CA INDEX NAME)



RN 339022-23-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]- (9CI) (CA INDEX NAME)

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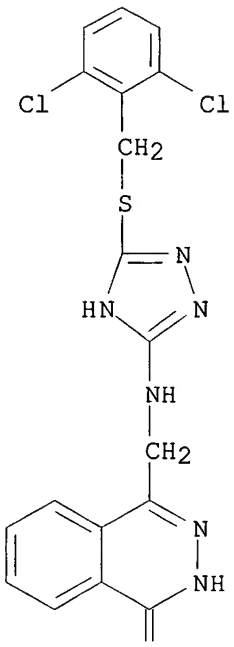

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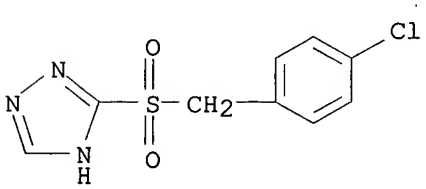
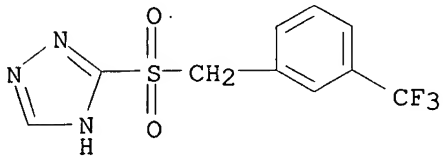
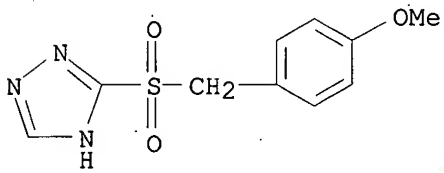
RN 339105-69-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



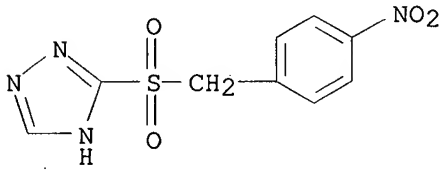
RN 339105-71-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



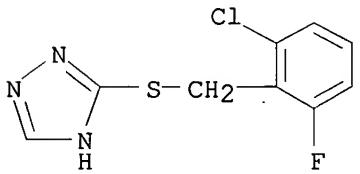
RN 339105-73-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



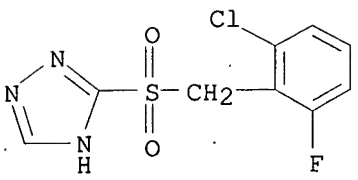
RN 339105-78-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



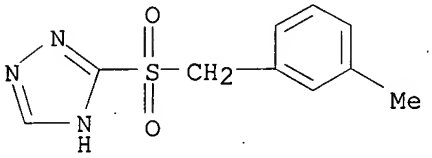
RN 339105-82-7 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



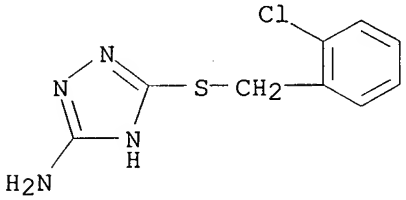
RN 339105-84-9 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



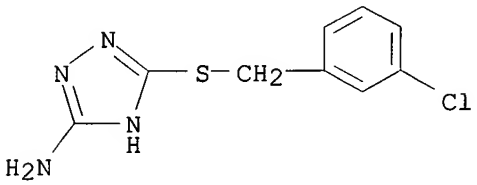
RN 339105-87-2 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



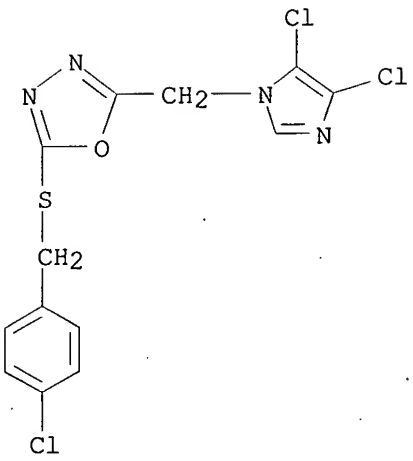
RN 341944-06-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



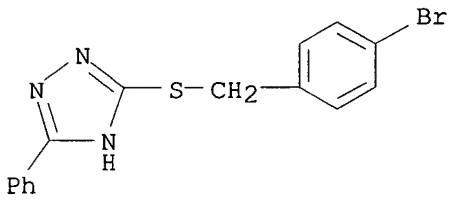
RN 344262-76-6 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(3-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



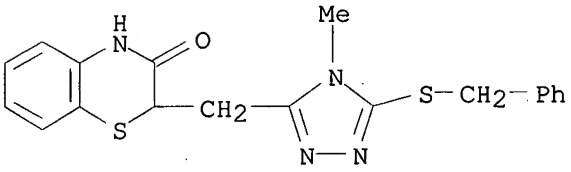
RN 351857-48-2 CAPLUS
CN 1,3,4-Oxadiazole, 2-[[(4-chlorophenyl)methyl]thio]-5-[(4,5-dichloro-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



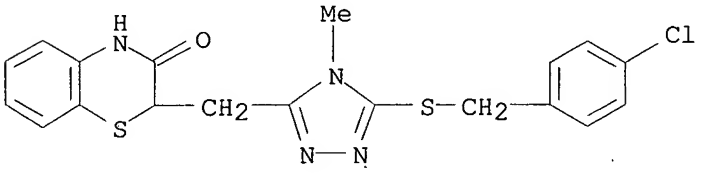
RN 351858-12-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-bromophenyl)methyl]thio]-5-phenyl- (9CI) (CA INDEX NAME)



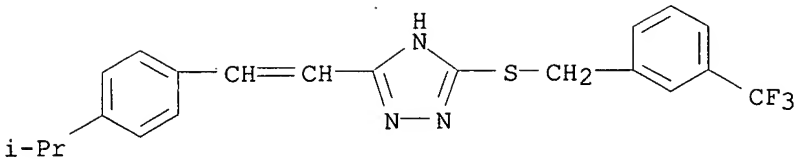
RN 351858-13-4 CAPLUS
CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 351858-14-5 CAPLUS
CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[(4-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



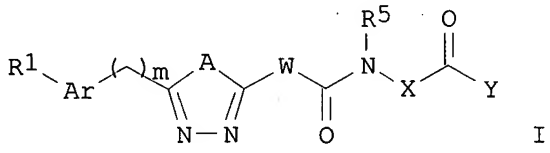
RN 351858-15-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



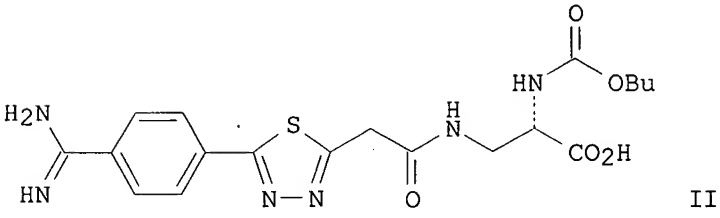
L46 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 1997:617013 CAPLUS
DOCUMENT NUMBER: 127:293226
TITLE: 1,3,4-Thiadiazoles and 1,3,4-oxadiazoles as IIb/IIIa antagonists
INVENTOR(S): Jin, Fuqiang; Confalone, Pasquale Nicholas
PATENT ASSIGNEE(S): Dupont Merck Pharmaceutical Co., USA
SOURCE: U.S., 39 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5668159	A	19970916	US 1996-643438	19960508

PRIORITY APPLN. INFO.: US 1996-643438 19960508
OTHER SOURCE(S): MARPAT 127:293226
GI



I



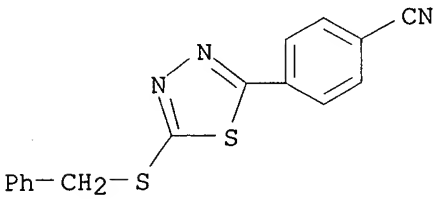
II

AB Title compds. I and their enantiomeric, diastereomeric, salt, and prodrug forms are disclosed [wherein R1 = (un)substituted amino, amidino, guanidino, carbamoyl, or related groups; Ar = (un)substituted piperidine, benzene, or pyridine nucleus; m = 0-2; A = O, S; W = (CH2)n, S(CH2)n-1; R5 = H, (un)substituted alkyl; X = CH(CH2Ph), CH2, CH2CH(NHR12), CH(CH2NHR12); Y = OH, cycloalkoxy, aryloxy, (un)substituted alkoxy; n = 1-4; R12 = H, alkyl, alkoxycarbonyl, alkylcarbonyl, alkylsulfonyl, aryl, arylsulfonyl, heteroarylsulfonyl, etc.; with provisos]. The compds. are useful as antagonists of the platelet glycoprotein IIb/IIIa complex, and as such are useful for inhibition of platelet aggregation, as thrombolytics, and for treatment of thromboembolic disorders. For instance, amidation of 2-[2-(4-cyanophenyl)-1,3,4-thiadiazol-5-yl]acetic

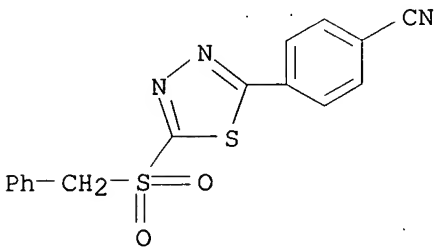
acid with (S)-Me N2-(n-butyloxycarbonyl)-2,3-diaminopropionate trifluoroacetate salt using TBTU and Et3N in DMF (73%), followed by Pinner reaction of the nitrile with MeOH/HCl and NH4HCO3 (56%), and acidic hydrolysis of the Me ester with 4N HCl (85%), gave the title compd. II as the HCl salt. In a human platelet aggregation assay in vitro, II.HCl had an IC50 < 10 .mu.M.

IT **197092-39-0P 197092-40-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thiadiazoles and oxadiazoles as platelet aggregation inhibitors)

RN 197092-39-0 CAPLUS
CN Benzonitrile, 4-[5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 197092-40-3 CAPLUS
CN Benzonitrile, 4-[5-[(phenylmethyl)sulfonyl]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



L46 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:20322 CAPLUS
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shaomeng; Hu, Zengjian
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 280 pp., Cont.-in-part of U.S. Ser. No. 6,982.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004006011	A1	20040108	US 2003-425557	20030428
US 6031072	A	20000229	US 1997-893534	19970711
US 6326352	B1	20011204	US 2000-507102	20000217
US 2002168761	A1	20021114	US 2001-769145	20010124

US 2002151475 A1 20021017 US 2001-6982 20011204
PRIORITY APPLN. INFO.: US 1996-21612P P 19960712
US 1997-893534 A1 19970711
US 2000-491078 B2 20000124
US 2000-507102 A1 20000217
US 2001-769145 B2 20010124
US 2001-6982 A2 20011204

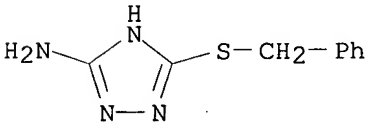
AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT INDEXING IN PROGRESS

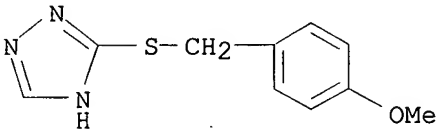
IT **3922-47-2**, 1H-1,2,4-Triazol-3-amine, 5-[(phenylmethyl)thio]- **17357-75-4**, 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]thio]- **41266-78-8**, 1H-1,2,4-Triazol-3-amine, 5-[[(4-chlorophenyl)methyl]thio]- **68838-40-4**, 1H-1,2,4-Triazole, 3-methyl-5-[(phenylmethyl)thio]- **134796-34-2**, 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]thio]- **254880-42-7**, 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]- **254880-46-1**, 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]- **261511-13-1**, 1H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-5-[[(2,4-dichlorophenyl)methyl]thio]- **261511-30-2**, 1H-1,2,4-Triazole, 3-[3,5-bis(trifluoromethyl)phenyl]-5-[[(2-chloro-6-fluorophenyl)methyl]thio]- **261626-98-6**, 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[(phenylmethyl)thio]- **261626-99-7**, 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[[(4-methylphenyl)methyl]thio]- **261627-00-3**, 1H-1,2,4-Triazole, 3-[[(2,4-dichlorophenyl)methyl]thio]-5-[4-(1,1-dimethylethyl)phenyl]- **261704-50-1**, 1H-1,2,4-Triazole, 3-[[(2-chlorophenyl)methyl]thio]-5-(4-pentylphenyl)- **261705-07-1**, 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]-5-(trifluoromethyl)- **261928-97-6**, 1H-1,2,4-Triazol-3-amine, 5-[[(2,6-dichlorophenyl)methyl]thio]- **261928-98-7**, 1H-1,2,4-Triazol-3-amine, 5-[[(2-chloro-6-fluorophenyl)methyl]thio]- **262856-19-9**, 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-methyl-5-[(phenylmethyl)thio]- **296272-93-0**, 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]thio]- **302804-66-6**, 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]- **303150-34-7**, 1H-1,2,4-Triazol-3-amine, 5-[[(2,4-dichlorophenyl)methyl]thio]- **303150-56-3**, 1H-1,2,4-Triazol-3-amine, 5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- **307545-27-3**, 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]thio]- **338391-99-4**, 1H-1,2,4-Triazol-3-amine, 5-[[(3,4-dichlorophenyl)methyl]thio]- **338393-05-8**, 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]thio]- **338393-13-8**, 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]sulfonyl]- **339022-11-6**, 1(3H)-Isobenzofuranone, 3-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]- **339022-23-0**, 1(2H)-Phthalazinone, 4-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]- **339105-69-0**, 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]sulfonyl]- **339105-71-4**, 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- **339105-73-6**, 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]sulfonyl]- **339105-78-1**, 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]sulfonyl]- **339105-82-7**, 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]thio]- **339105-84-9**, 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]- **339105-87-2**, 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]sulfonyl]- **341944-06-7**, 1H-1,2,4-Triazol-3-amine, 5-[[(2-chlorophenyl)methyl]thio]- **344262-76-6**,

1H-1,2,4-Triazol-3-amine, 5-[[(3-chlorophenyl)methyl]thio]- **351857-48-2**, 1,3,4-Oxadiazole, 2-[[(4-chlorophenyl)methyl]thio]-5-[(4,5-dichloro-1H-imidazol-1-yl)methyl]- **351858-12-3**, 1H-1,2,4-Triazole, 3-[[(4-bromophenyl)methyl]thio]-5-phenyl- **351858-13-4**, 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]methyl]- **351858-14-5**, 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[(4-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]methyl]- **351858-15-6**, 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

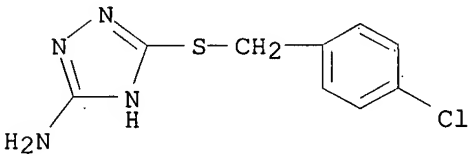
RN 3922-47-2 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



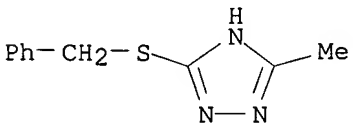
RN 17357-75-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 41266-78-8 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

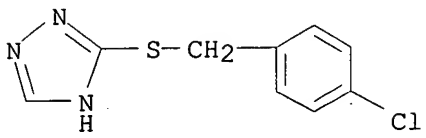


RN 68838-40-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

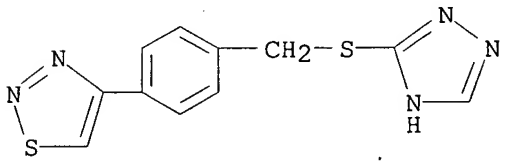


RN 134796-34-2 CAPLUS

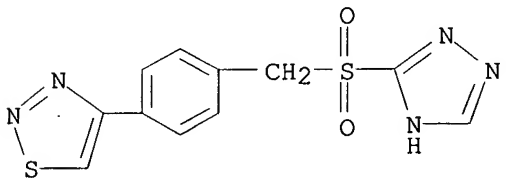
CN 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



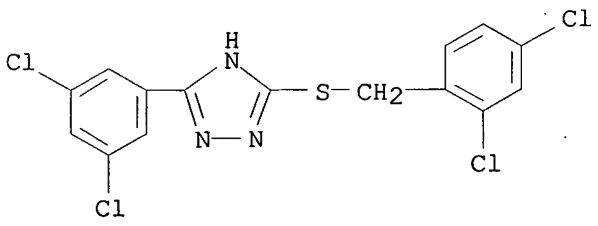
RN 254880-42-7 CAPLUS
CN 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



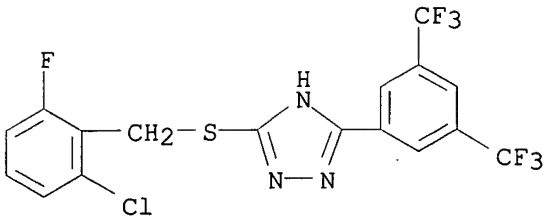
RN 254880-46-1 CAPLUS
CN 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



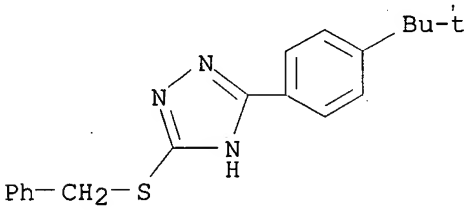
RN 261511-13-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-5-[[(2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



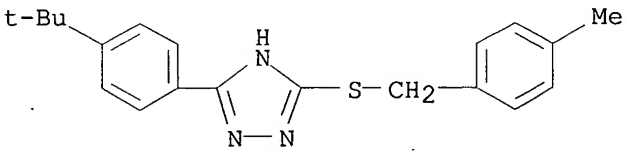
RN 261511-30-2 CAPLUS
CN 1H-1,2,4-Triazole, 3-[3,5-bis(trifluoromethyl)phenyl]-5-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



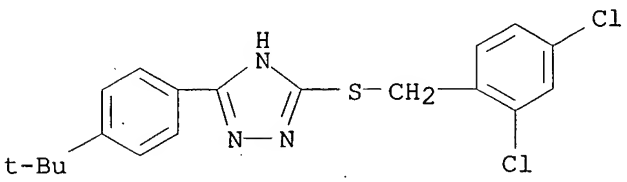
RN 261626-98-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



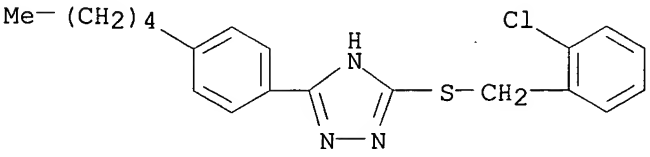
RN 261626-99-7 CAPLUS
CN 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



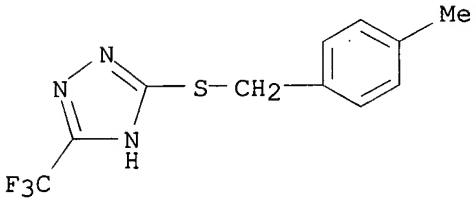
RN 261627-00-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2,4-dichlorophenyl)methyl]thio]-5-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



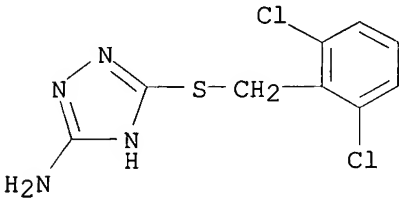
RN 261704-50-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chlorophenyl)methyl]thio]-5-(4-pentylphenyl)- (9CI) (CA INDEX NAME)



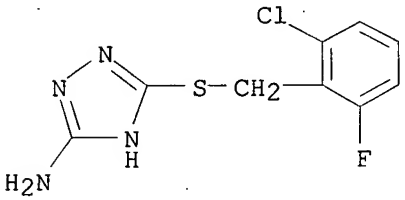
RN 261705-07-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)



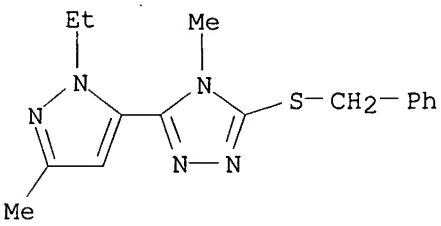
RN 261928-97-6 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2,6-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



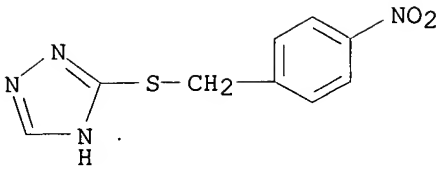
RN 261928-98-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



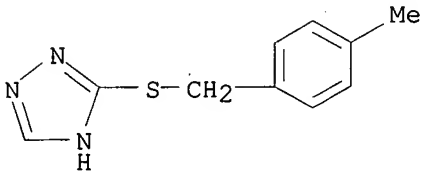
RN 262856-19-9 CAPLUS
CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



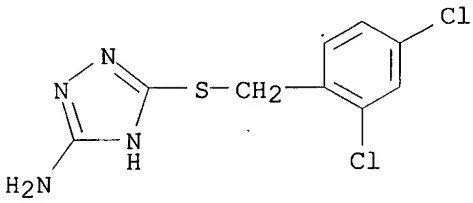
RN 296272-93-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



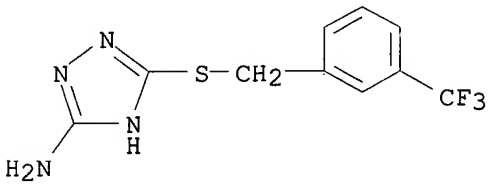
RN 302804-66-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



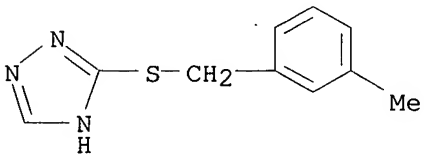
RN 303150-34-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



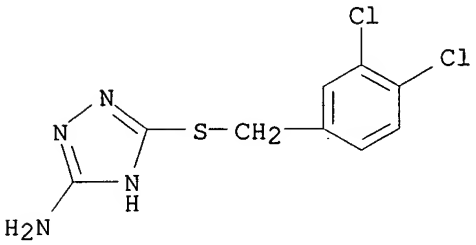
RN 303150-56-3 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



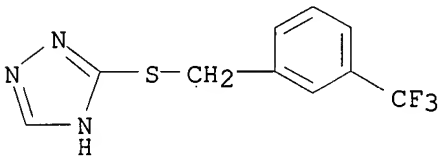
RN 307545-27-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



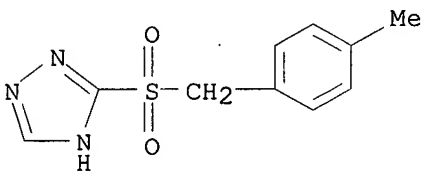
RN 338391-99-4 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(3,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



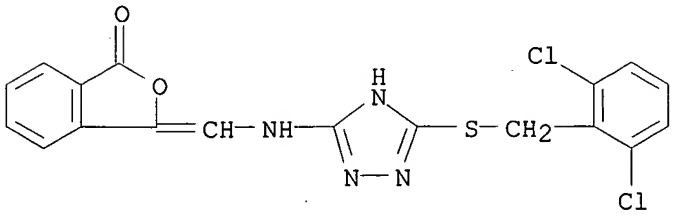
RN 338393-05-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



RN 338393-13-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

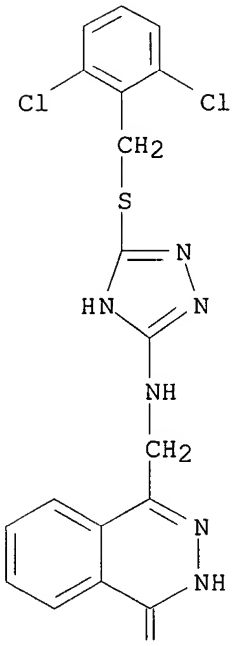


RN 339022-11-6 CAPLUS
CN 1(3H)-Isobenzofuranone, 3-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]- (9CI) (CA INDEX NAME)



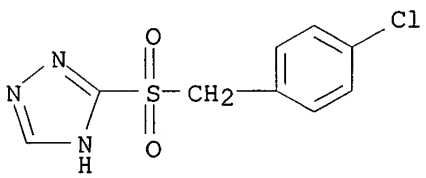
RN 339022-23-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

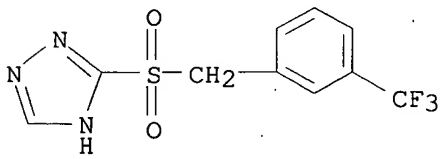


PAGE 2-A

RN 339105-69-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

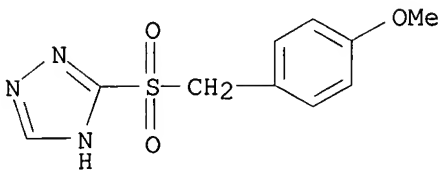


RN 339105-71-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

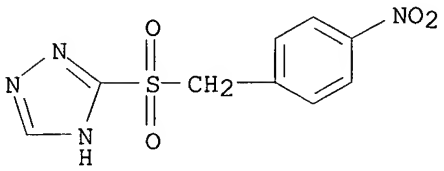


RN 339105-73-6 CAPLUS

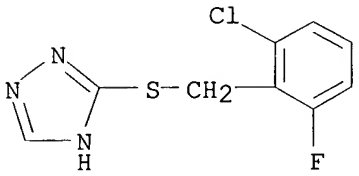
CN 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



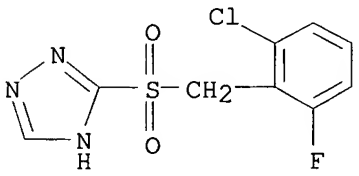
RN 339105-78-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



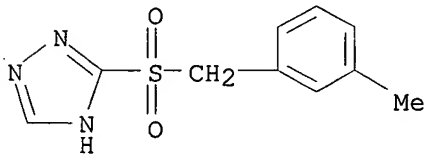
RN 339105-82-7 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



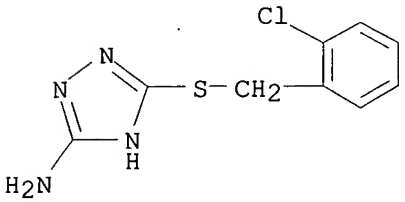
RN 339105-84-9 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



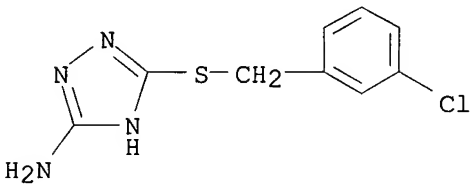
RN 339105-87-2 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



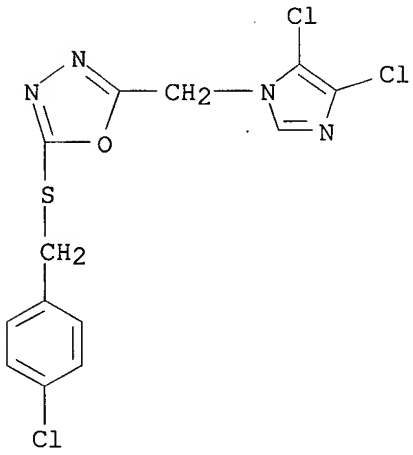
RN 341944-06-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



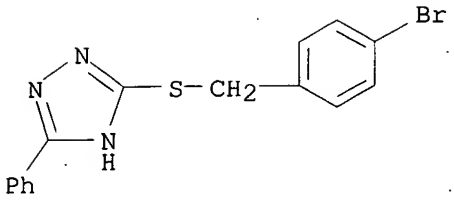
RN 344262-76-6 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(3-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



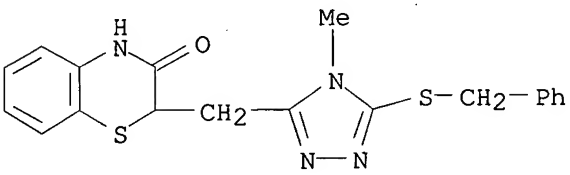
RN 351857-48-2 CAPLUS
CN 1,3,4-Oxadiazole, 2-[[(4-chlorophenyl)methyl]thio]-5-[(4,5-dichloro-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



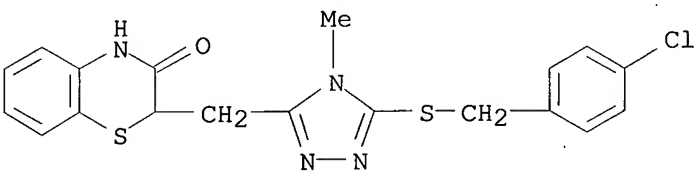
RN 351858-12-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-bromophenyl)methyl]thio]-5-phenyl- (9CI) (CA INDEX NAME)



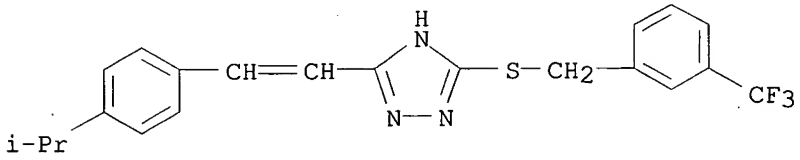
RN 351858-13-4 CAPLUS
CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 351858-14-5 CAPLUS
CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[(4-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 351858-15-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



L46 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:2860 CAPLUS
DOCUMENT NUMBER: 140:59526
TITLE: Preparation of 8-(biaryl)quinolines as PDE4 inhibitors
INVENTOR(S): Deschenes, Denis; Dube, Daniel; Dube, Laurence; Gallant, Michel; Girard, Yves; Lacombe, Patrick; MacDonald, Dwight
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000814	A1	20031231	WO 2003-CA957	20030623

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-391364P P 20020625
US 2002-428313P P 20021122

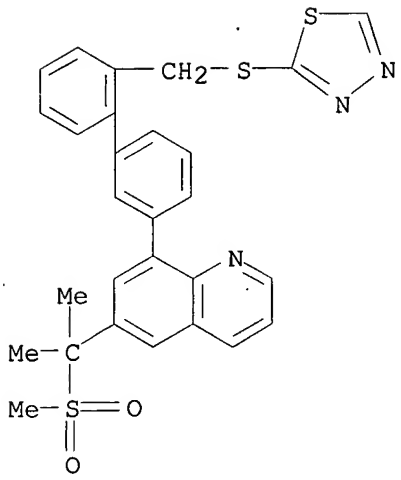
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = C or N; X = Ph, pyridyl, pyrazinyl, thiaphenyl, quinolinyl, benzofuranyl, oxadiazolyl, diazolylpyridinyl, imidazolylpyridinyl, oxadiazolylphenyl, benzodioxolyl; R1 = H, halo, or (un)substituted alkanoyl, cyclo/alkyl, alkenyl; R2, R3 = independently H, halo, OH, CN, NO2, or dialkenyl/dicycloalkyl/alkyl, alkenyl, wide variety of C-contg. and heteroat. groups and/or functional groups optionally linked by C1-4alkyl; R2 optionally forms a double bond with an adjoining bond; R4 = H, halo; any ring nitrogen optionally forms N-oxide and N-chloride; and pharmaceutically acceptable salts thereof] were prepd. as phosphodiesterase IV (PDE4) inhibitors. For example, II was prepd. by Suzuki cross-coupling of quinoline III with 2-bromo-3-chlorothiophene. One hundred fifty-five invention compds. suppressed PDE4 with IC50 values ranging from 36 .mu.M to 0.005 .mu.M in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor .alpha. (TNF-.alpha.) and leukotriene B4 (LTB4) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred fifty-five invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values ranging from 160 nM to 0.086 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

IT **638220-90-3P**, 6-[1-(Methylsulfonyl)-1-methylethyl]-8-[2'-[[([1,3,4]thiadiazol-2-yl)sulfanyl]methyl]biphenyl-3-yl]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PDE4 inhibitor; prepn. of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

RN 638220-90-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

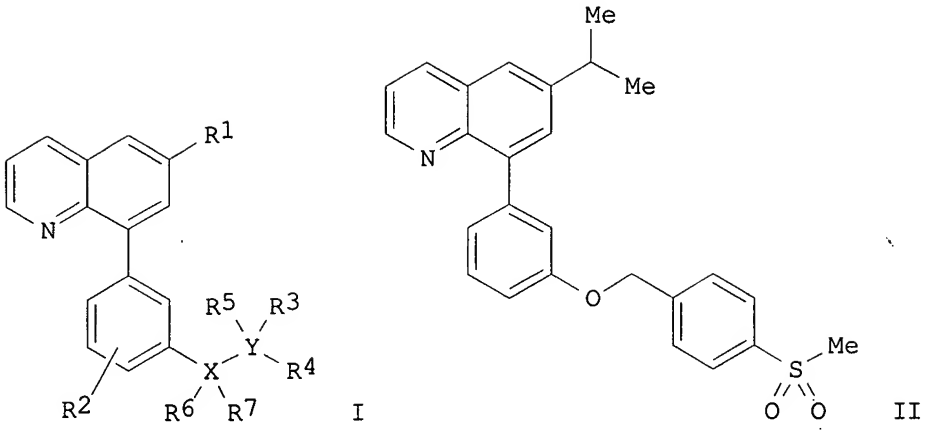
L46 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:757679 CAPLUS
DOCUMENT NUMBER: 139:276825
TITLE: Preparation of 8-arylquinoline PDE4 inhibitors
INVENTOR(S): Gallant, Michel; Lacombe, Patrick; Dube, Daniel; Deschenes, Denis; MacDonald, Dwight; Dube, Laurence
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078397	A1	20030925	WO 2003-CA374	20030317

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-365088P P 20020318
OTHER SOURCE(S): MARPAT 139:276825
GI



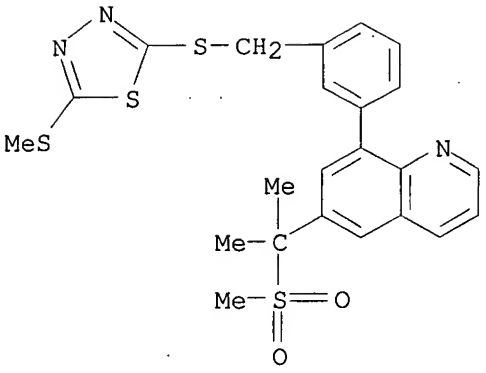
I II

AB Title compds. I [wherein R1 = H, halo, or (un)substituted alkanoyl, (cyclo)alkyl, alkenyl, alkoxy, (hetero)aryl, CN, heterocycloalkyl, carbamoyl, sulfamoyl, etc.; R2 = H, halo, OH, or (un)substituted alkyl or alkoxy; R3 = absent or H, CO2H, or (un)substituted (cycloalkyl)alkyl, alkanoyl, benzoyl, carbamoyl, etc.; R4 = (un)substituted Ph, pyrazolopyrimidinyl, benzothiazolyl, quinazolinyl, or heteroaryl; R5 = absent or H; R6 = absent, H, or alkyl; R7 = absent or H; X = O, S, N, C, or CO; wherein when X = O, S, or CO, then R6 and R7 are absent and when X = N, then R7 is absent; Y = C, S, N, SO2, O, or CO; wherein when Y = S, SO2, O, or CO, then R3 and R5 are absent and when Y = N, then R5 is absent; and pharmaceutically acceptable salts thereof] were prepd. as phosphodiesterase IV (PDE4) inhibitors. For example, 3-(6-isopropylquinolin-8-yl)phenol was coupled with 1-chloromethyl-4-methanesulfonylbenzene in acetone to give II. One hundred sixteen invention compds. suppressed PDE4 with IC50 values ranging from 80 .mu.M to 0.029 .mu.M in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor .alpha. (TNF-.alpha.) and leukotriene B4 (LTB4) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred forty-one invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values ranging from 150 nM to 0.056 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

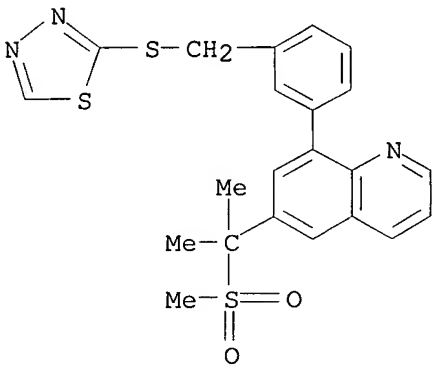
IT **605684-59-1P**, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[[5-(methylsulfanyl)-[1,3,4]thiadiazol-2-yl]sulfanyl]methyl]phenyl]quinoline **605684-61-5P**, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[(1,3,4-thiadiazol-2-yl)sulfanyl]methyl]phenyl]quinoline **605684-64-8P**, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[(4-methyl-4H-1,2,4-triazol-3-yl)sulfanyl]methyl]phenyl]quinoline **605684-66-0P**, 6-[1-(Methanesulfonyl)-1-methylethyl]-8-[3-[[(5-phenyl-[1,3,4]oxadiazol-2-yl)sulfanyl]methyl]phenyl]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PDE4 inhibitor; prepn. of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

RN 605684-59-1 CAPLUS

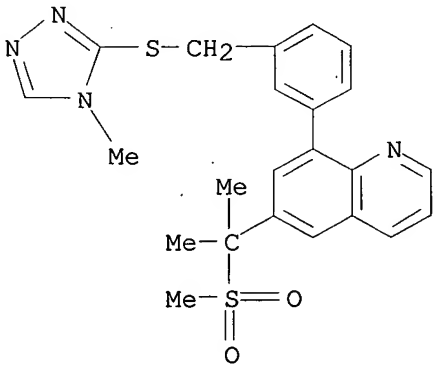
CN Quinoline, 6-[1-methyl-1-(methylsulfonyl)ethyl]-8-[3-[[[5-(methylthio)-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]- (9CI) (CA INDEX NAME)



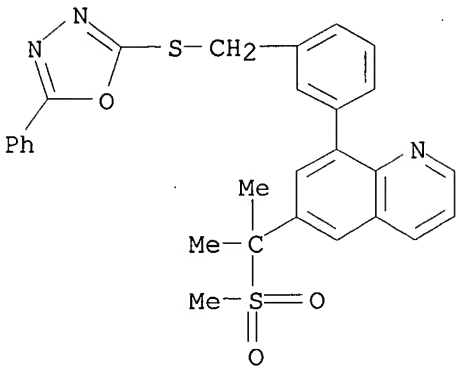
RN 605684-61-5 CAPLUS
CN Quinoline, 6-[1-methyl-1-(methylsulfonyl)ethyl]-8-[3-[(1,3,4-thiadiazol-2-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 605684-64-8 CAPLUS
CN Quinoline, 6-[1-methyl-1-(methylsulfonyl)ethyl]-8-[3-[[(4-methyl-4H-1,2,4-triazol-3-yl)thio]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 605684-66-0 CAPLUS
CN Quinoline, 6-[1-methyl-1-(methylsulfonyl)ethyl]-8-[3-[[(5-phenyl-1,3,4-oxadiazol-2-yl)thio]methyl]phenyl]- (9CI) (CA INDEX NAME)

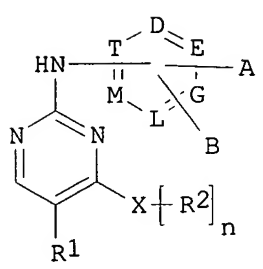


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:737756 CAPLUS
DOCUMENT NUMBER: 139:261319
TITLE: Preparation of 5-bromo-2,4-pyrimidinediamines and related compounds as cyclin dependent kinase inhibitors
INVENTOR(S): Luecking, Ulrich; Krueger, Martin; Jautelat, Rolf; Prien, Olaf; Siemeister, Gerd; Ernst, Alexander
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076437	A1	20030918	WO 2003-EP1995	20030226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10212100	A1	20031023	DE 2002-10212100	20020311

PRIORITY APPLN. INFO.: DE 2002-10212100 A 20020311
DE 2002-10255984 A 20021126
OTHER SOURCE(S): MARPAT 139:261319
GI



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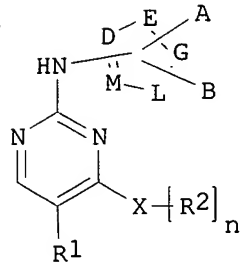
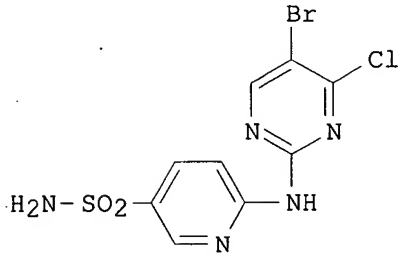
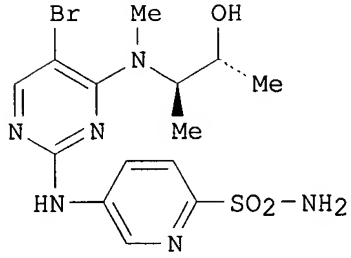


II



III



IV

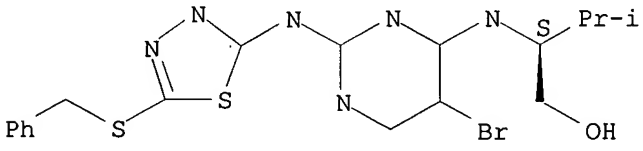
AB Title compds. I and II [D, E, G, L, M, T = C, O, N, S atom whereby at least a heteroatom must be contained in the ring; R1 = H, halo, alkyl, etc.; R2 = H, alkyl, alkenyl, etc.; A, B = H, OH, halo, etc.; n = 0, 1 with provisos] and their pharmaceutically acceptable salts were prepd. For example, condensation of chloropyrimidine III, e.g., prepd. from 5-bromo-2-chloro-4-hydroxypyrimidine in 2-steps, and threo-3-methylaminobutan-2-ol afforded pyrimidinediamine IV in 75% yield. In CDK2/CycE inhibition studies, 24-examples of compds. I exhibited IC50 values ranging from 6-74 nM. Compds. I are claimed useful as cardiovascular, antiviral, antitumor, etc. agents.

IT **600732-85-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of 5-bromo-2,4-pyrimidinediamines and related compds. as cyclin dependent kinase inhibitors)

RN 600732-85-2 CAPLUS

CN 1-Butanol, 2-[[5-bromo-2-[[5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]amino]-4-pyrimidinyl]amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



*** FRAGMENT DIAGRAM IS INCOMPLETE ***
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:656582 CAPLUS
DOCUMENT NUMBER: 139:197371

TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase

INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; McGee, Kevin F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 1052 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068230	A1	20030821	WO 2003-US4634	20030214

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-357029P P 20020214
US 2002-436915P P 20021230

OTHER SOURCE(S): MARPAT 139:197371

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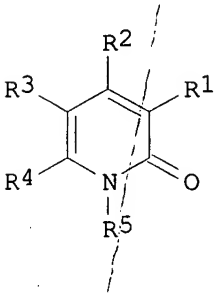

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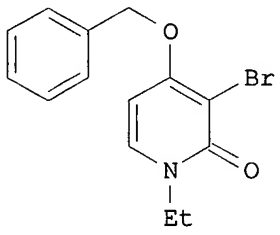


II

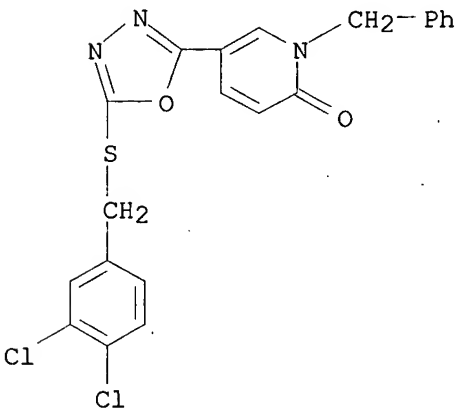
AB Disclosed are title compds. I [wherein R1 = H, halo, NO2, CHO, CN, CO2H, or (un)substituted (halo)alkyl, (aryl)alkoxy, aryl(alkyl), alkenyl, (aryl)alkynyl, (aryl)alkanoyl, alkoxyalkyl, or haloalkoxy; R2 = H, OH, halo, NR8R9, CO2R, or (un)substituted OSO2-alkyl, OSO2-aryl, arylalkoxy, aryloxy(alkyl), arylthio(alkoxy), arylalkynyl, alkoxy(alkoxy), alkyl, alkynyl, OCONH(CH2)n-aryl, OCON(alkyl)(CH2)n-aryl, dialkylamino, (hetero)aryl(alkyl), arylalkenyl, or heterocycloalkyl(alkyl); R3 = H, halo, alkenyl, NR6R7, NR6R7-alkyl, alkyl, or (un)substituted (aryl)alkoxycarbonyl, aryloxycarbonyl, arylalkyl, OCONH(CH2)n-aryl, arylalkoxy, OCON(alkyl)(CH2)n-aryl, aryloxy, arylthio, or (aryl)thioalkoxy; R4 = H or (un)substituted alkyl; R5 = H, aryl, aryl(thio)alkyl, NH2, alkoxycarbonyl, alkynyl, SO2-alkyl,

(hetero)cycloalkyl(alkyl), heteroaryl, or (un)substituted alkyl, alkoxy(alkyl), or alkenyl; R6 and R7 = independently H, OH, or (un)substituted (aryl)alkyl, alkoxy(alkyl), alkanoyl(alkyl), arylalkoxy, SO2-alkyl, (aryl)alkoxycarbonyl, heteroarylalkyl, or arylalkanoyl; or NR6R7 = (un)substituted (thio)morpholinyl, pyrrolidinyl, piperidinyl, pyrrolidinyl, or piperazinyl; R8 = independently H or (un)substituted (aryl)alkyl or (aryl)alkanoyl; R9 = H or (un)substituted (aryl)alkyl, (aryl)alkanoyl, cycloalkyl(alkyl), alkenyl, heteroaryl, (alkyl)aminoalkyl, SO2Ph, or aryl; R = independently H or (un)substituted alkyl; n = 0-6; and pharmaceutically acceptable salts thereof]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity, such as inflammation, ischemia, viral infections, and autoimmune diseases (no data). Pharmaceutical compns. contg. I, methods of prepg. them, and methods of treatment using the compds. are also disclosed. For example, reaction of 4-benzyloxy-2(1H)-pyridone with EtBr in the presence of K2CO3 in DMF gave II. The latter inhibited MKK6-activated human p38.alpha. kinase phosphorylation of a biotinylated substrate or human p38.alpha.-induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 .mu.M to 25 .mu.M.

IT **477852-96-3P**, 1-Benzyl-5-[5-[(3,4-dichlorobenzyl)sulfanyl]-[1,3,4]oxadiazol-2-yl]-1H-pyridin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; prepn. of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 477852-96-3 CAPLUS

CN 2(1H)-Pyridinone, 5-[5-[[(3,4-dichlorophenyl)methyl]thio]-1,3,4-oxadiazol-2-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:491188 CAPLUS

DOCUMENT NUMBER: 139:69057

TITLE: Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders

INVENTOR(S): Ebdrup, Soren; Hansen, Holger Claus; Vedso, Per; Cornelis De Jong, Johannes; Jacobsen, Poul

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 390 pp.

Searched by Barb O'Bryen, STIC 308-4291

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051842	A2	20030626	WO 2002-DK853	20021213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003166690	A1	20030904	US 2002-319212	20021213
US 2003166644	A1	20030904	US 2002-319885	20021213

PRIORITY APPLN. INFO.:

DK 2001-1879	A	20011214
DK 2002-645	A	20020430
DK 2002-1000	A	20020627
DK 2002-1562	A	20021011
US 2002-346909P	P	20020103
US 2002-384243P	P	20020530
US 2002-393068P	P	20020628
US 2002-418481P	P	20021015

OTHER SOURCE(S): MARPAT 139:69057
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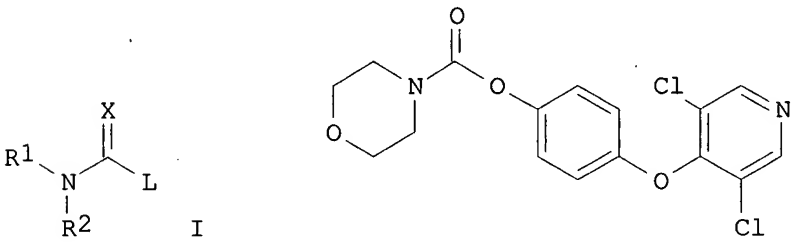


I II

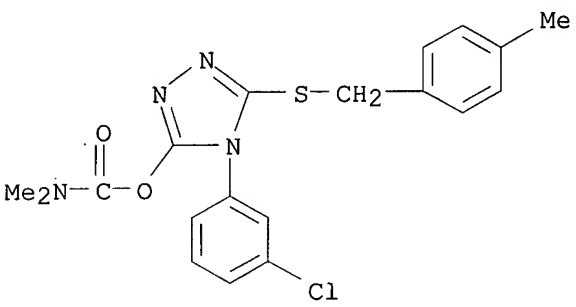
AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepd. as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concn. of 10 .mu.M. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **261627-02-5P**, Dimethylcarbamic acid 4-(3-chlorophenyl)-5-(4-methylbenzylsulfanyl)-4H-[1,2,4]triazol-3-yl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(lipase inhibitor; prepn. of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 261627-02-5 CAPLUS

CN Carbamic acid, dimethyl-, 4-(3-chlorophenyl)-5-[[(4-methylphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl ester (9CI) (CA INDEX NAME)



L46 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:491187 CAPLUS

DOCUMENT NUMBER: 139:69056

TITLE: Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders

INVENTOR(S): Ebdrup, Soren; Cornelis De Jong, Johannes; Jacobsen, Poul; Hansen, Holger Claus; Vedso, Per

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 519 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

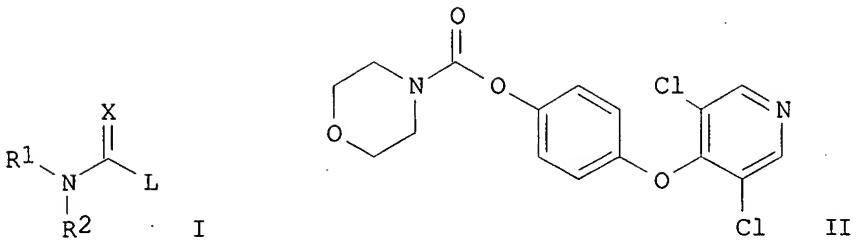
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051841	A2	20030626	WO 2002-DK852	20021213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003166690	A1	20030904	US 2002-319212	20021213
US 2003166644	A1	20030904	US 2002-319885	20021213

PRIORITY APPLN. INFO.:

DK 2001-1879	A	20011214
DK 2002-645	A	20020430
DK 2002-1000	A	20020627
DK 2002-1562	A	20021011
US 2002-346909P	P	20020103
US 2002-384243P	P	20020530
US 2002-393068P	P	20020628
US 2002-418481P	P	20021015

OTHER SOURCE(S): MARPAT 139:69056

GI

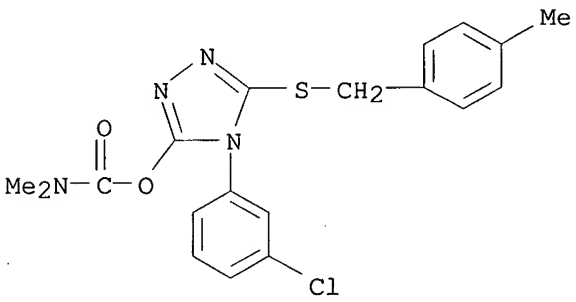


AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepd. as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concn. of 10 .mu.M. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

IT **261627-02-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(lipase inhibitor; prepn. of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

RN 261627-02-5 CAPLUS

CN Carbamic acid, dimethyl-, 4-(3-chlorophenyl)-5-[[(4-methylphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl ester (9CI) (CA INDEX NAME)

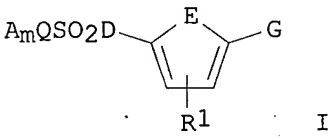


L46 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:261099 CAPLUS
DOCUMENT NUMBER: 138:271688
TITLE: Preparation of heteroaryl benzyl sulfones as antiinflammatories.
INVENTOR(S): Brands, Michael; Gruetzmann, R. Rudi; Kalthof, Bernd; Keldenich, Jorg; Lang, Dieter; Mueller, Ullrich; Pernerstorfer, Josef; Raabe, Martin; Rank, Elisabeth;

Schirok, Hartmut; Schmeck, Carsten; Schuhmacher, Joachim; Stelte, Ludwig Beatrix; Urbahns, Klaus; Zaiss, Siegfried
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: Brit. UK Pat. Appl., 140 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

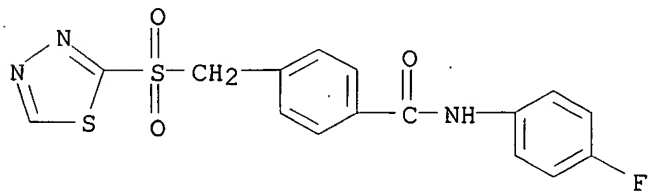
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2380190	A1	20030402	GB 2001-20818	20010828
GB 2379218	A1	20030305	GB 2001-23437	20010928

PRIORITY APPLN. INFO.: GB 2001-20818 A 20010828
OTHER SOURCE(S): MARPAT 138:271688
GI



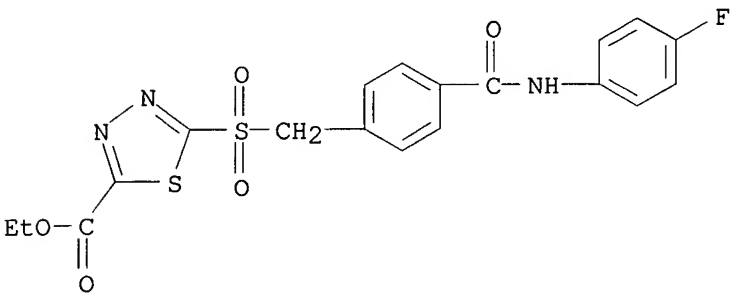
I

AB Title compds. [I; A = H, OH, cyano, alkanoyl, alkoxycarbonyl, amino, alkyl, aryloxy, heterocyclyl, etc.; m = 0-3; Q = thiadiazolyl, oxadiazolyl, pyrimidinyl, triazinyl; D = (substituted) alkanediyl; E = CH:CH, CH:N, N:CH; G = CONR2C6H3R3R4, NR2COR5; R2 = H, alkyl; R1, R3, R4 = H, halo, OH, NO2, CF3, OCF3, CH2OH, alkoxy, alkoxycarbonyl, aryloxy, alkyl; R5 = (substituted) aryl, heteroaryl, cycloalkyl, alkyl], were prepd. Thus, 3-mercapto-1,3,4-thiadiazole and 4-chloromethyl-N-(4-fluorophenyl)benzamide (prepn. given) were stirred 4 h in CH2Cl2 to give 73% thiadiazolyl benzyl sulfide intermediate. This was stirred overnight with 3-ClC6H4CO(OOH) to give N-(4-fluorophenyl)-4-[[(1,3,4-thiadiazol-3-yl)sulfonyl]methyl]benzamide. I inhibited IL-8 with IC50 = 50-200 nM.
IT **500568-12-7P 500568-13-8P 500568-14-9P**
500568-18-3P 500568-19-4P 500568-25-2P
500568-27-4P 500568-28-5P 500568-29-6P
500568-30-9P 500568-36-5P 503543-70-2P
503543-72-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroaryl benzyl sulfones as antiinflammatories)
RN 500568-12-7 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[(1,3,4-thiadiazol-2-ylsulfonyl)methyl]-(9CI) (CA INDEX NAME)

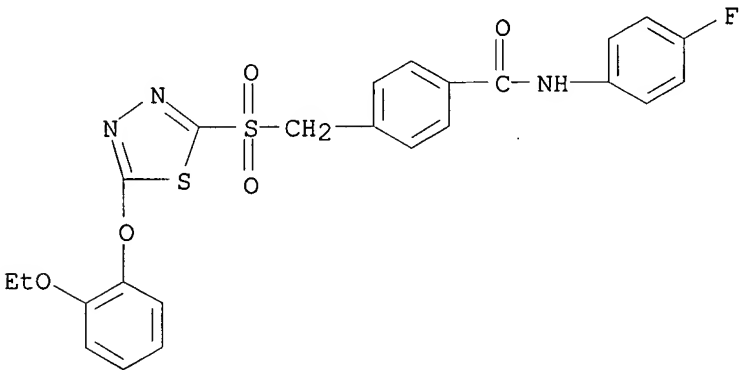


RN 500568-13-8 CAPLUS

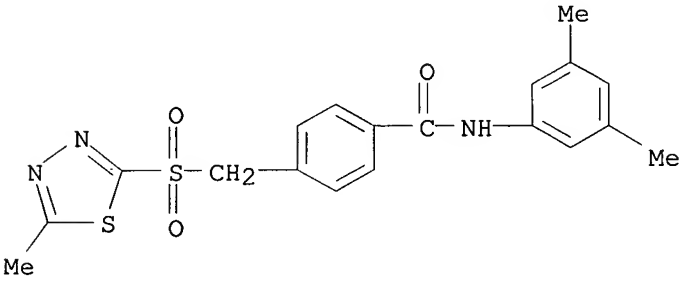
CN 1,3,4-Thiadiazole-2-carboxylic acid, 5-[[[4-[[(4-fluorophenyl)amino]carbonyl]phenyl]methyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



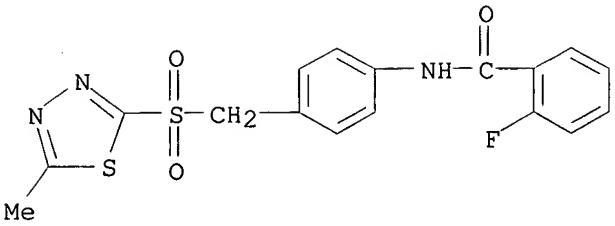
RN 500568-14-9 CAPLUS
CN Benzamide, 4-[[[5-(2-ethoxyphenoxy)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 500568-18-3 CAPLUS
CN Benzamide, N-(3,5-dimethylphenyl)-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

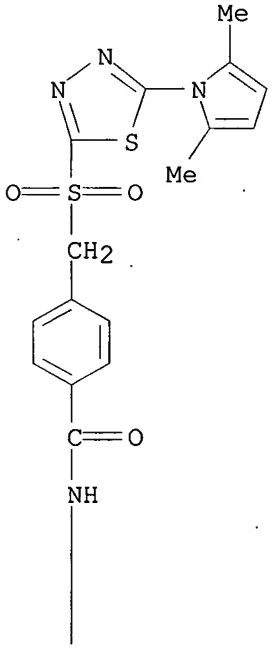


RN 500568-19-4 CAPLUS
CN Benzamide, 2-fluoro-N-[4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

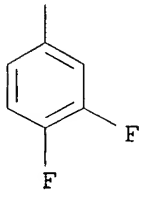


RN 500568-25-2 CAPLUS
CN Benzamide, N-(3,4-difluorophenyl)-4-[[[5-(2,5-dimethyl-1H-pyrrol-1-yl)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

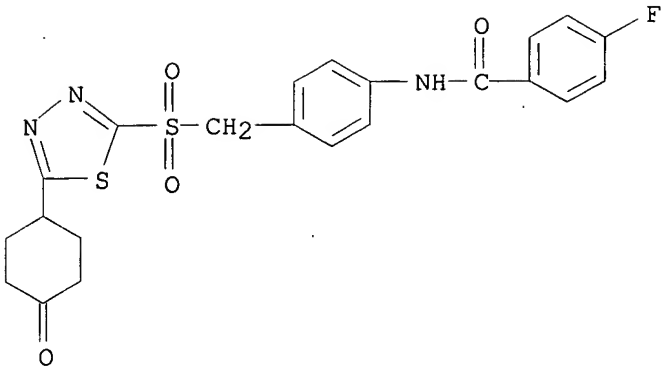
PAGE 1-A



PAGE 2-A

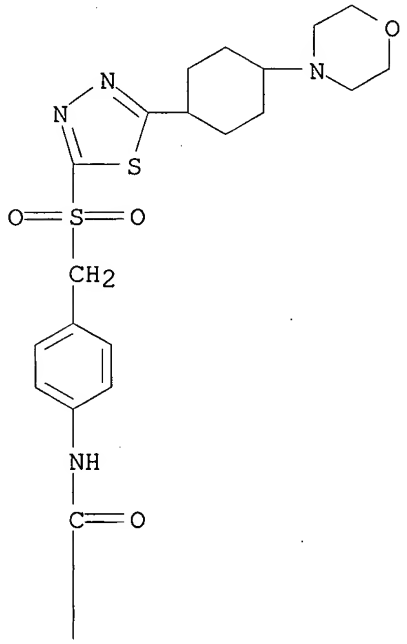


RN 500568-27-4 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[[5-(4-oxocyclohexyl)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

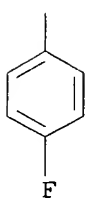


RN 500568-28-5 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[[5-[4-(4-morpholinyl)cyclohexyl]-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

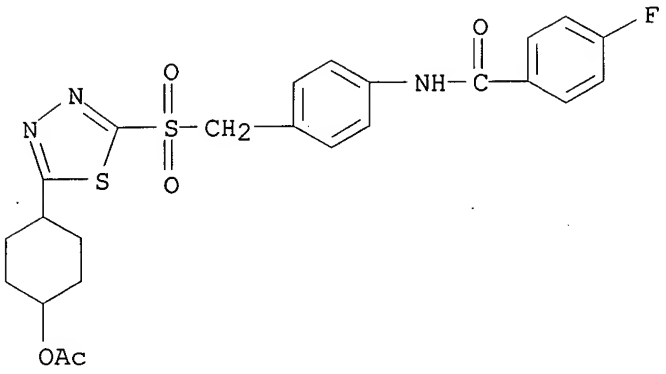


PAGE 2-A

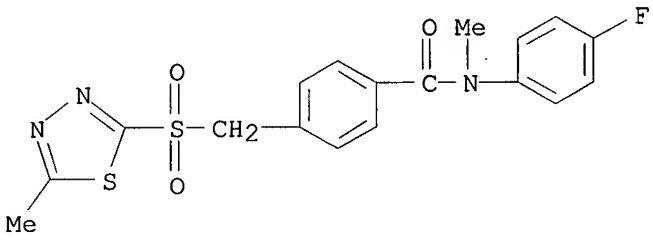


RN 500568-29-6 CAPLUS
CN Benzamide, N-[4-[[[5-[4-(acetyloxy)cyclohexyl]-1,3,4-thiadiazol-2-

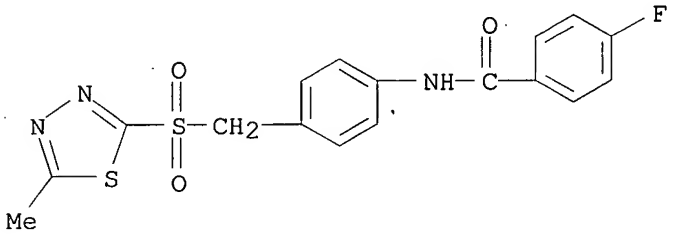
yl]sulfonyl]methyl]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)



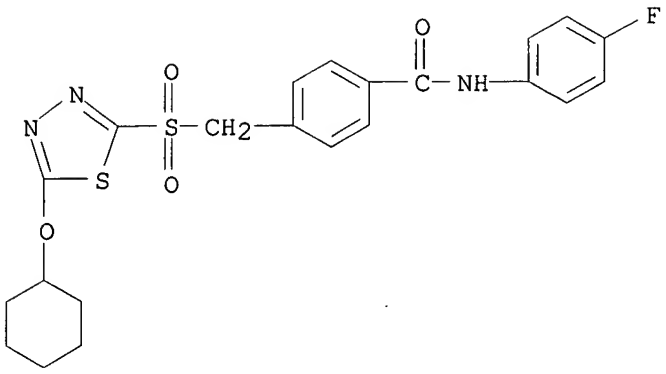
RN 500568-30-9 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-N-methyl-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



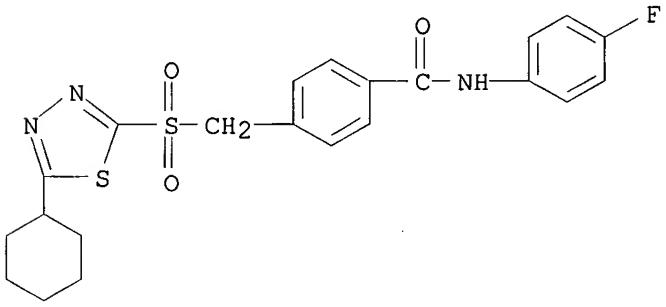
RN 500568-36-5 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



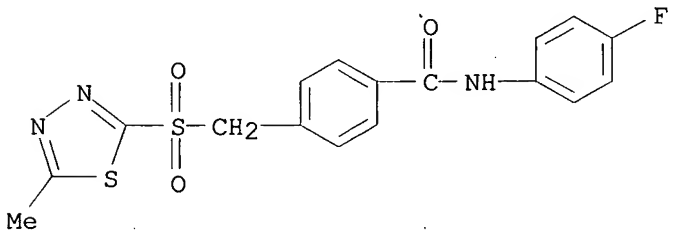
RN 503543-70-2 CAPLUS
CN Benzamide, 4-[[[5-(cyclohexyloxy)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



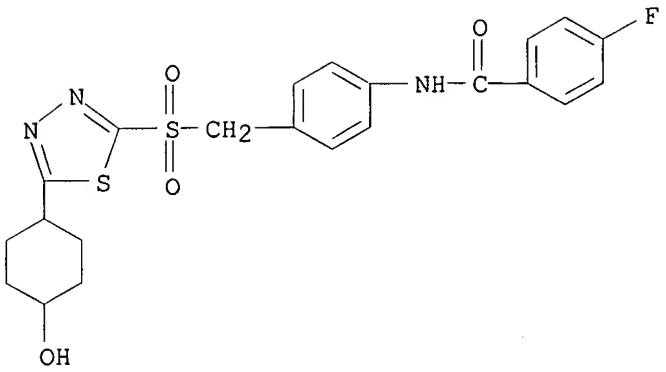
RN 503543-72-4 CAPLUS
CN Benzamide, 4-[[(5-cyclohexyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



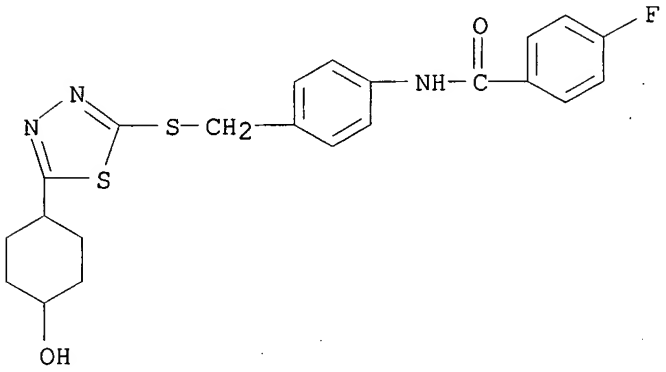
IT **500568-84-3 500568-88-7 500568-89-8**
500568-90-1 500568-92-3D, resin-bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heteroaryl benzyl sulfones as antiinflammatories)
RN 500568-84-3 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



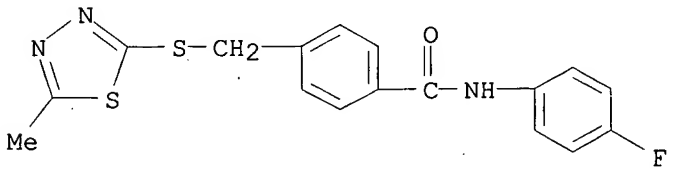
RN 500568-88-7 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[[5-(4-hydroxycyclohexyl)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



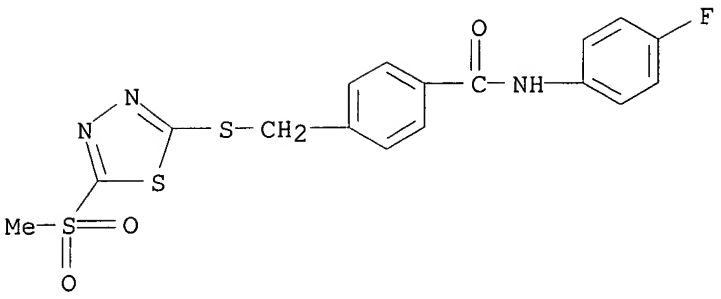
RN 500568-89-8 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[[5-(4-hydroxycyclohexyl)-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 500568-90-1 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]- (9CI) (CA INDEX NAME)

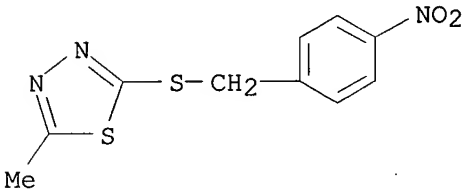


RN 500568-92-3 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[[5-(methylsulfonyl)-1,3,4-thiadiazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)

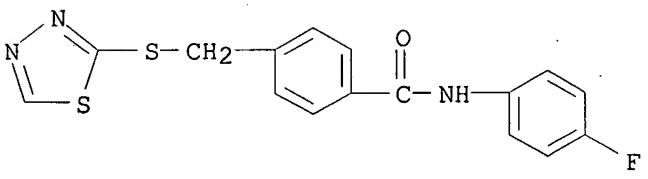


IT **330197-67-6P 500568-49-0P 500568-50-3P**
500568-51-4P 500568-52-5P 500568-53-6P
500568-54-7P 500568-64-9P 500568-65-0P
500568-66-1P 500568-67-2P 500568-92-3P
503543-89-3P 503543-98-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heteroaryl benzyl sulfones as antiinflammatories)

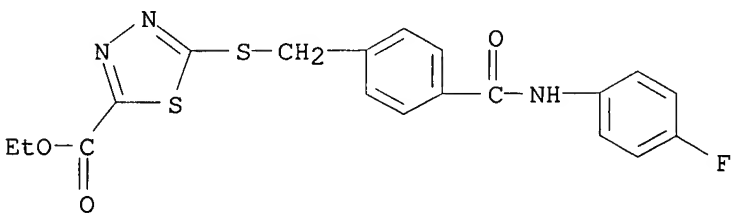
RN 330197-67-6 CAPLUS
CN 1,3,4-Thiadiazole, 2-methyl-5-[[(4-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



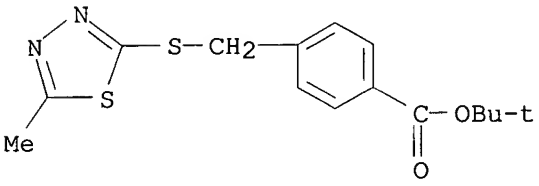
RN 500568-49-0 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[(1,3,4-thiadiazol-2-ylthio)methyl]- (9CI) (CA INDEX NAME)



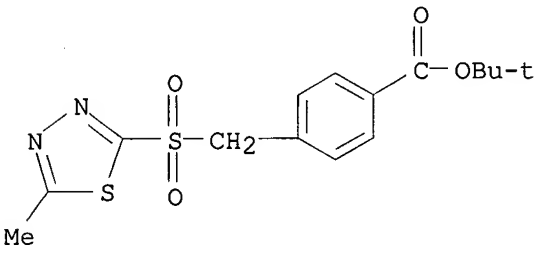
RN 500568-50-3 CAPLUS
CN 1,3,4-Thiadiazole-2-carboxylic acid, 5-[[[4-[[(4-fluorophenyl)amino]carbonyl]phenyl]methyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



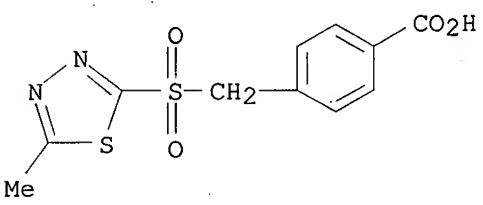
RN 500568-51-4 CAPLUS
CN Benzoic acid, 4-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



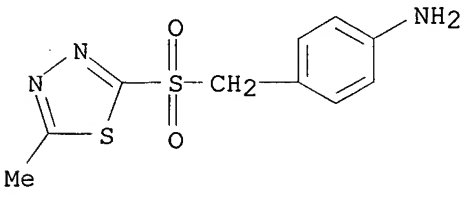
RN 500568-52-5 CAPLUS
CN Benzoic acid, 4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



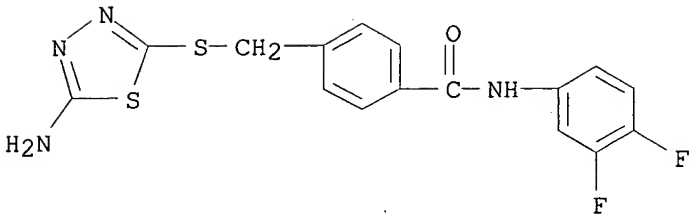
RN 500568-53-6 CAPLUS
CN Benzoic acid, 4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



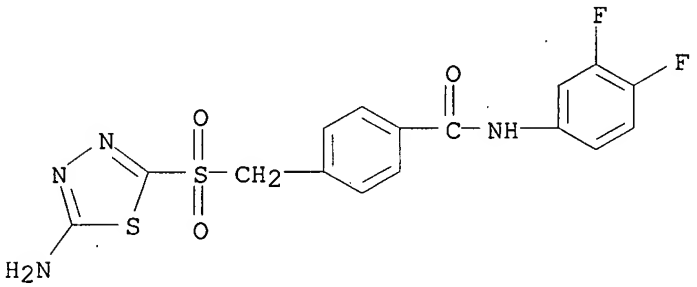
RN 500568-54-7 CAPLUS
CN Benzenamine, 4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



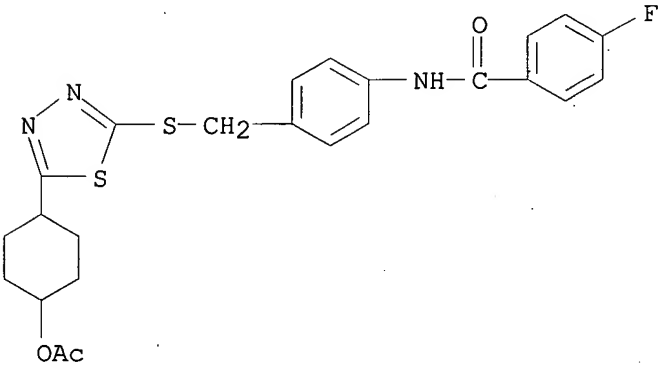
RN 500568-64-9 CAPLUS
CN Benzamide, 4-[[(5-amino-1,3,4-thiadiazol-2-yl)thio]methyl]-N-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



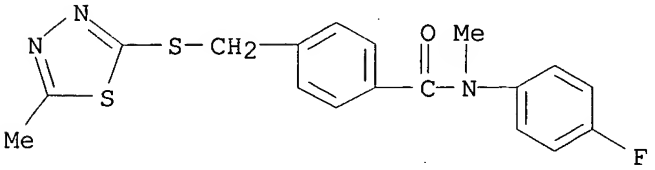
RN 500568-65-0 CAPLUS
CN Benzamide, 4-[[(5-amino-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]-N-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 500568-66-1 CAPLUS
CN Benzamide, N-[4-[[[5-[4-(acetyloxy)cyclohexyl]-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)

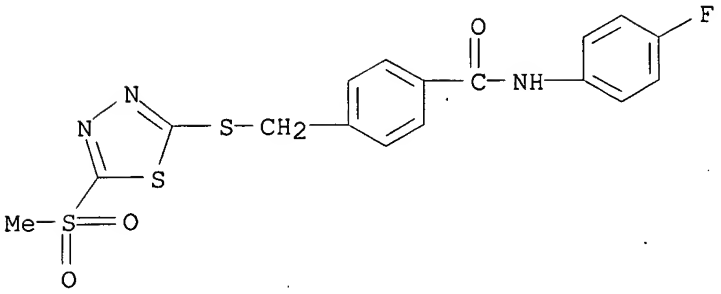


RN 500568-67-2 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-N-methyl-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]- (9CI) (CA INDEX NAME)

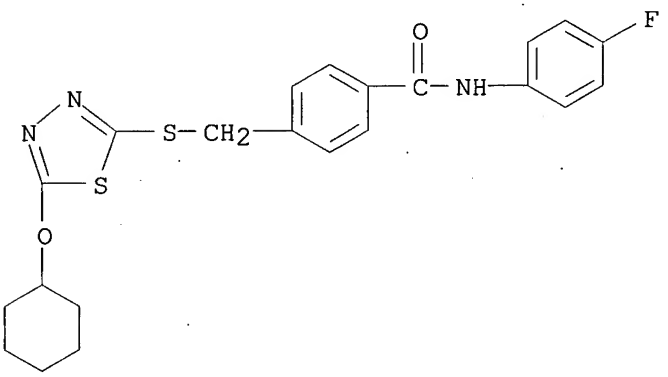


RN 500568-92-3 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[[5-(methylsulfonyl)-1,3,4-thiadiazol-2-

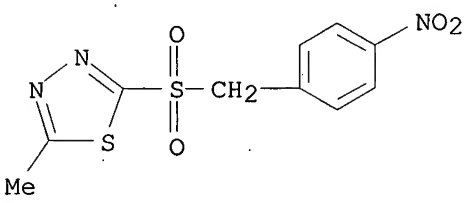
yl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 503543-89-3 CAPLUS
CN Benzamide, 4-[[[5-(cyclohexyloxy)-1,3,4-thiadiazol-2-yl]thio]methyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 503543-98-4 CAPLUS
CN 1,3,4-Thiadiazole, 2-methyl-5-[[(4-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

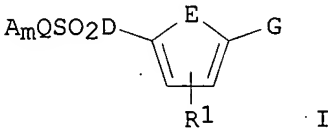
L46 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:174548 CAPLUS
DOCUMENT NUMBER: 138:221587
TITLE: Preparation of azinyl- and azolylsulfones as chemokine IL-8 receptor binding inhibitors.
INVENTOR(S): Brands, Michael; Gruetzmann, Rudi; Kalthof, Bernd; Keldenich, Jorg; Lang, Dieter; Mueller, Ullrich; Pernerstorfer, Josef; Raabe, Martin; Rank, Elisabeth; Schirok, Hartmut; Schmeck, Carsten; Schuhmacher, Joachim; Stelte, Ludwig Beatrix; Urbahns, Klaus; Zaiss, Siegfried

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Bayer Ag, Germany
SOURCE: Brit. UK Pat. Appl., 138 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2379218	A1	20030305	GB 2001-23437	20010928
GB 2380190	A1	20030402	GB 2001-20818	20010828

PRIORITY APPLN. INFO.: GB 2001-20818 A 20010828
OTHER SOURCE(S): MARPAT 138:221587
GI

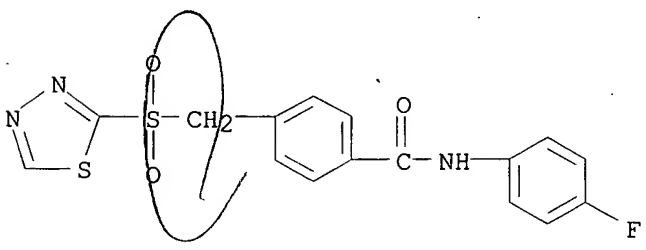


I

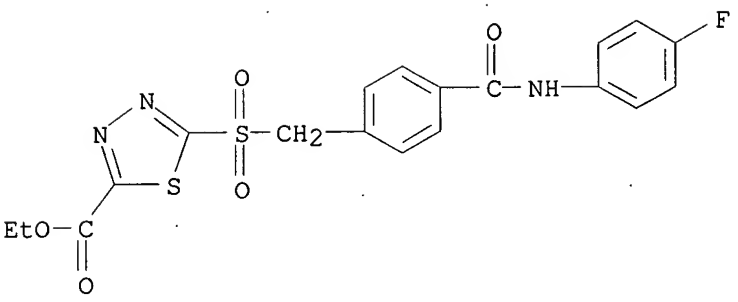
AB Title compds. [I; Q = C-bound heterocyclyl; A = benzodioxanyl, benzodioxolyl, difluorobenzodioxolyl, tetrafluorobenzodioxanyl, H, OH, cyano, alkanoyl, alkoxycarbonyl, alkyl, alkoxy, etc.; D = (substituted) alkanediyl; E = CH:CH, CH:N; G = phenylaminocarbonyl, aroylamino; R1 = H, halo, OH, NO2, CF3, OCF3, hydroxymethyl alkoxy, alkoxycarbonyl, aryloxy, alkyl; m = 0-3], were prepd. Thus, 3-mercapto-1,3,4-thiadiazole, 4-chloromethyl-N-(4-fluorophenyl)benzamide, and Et3N were stirred together for 4 h in CH2Cl2 to give 73% sulfide coupling product, which was stirred with 3-ClC6H4CO(OOH) in DMF for 4 h to give 75% N-(4-fluorophenyl)-4-[[(1,3,4-thiadiazol-3-yl)sulfonyl]methyl]benzamide. I inhibited IL-8 receptor binding with IC50 = 40-470 nM.

IT **500568-12-7P 500568-13-8P 500568-14-9P**
500568-18-3P 500568-19-4P 500568-25-2P
500568-27-4P 500568-28-5P 500568-29-6P
500568-30-9P 500568-36-5P 500568-37-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azinyl- and azolylsulfones as chemokine IL-8 receptor binding inhibitors)

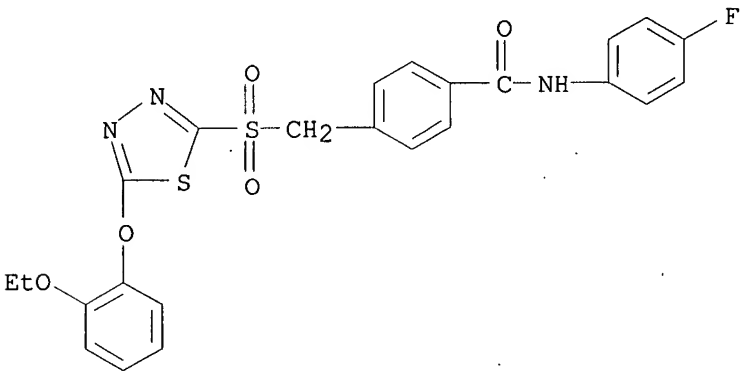
RN 500568-12-7 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[(1,3,4-thiadiazol-2-ylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



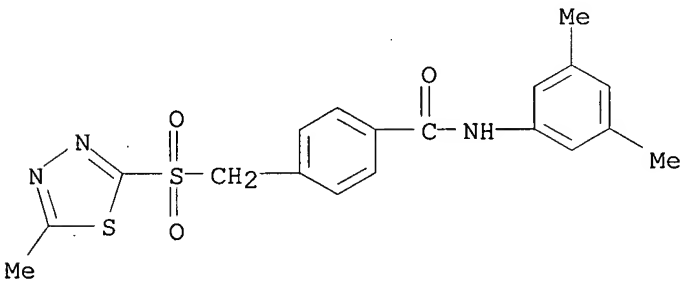
RN 500568-13-8 CAPLUS
CN 1,3,4-Thiadiazole-2-carboxylic acid, 5-[[[4-[[(4-fluorophenyl)amino]carbonyl]phenyl]methyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



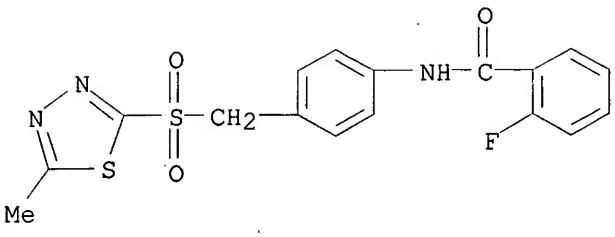
RN 500568-14-9 CAPLUS
CN Benzamide, 4-[[[5-(2-ethoxyphenoxy)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 500568-18-3 CAPLUS
CN Benzamide, N-(3,5-dimethylphenyl)-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

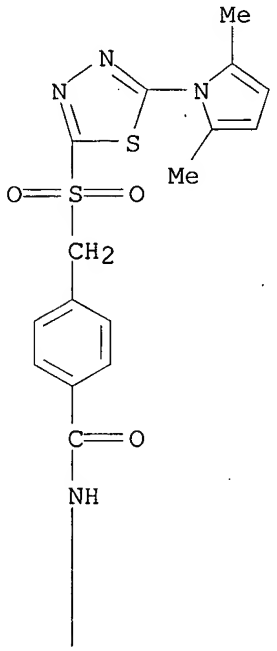


RN 500568-19-4 CAPLUS
CN Benzamide, 2-fluoro-N-[4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

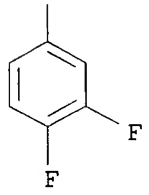


RN 500568-25-2 CAPLUS
CN Benzamide, N-(3,4-difluorophenyl)-4-[[[5-(2,5-dimethyl-1H-pyrrol-1-yl)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

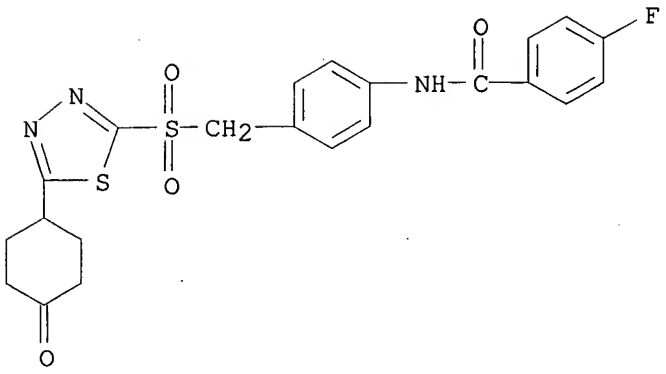
PAGE 1-A



PAGE 2-A

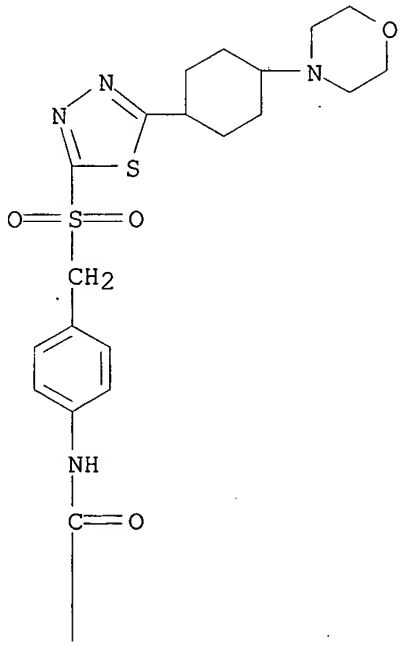


RN 500568-27-4 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[[5-(4-oxocyclohexyl)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

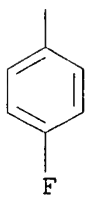


RN 500568-28-5 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[[5-[4-(4-morpholinyl)cyclohexyl]-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

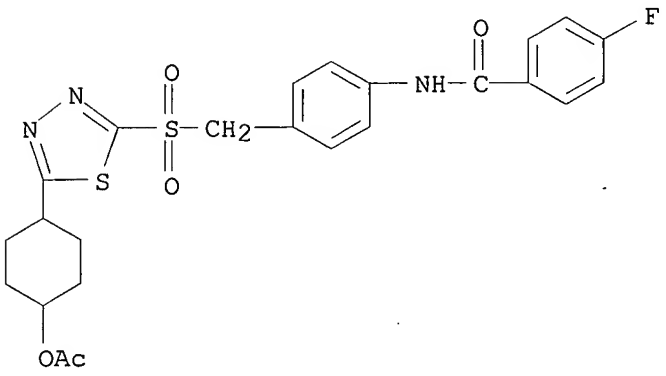


PAGE 2-A

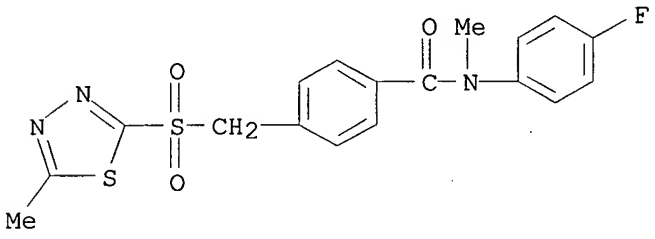


RN 500568-29-6 CAPLUS
CN Benzamide, N-[4-[[[5-[4-(acetyloxy)cyclohexyl]-1,3,4-thiadiazol-2-

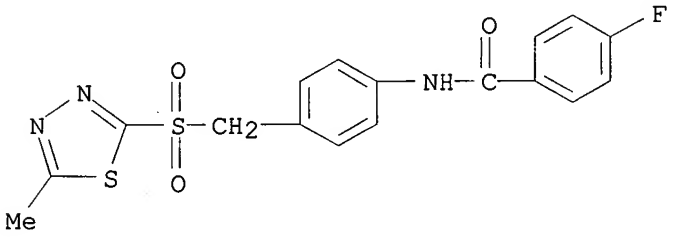
yl]sulfonyl]methyl]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)



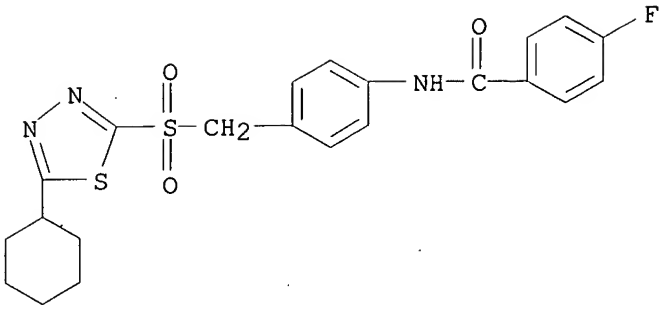
RN 500568-30-9 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-N-methyl-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 500568-36-5 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)

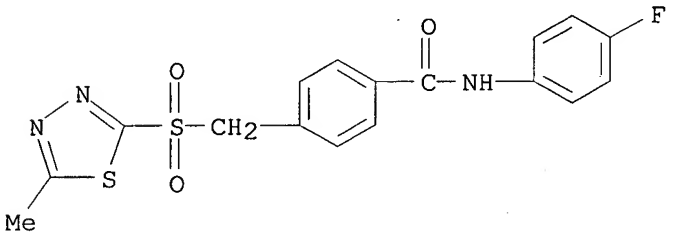


RN 500568-37-6 CAPLUS
CN Benzamide, N-[4-[[(5-cyclohexyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)

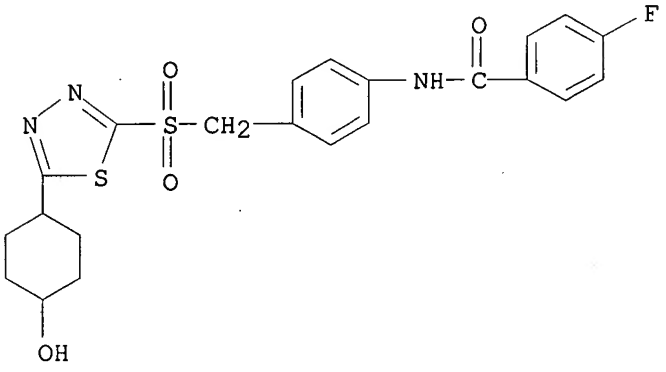


IT **500568-84-3 500568-88-7 500568-89-8**
500568-90-1 500568-92-3D, resin-bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azinyl- and azolylsulfones as chemokine IL-8 receptor binding inhibitors)

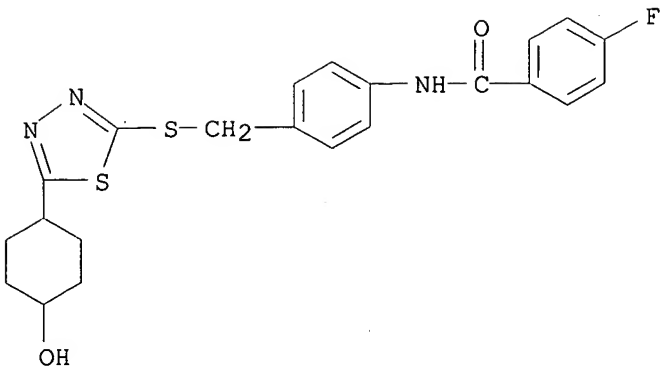
RN 500568-84-3 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



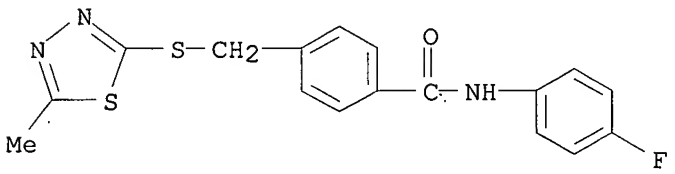
RN 500568-88-7 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[[5-(4-hydroxycyclohexyl)-1,3,4-thiadiazol-2-yl]sulfonyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



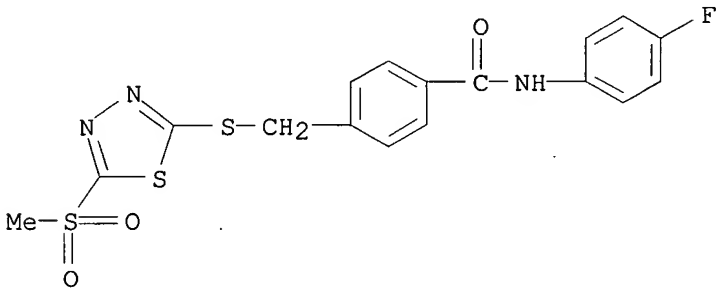
RN 500568-89-8 CAPLUS
CN Benzamide, 4-fluoro-N-[4-[[[5-(4-hydroxycyclohexyl)-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]- (9CI) (CA INDEX NAME)



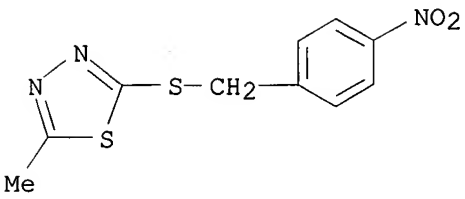
RN 500568-90-1 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]- (9CI) (CA INDEX NAME)



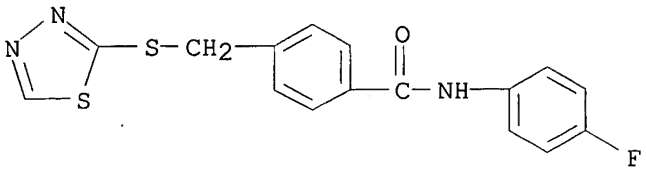
RN 500568-92-3 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[[[5-(methylsulfonyl)-1,3,4-thiadiazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



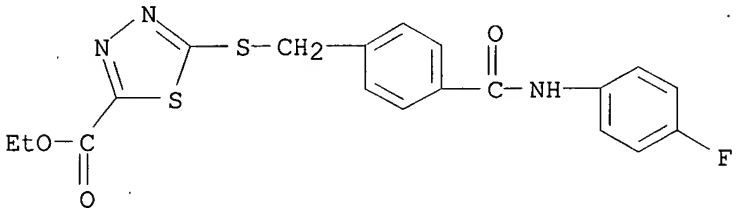
IT **330197-67-6P 500568-49-0P 500568-50-3P**
500568-51-4P 500568-52-5P 500568-53-6P
500568-54-7P 500568-64-9P 500568-65-0P
500568-66-1P 500568-67-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azinyl- and azolylsulfones as chemokine IL-8 receptor binding inhibitors)
RN 330197-67-6 CAPLUS
CN 1,3,4-Thiadiazole, 2-methyl-5-[[(4-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



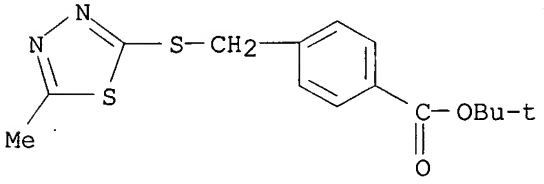
RN 500568-49-0 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-4-[(1,3,4-thiadiazol-2-ylthio)methyl]- (9CI) (CA INDEX NAME)



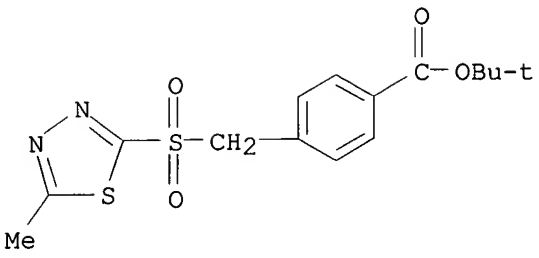
RN 500568-50-3 CAPLUS
CN 1,3,4-Thiadiazole-2-carboxylic acid, 5-[[[4-[[(4-fluorophenyl)amino]carbonyl]phenyl]methyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



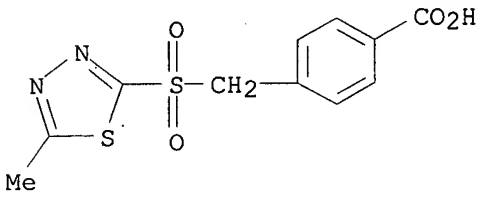
RN 500568-51-4 CAPLUS
CN Benzoic acid, 4-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



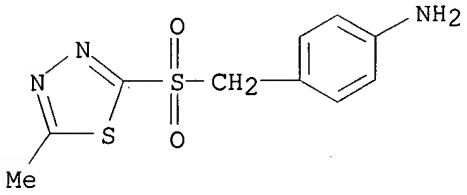
RN 500568-52-5 CAPLUS
CN Benzoic acid, 4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



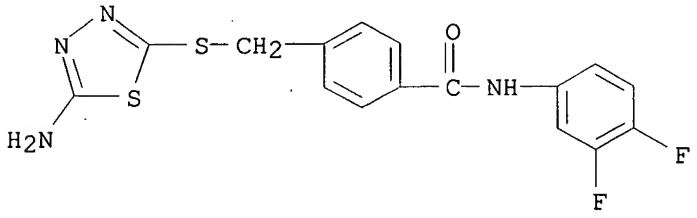
RN 500568-53-6 CAPLUS
CN Benzoic acid, 4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



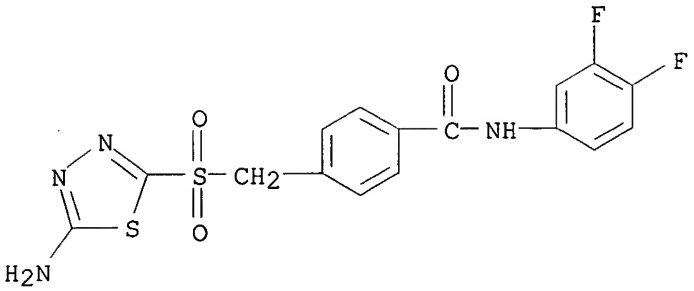
RN 500568-54-7 CAPLUS
CN Benzenamine, 4-[[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



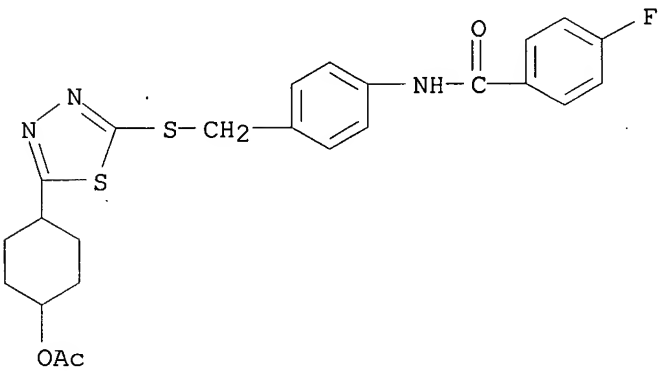
RN 500568-64-9 CAPLUS
CN Benzamide, 4-[[(5-amino-1,3,4-thiadiazol-2-yl)thio]methyl]-N-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



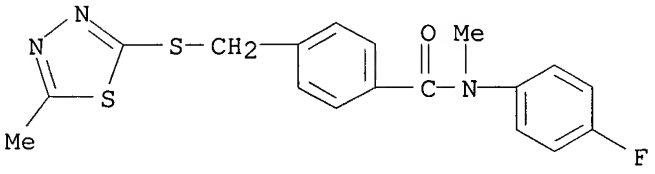
RN 500568-65-0 CAPLUS
CN Benzamide, 4-[[(5-amino-1,3,4-thiadiazol-2-yl)sulfonyl]methyl]-N-(3,4-difluorophenyl)- (9CI) (CA INDEX NAME)



RN 500568-66-1 CAPLUS
CN Benzamide, N-[4-[[[5-[4-(acetyloxy)cyclohexyl]-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)



RN 500568-67-2 CAPLUS
CN Benzamide, N-(4-fluorophenyl)-N-methyl-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]- (9CI) (CA INDEX NAME)



L46 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637519 CAPLUS
DOCUMENT NUMBER: 137:169526
TITLE: Preparation of 2-benzylthio-1,3,4-thiadiazoles and -triazoles and analogs as **glycine transporter** 2 inhibitors
INVENTOR(S): Laborde, Edgardo; Villar, Hugo O.
PATENT ASSIGNEE(S): Telik, Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

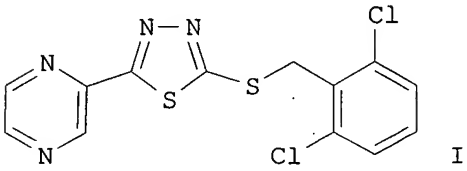
PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002064135	A1	20020822	WO 2002-US3837	20020208	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2003073726	A1	20030417	US 2002-72308	20020205	
EP 1357913	A1	20031105	EP 2002-714858	20020208	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					

PRIORITY APPLN. INFO.: US 2001-267894P P 20010209
WO 2002-US3837 W 20020208

OTHER SOURCE(S): MARPAT 137:169526

GI



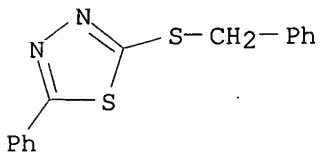
I

AB Title compds., e.g., R1ZSCH2R [R = (un)substituted Ph; R1 = alkyl, (hetero)aryl(thiomethyl), etc.; Z = 1,3,4-thiadiazole- or -triazole-2,5-diyl, etc.] were prepd. Thus, pyrazine-2-carboxylic anhydride was amidated by H2NNH2 and the product converted in 3 steps to title compd. I. Data for biol. activity of title compds. were given.

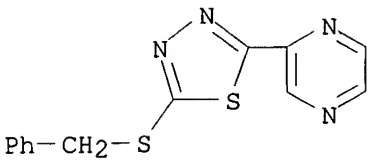
IT **93141-03-8P 154192-35-5P 333409-40-8P**
353504-56-0P 448947-76-0P 448947-77-1P
448947-78-2P 448947-79-3P 448947-80-6P
448947-81-7P 448947-82-8P 448947-83-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-benzylthio-1,3,4-thiadiazoles and -triazoles and analogs as **glycine transporter** 2 inhibitors)

RN 93141-03-8 CAPLUS
CN 1,3,4-Thiadiazole, 2-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

514/363

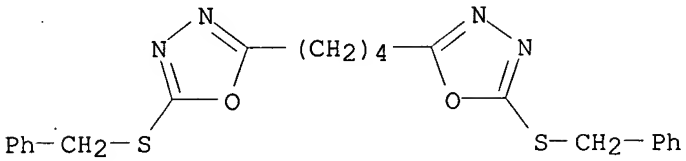


RN 154192-35-5 CAPLUS
CN Pyrazine, [5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



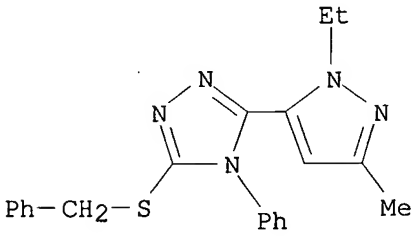
RN 333409-40-8 CAPLUS
CN 1,3,4-Oxadiazole, 2,2'-(1,4-butanediyl)bis[5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

514/364

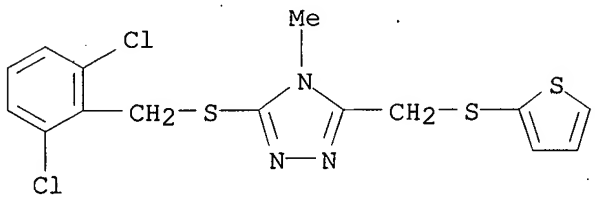


RN 353504-56-0 CAPLUS
CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

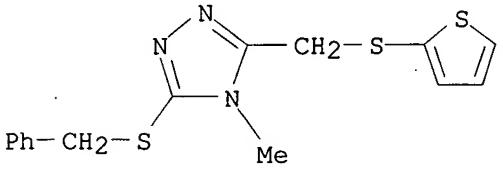
514/384



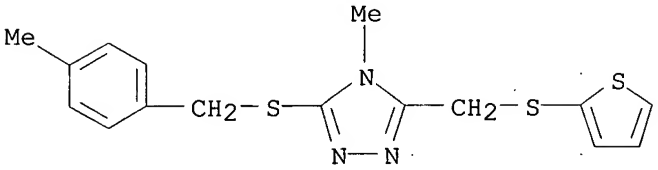
RN 448947-76-0 CAPLUS
CN 4H-1,2,4-Triazole, 3-[[(2,6-dichlorophenyl)methyl]thio]-4-methyl-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



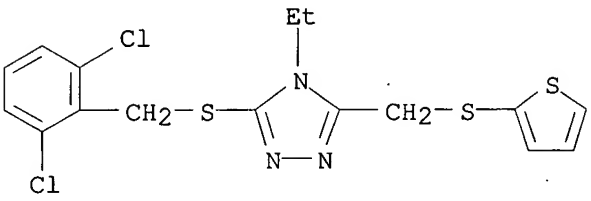
RN 448947-77-1 CAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[(phenylmethyl)thio]-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



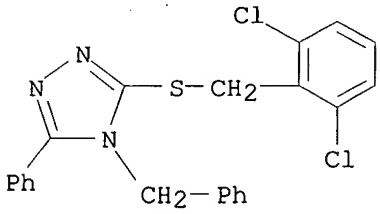
RN 448947-78-2 CAPLUS
CN 4H-1,2,4-Triazole, 4-methyl-3-[[(4-methylphenyl)methyl]thio]-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



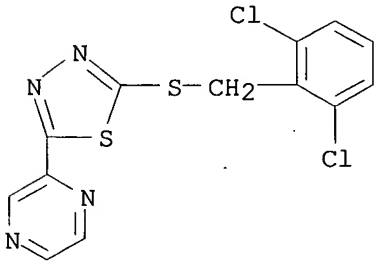
RN 448947-79-3 CAPLUS
CN 4H-1,2,4-Triazole, 3-[[(2,6-dichlorophenyl)methyl]thio]-4-ethyl-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



RN 448947-80-6 CAPLUS
CN 4H-1,2,4-Triazole, 3-[[(2,6-dichlorophenyl)methyl]thio]-5-phenyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

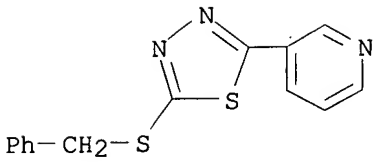


RN 448947-81-7 CAPLUS
CN Pyrazine, [5-[[(2,6-dichlorophenyl)methyl]thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



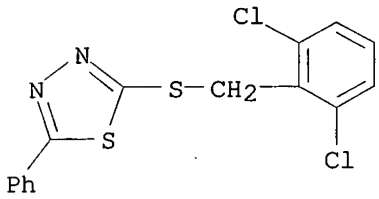
514/255.05

RN 448947-82-8 CAPLUS
CN Pyridine, 3-[5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



514/342

RN 448947-83-9 CAPLUS
CN 1,3,4-Thiadiazole, 2-[[(2,6-dichlorophenyl)methyl]thio]-5-phenyl- (9CI) (CA INDEX NAME)



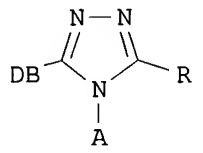
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:851132 CAPLUS
DOCUMENT NUMBER: 136:5994
TITLE: Preparation of triazole derivatives as **glycine transporter** inhibitors useful as learning improving agents
INVENTOR(S): Tobe, Takahiko; Sugane, Takashi; Hamaguchi, Wataru; Shimada, Itsuro; Maeno, Kyoichi; Miyata, Junji; Kimizuka, Tetsuya; Suzuki, Takeshi; Kohara, Atsuyuki; Morita, Takuma; Arlt, Michael; Greiner, Hartmut
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Merck Patent Gesellschaft mit Beschrankter Haftung
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087855	A1	20011122	WO 2001-JP4128	20010517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001056769	A5	20011126	AU 2001-56769	20010517
EP 1293503	A1	20030319	EP 2001-930192	20010517
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002005517	A	20021118	NO 2002-5517	20021118

US 2003216385 A1 20031120 US 2002-276720 20021118
PRIORITY APPLN. INFO.: JP 2000-148419 A 20000519
JP 2001-47921 A 20010223
WO 2001-JP4128 W 20010517

OTHER SOURCE(S): MARPAT 136:5994
GI



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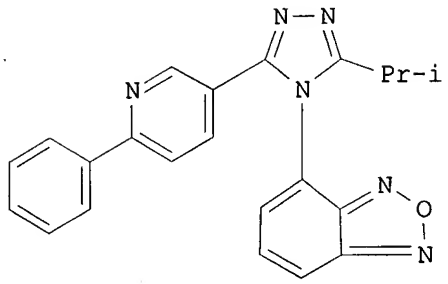
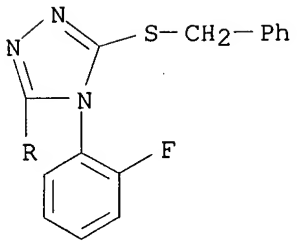


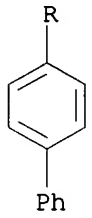
II

AB Title compds. [I; A = aryl, heterocycly, cycloalkyl; B = aryl, pyridyl; D = aryl; R = H, CH3, CH3CH2, (CH3)2CH, CH3(CH2)2, CH3O(CH2)3, CH3CH2NH, (CH3)2N, CH3OCH2CH2NH], having glycine transporter inhibitory activity, are prepd. for remedies as learning improving agents. Thus, the title compd. II was prepd. and biol. tested.

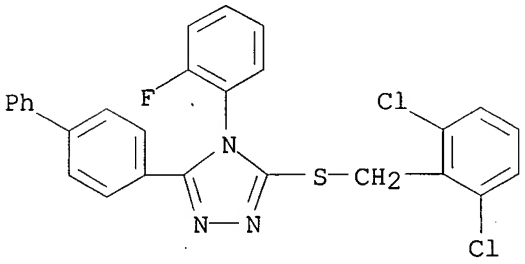
IT **374887-85-1P 374887-86-2P 374887-87-3P 374887-88-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of triazole derivs. as **glycine transporter** inhibitors)

RN 374887-85-1 CAPLUS
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

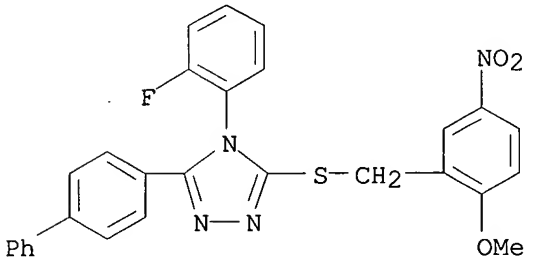




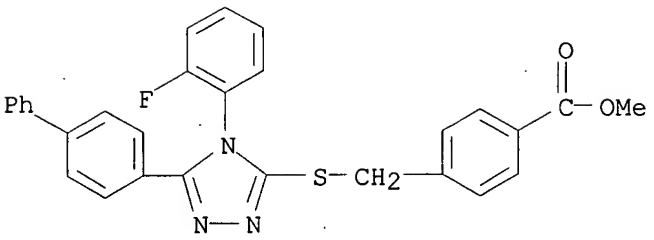
RN 374887-86-2 CAPLUS
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-5-[[(2,6-dichlorophenyl)methyl]thio]-4-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 374887-87-3 CAPLUS
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-5-[[(2-methoxy-5-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 374887-88-4 CAPLUS
CN Benzoic acid, 4-[[[5-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)

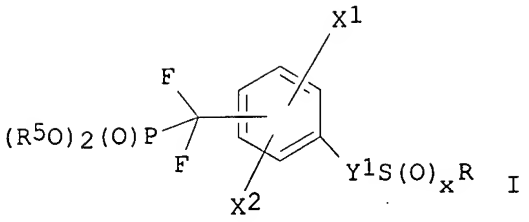


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:713360 CAPLUS
DOCUMENT NUMBER: 135:273076
TITLE: Sulfur substituted phenyldifluoromethylphosphonic acids as PTP-1B inhibitors
INVENTOR(S): Li, Chun Sing; Lau, Cheuk K.; Therien, Michel; Gauthier, Jacques Y.; Bayly, Christopher; Dufresne, Claude; Fortin, Rejean; Leblanc, Yves; Roy, Patrick; Wang, Zhaoyin
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 337 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070753	A1	20010927	WO 2001-CA373	20010321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002002149	A1	20020103	US 2001-813499	20010321
US 6465444	B2	20021015		
US 2002091104	A1	20020711	US 2001-813489	20010321
US 6498151	B2	20021224		
EP 1268494	A1	20030102	EP 2001-916791	20010321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528106	T2	20030924	JP 2001-568954	20010321

PRIORITY APPLN. INFO.: US 2000-191369P P 20000322
WO 2001-CA373 W 20010321
OTHER SOURCE(S): MARPAT 135:273076
GI

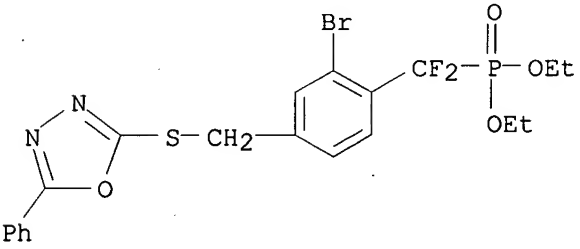


AB The invention encompasses the novel class of I (e.g. 4'-[4-(difluorophosphonomethyl)benzylthiomethyl]-4-(3-methylbutoxy)biphenyl-3-ylphosphonic acid), or a pharmaceutically acceptable salt or prodrug thereof, which are inhibitors of the protein tyrosine phosphatase-1B (PTP-1B) enzyme (no data). The invention also encompasses pharmaceutical compns. and methods of treating or preventing PTP-1B mediated diseases, including diabetes. In I, X1 and X2 = independently H, OH, halogen, CN, CO2H, CO2C1-6alkyl, CO2C2-6alkenyl, OC1-6alkyl, OC2-6alkenyl, C(O)C1-6alkyl, C(O)C2-6alkenyl, OC(O)C1-6alkyl, OC(O)C2-6alkenyl, S(O)xC1-6alkyl, S(O)xC2-6alkenyl, C1-6 alkyl, C2-6alkenyl, C2-6alkynyl, S(O)2NR1R2, C(O)NR1R2, and NR1R2, wherein each alkyl group and each alkenyl group in each substituent is optionally substituted. X = 0-2; R5 = H. R1 and R2 independently = H and C1-4alkyl, wherein said alkyl substituents are optionally substituted with 1-9 halogen atoms; Y1 = bond, C1-6 alkylene group, and C2-6 alkenylene group, wherein said alkylene group and said alkenylene group are optionally substituted. R = C1-10 alkyl, C2-10alkenyl, C2-10alkadienyl, C2-10alkynyl, Ar1, and Het1, wherein said alkyl, alkenyl, alkadienyl, and alkynyl are optionally substituted; Het1 = a 5-10 membered arom. ring system comprising 1 ring or 2 rings fused together and 1-4 heteroatoms selected from O, N, S(O)x, and combinations thereof, and 0-2 carbonyl groups, wherein one of said fused rings is optionally a benzene ring, and said Het1 is optionally substituted; Ar1 = Ph or naphthyl, optionally substituted. Although the methods of prepn. are not claimed, 209 example prepns. are included.

IT **362530-22-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; sulfur substituted phenyldifluoromethylphosphonic acids as PTP-1B inhibitors)

RN 362530-22-1 CAPLUS

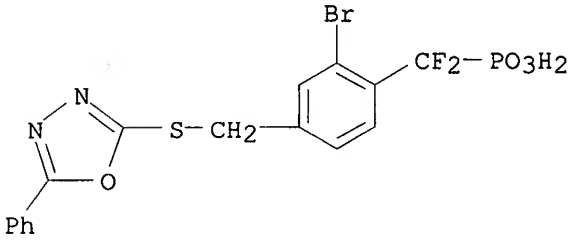
CN Phosphonic acid, [[2-bromo-4-[[(5-phenyl-1,3,4-oxadiazol-2-yl)thio]methyl]phenyl]difluoromethyl]-, diethyl ester (9CI) (CA INDEX NAME)



IT **362530-21-0P 362530-82-3P 362530-84-5P**
362530-87-8P 362530-88-9P 362531-59-7P
362531-60-0P 362531-62-2P 362531-63-3P
362531-64-4P 362531-65-5P 362531-68-8P
362531-71-3P 362531-72-4P 362531-74-6P

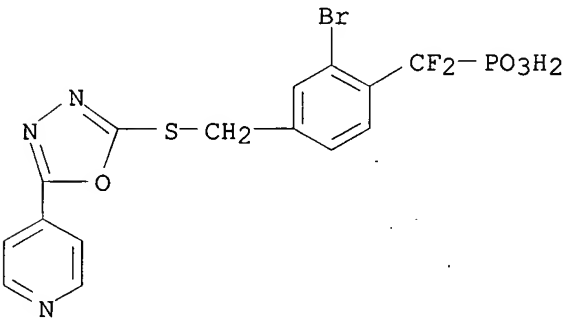
362531-76-8P 362531-77-9P 362531-78-0P
362531-79-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sulfur substituted phenyldifluoromethylphosphonic acids as PTP-1B inhibitors)

RN 362530-21-0 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[(5-phenyl-1,3,4-oxadiazol-2-yl)thio]methyl]phenyl]difluoromethyl]-, disodium salt (9CI) (CA INDEX NAME)

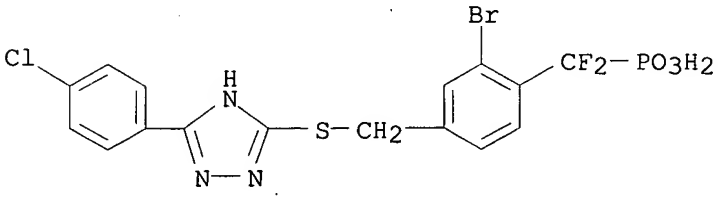


●2 Na

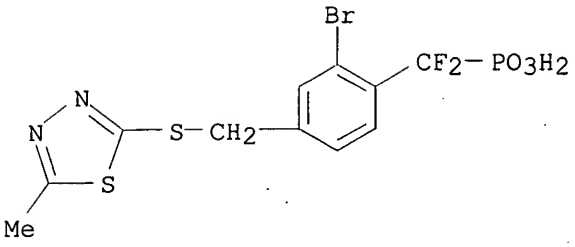
RN 362530-82-3 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



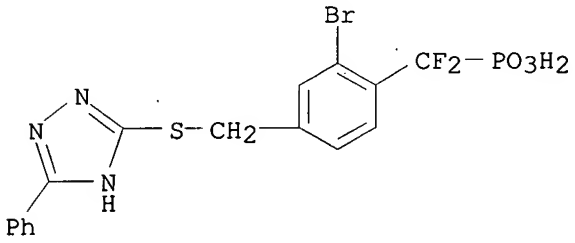
RN 362530-84-5 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-(4-chlorophenyl)-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



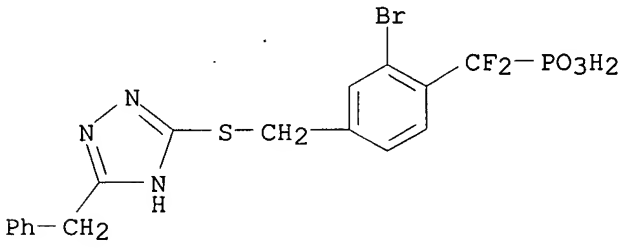
RN 362530-87-8 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



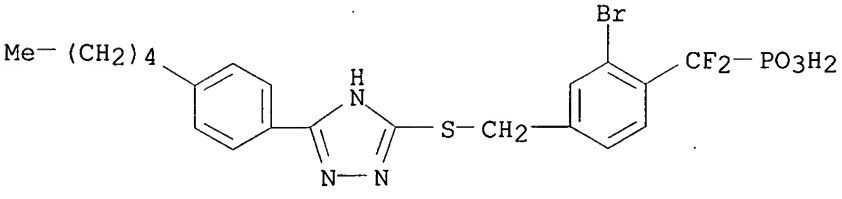
RN 362530-88-9 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[(5-phenyl-1H-1,2,4-triazol-3-yl)thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



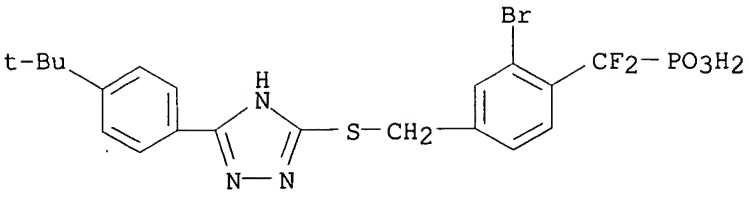
RN 362531-59-7 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-(phenylmethyl)-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



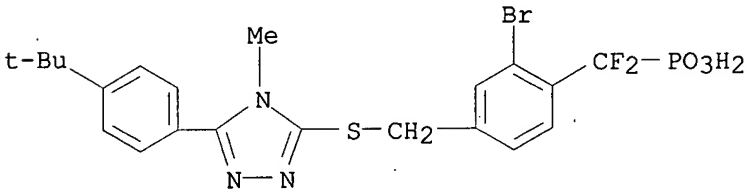
RN 362531-60-0 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-(4-pentylphenyl)-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



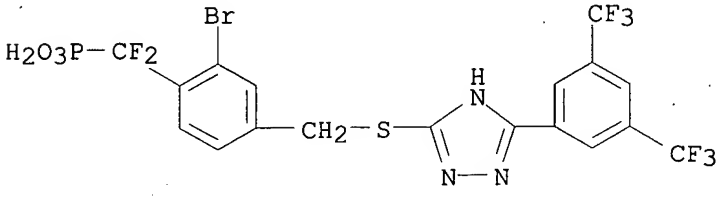
RN 362531-62-2 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



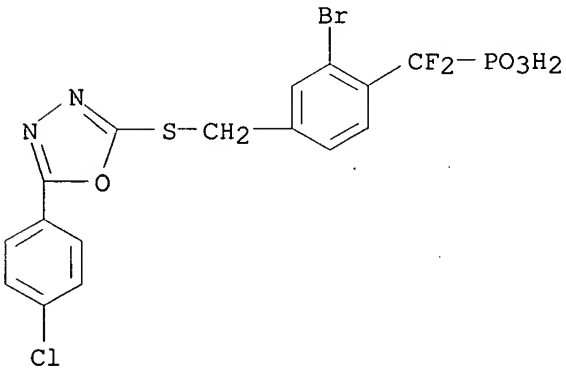
RN 362531-63-3 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-[4-(1,1-dimethylethyl)phenyl]-4-methyl-4H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



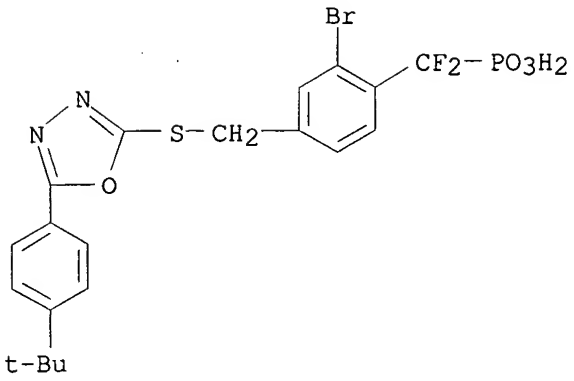
RN 362531-64-4 CAPLUS
CN Phosphonic acid, [[4-[[[5-[3,5-bis(trifluoromethyl)phenyl]-1H-1,2,4-triazol-3-yl]thio]methyl]-2-bromophenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



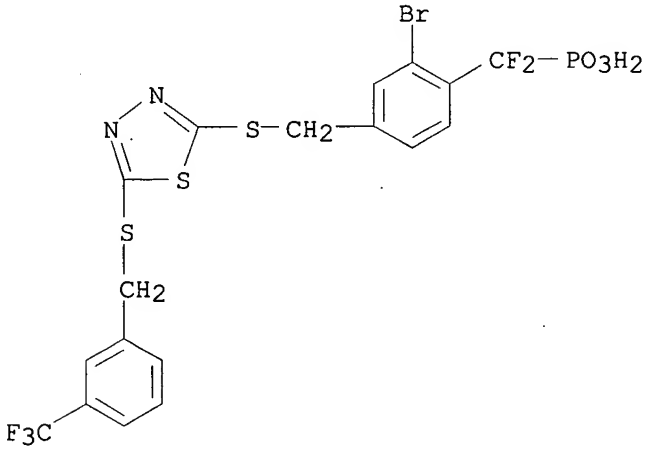
RN 362531-65-5 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



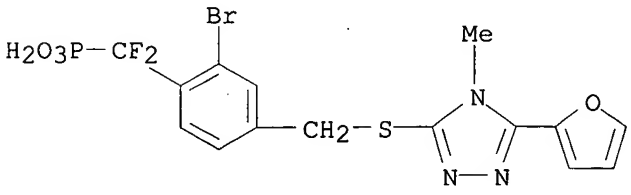
RN 362531-68-8 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-[4-(1,1-dimethylethyl)phenyl]-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



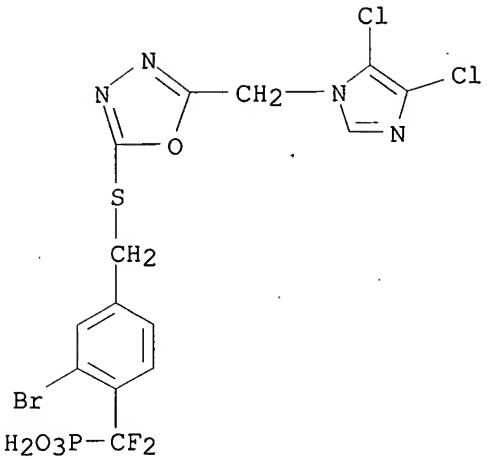
RN 362531-71-3 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-[[[3-(trifluoromethyl)phenyl]methyl]thio]-1,3,4-thiadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



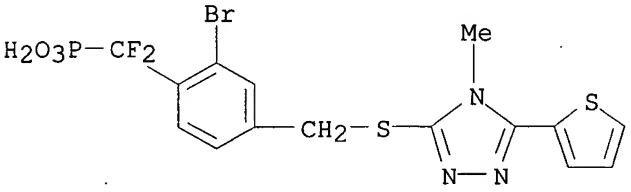
RN 362531-72-4 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-(2-furanyl)-4-methyl-4H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



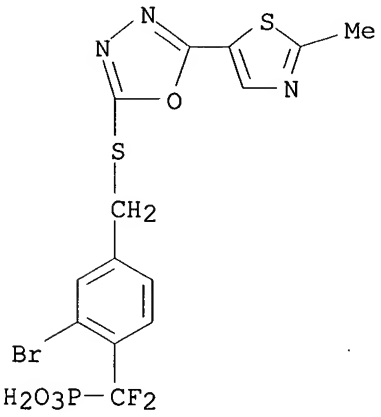
RN 362531-74-6 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-[(4,5-dichloro-1H-imidazol-1-yl)methyl]-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



RN 362531-76-8 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[4-methyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)

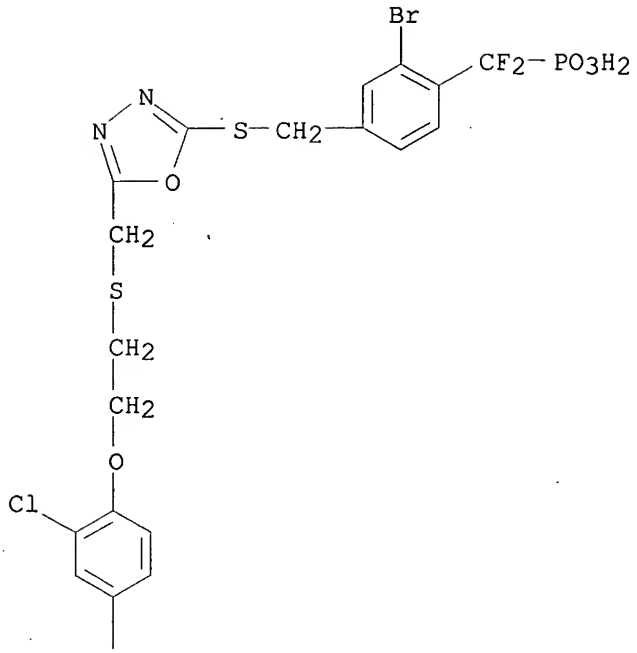


RN 362531-77-9 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-(2-methyl-5-thiazolyl)-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



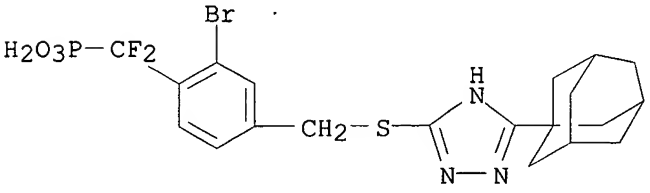
RN 362531-78-0 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[[5-[[[2-(2,4-dichlorophenoxy)ethyl]thio]methyl]-1,3,4-oxadiazol-2-yl]thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 362531-79-1 CAPLUS
CN Phosphonic acid, [[2-bromo-4-[[(5-tricyclo[3.3.1.13,7]dec-1-yl-1H-1,2,4-triazol-3-yl)thio]methyl]phenyl]difluoromethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:713350 CAPLUS
DOCUMENT NUMBER: 135:272982
TITLE: Preparation of 5-alkylpyrido[2,3-d]pyrimidine tyrosine kinase inhibitors
INVENTOR(S): Booth, Richard John; Dobrusin, Ellen Myra; Toogood, Peter Laurence; Vanderwel, Scott Norman
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070741	A1	20010927	WO 2001-US2657	20010129
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1268476	A1	20030102	EP 2001-905114	20010129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009056	A	20030603	BR 2001-9056	20010129
JP 2003528101	T2	20030924	JP 2001-568942	20010129
NZ 520962	A	20030926	NZ 2001-520962	20010129
NO 2002004235	A	20021105	NO 2002-4235	20020905
BG 107161	A	20030630	BG 2002-107161	20021002

PRIORITY APPLN. INFO.: US 2000-187124P P 20000306
WO 2001-US2657 W 20010129

OTHER SOURCE(S): MARPAT 135:272982
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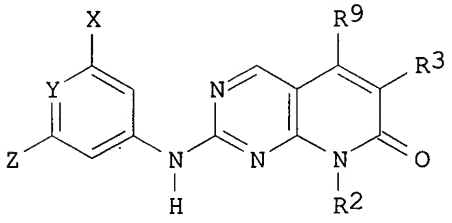

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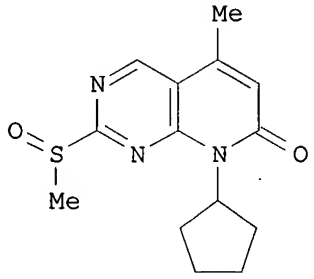

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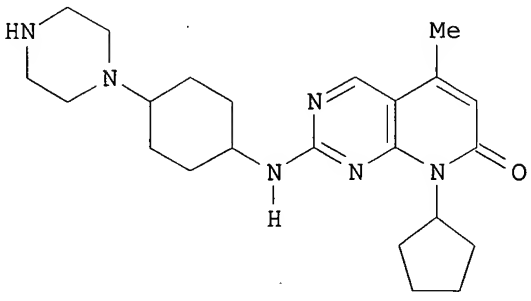


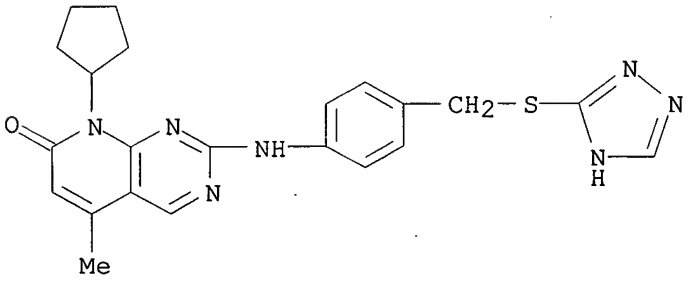
III

AB The title pyridopyrimidines I [R2 = H, alkyl, alkyl substituted with halo, HO, alkoxy, H2N, alkylamino, HO2C, cyano, (hetero)aryl, carbocyclyl contg. O, S, N atoms (un)substituted with halo, HO, alkyl, etc.; R3 = H, alkyl, alkoxy, halo, F3C, cyano, NO2, R4CO, R4O2C, R4R5NCO, R4R5NSO2, R4SO2, P(O)(OR4)(OR5), etc.; Y = N, CR7; R9 = alkyl, haloalkyl, aryl; X, Z = H, halo, alkyl, alkoxy, F3C, HO, cyano, NO2, R4R5N, R4R5N(:O), R4S, R4CO, R4O2C, R4R5NCO, T(CH2)mQR4, COT(CH2)mQR4, etc; m = 1-6; T = O, S, NR4,

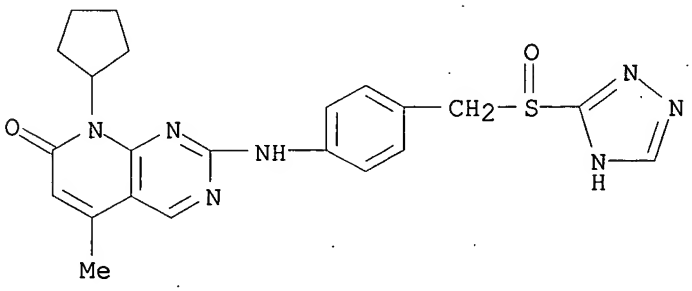
CR4R5; Q = O, S, NR4, CO2, carbocyclyl contg. O, S, N atoms (un)substituted by HO, hydroxyalkyl, alkyl, alkoxy, alkoxycarbonyl, aminoalkyl, amino, etc., R7 = R4R5N, HO, R4O, R4S, R4CO, R4(CH3)n, R4SO2, R4O3S, CONR4SO2R5, CHO, NO2, T(CH2)mQR4, etc; n = 0-6; R4, R5 = H, alkyl, alkenyl, aryl, heteroaryl, etc; R4R5 with bonded N = carbocycle contg. CO, O, S, SO, SO2, (un)substituted by halo, HO, hydroxyalkyl, alkyl, alkoxy, alkylcarbonyl, trifluoromethylalkyl, (hetero)aryl, NR10SO2R11, CONR10R11, CO2R10, etc; R4 also = alkyl (un)substituted by halo, 5-oxo-4,5-dihydro-1H-1,2,3-triazol-3-ylsulfonyl, carbocycle (un)substituted by halo, HO, hydroxyalkyl, alkyl, alkoxy, H2N, alkylamino, etc.; R10, R11 = H, halo, alkyl, alkoxy, alkoxycarbonyl, etc.] were prepd. and have cyclin-dependent kinase and growth factor-mediated kinase inhibiting activity with use in treatment of cell proliferative disorders such as cancer and atherosclerosis. Thus, 4-(cyclopentylamino)-2-(methylthio)pyrimidine-5-carboxaldehyde underwent successive Grignard reaction with MeMgBr and N-methylmorpholine oxide/tetrapropylammonium perruthenate oxidn. to give 1-[4-(cyclopentylamino)-2-(methylthio)-5-pyrimidinyl]ethanone. Cyclocondensation of the latter with tri-Et phosphonoacetate and then oxidn. of the sulfide with trans-2-(phenylsulfonyl)-3-phenyloxaziridine gave the (methylsulfinyl)pyridopyrimidinone II which underwent substitution reaction with 4-[4-(tert-butoxycarbonyl)-1-piperazinyl]aniline and trifluoroacetic acid induced blocking group cleavage to give the (piperazinoanilino)pyridopyrimidinone III. III inhibited cyclin-dependent kinase-4 enzyme with IC50 0.007 .mu.M.

IT **362659-66-3P 362659-67-4P 362659-68-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of kinase inhibiting alkylpyridopyrimidinones useful for treatment of cell proliferative disorders)

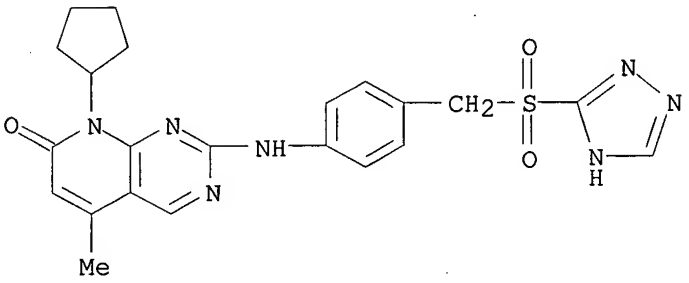
RN 362659-66-3 CAPLUS
CN Pyrido[2,3-d]pyrimidin-7(8H)-one, 8-cyclopentyl-5-methyl-2-[[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]amino]- (9CI) (CA INDEX NAME)



RN 362659-67-4 CAPLUS
CN Pyrido[2,3-d]pyrimidin-7(8H)-one, 8-cyclopentyl-5-methyl-2-[[4-[(1H-1,2,4-triazol-3-ylsulfinyl)methyl]phenyl]amino]- (9CI) (CA INDEX NAME)



RN 362659-68-5 CAPLUS
CN Pyrido[2,3-d]pyrimidin-7(8H)-one, 8-cyclopentyl-5-methyl-2-[[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:581875 CAPLUS
DOCUMENT NUMBER: 135:166825
TITLE: Preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels
INVENTOR(S): Garthwaite, Gitti; Selwood, David; Kling, Marcel; Wishart, Grant
PATENT ASSIGNEE(S): University College London, UK
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057024	A1	20010809	WO 2001-GB472	20010205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1252156	A1	20021030	EP 2001-904082	20010205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003171403	A1	20030911	US 2003-203001	20030225

PRIORITY APPLN. INFO.: GB 2000-2666 A 20000204
WO 2001-GB472 W 20010205
OTHER SOURCE(S): MARPAT 135:166825
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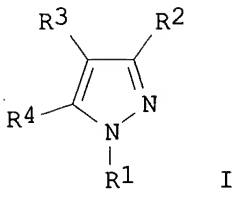


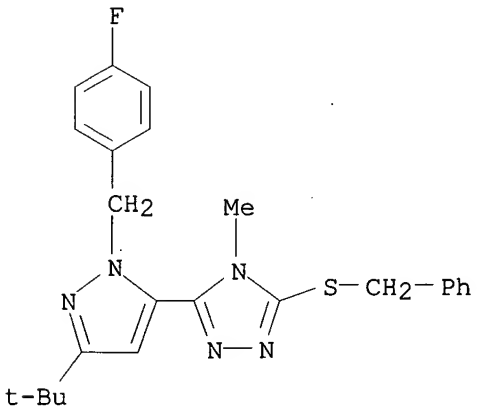
I

AB The title compds. [I; R1 = H, alkyl, aryl, alkylaryl; R2 = aryl, heteroaryl, 3-6 membered heterocyclyl, etc.; R3, R4 = H, alkyl, alkenyl, etc.; R3 and R4, together with the carbon atoms to which they are attached, form Ph] which are capable of blockading voltage-dependent sodium channels and are useful in particular, in treating glaucoma and multiple sclerosis, were prepd. E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = 5-methoxycarbonyl-2-furyl; R3 and R4, together with the carbon atoms to which they are attached, form Ph] which showed IC50 of 15.5 .mu.M against guanidine flux through sodium channels, was given.

IT **263766-88-7P 353504-56-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazoles and indazoles for blockading voltage dependent sodium channels)

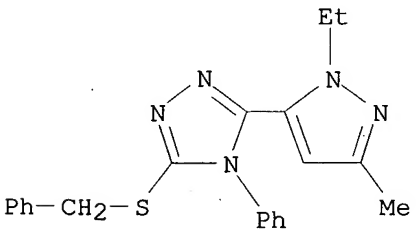
RN 263766-88-7 CAPLUS

CN 4H-1,2,4-Triazole, 3-[3-(1,1-dimethylethyl)-1-[(4-fluorophenyl)methyl]-1H-pyrazol-5-yl]-4-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



RN 353504-56-0 CAPLUS

CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:545724 CAPLUS
DOCUMENT NUMBER: 135:147398
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shoameng; Hu, Zengjian
PATENT ASSIGNEE(S): Adherex Technologies, Inc., Can.
SOURCE: PCT Int. Appl., 416 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053331	A2	20010726	WO 2001-US2508	20010124
WO 2001053331	A3	20020711		
WO 2001053331	C2	20021031		

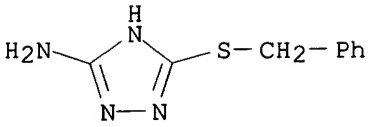
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-491078 A 20000124
OTHER SOURCE(S): MARPAT 135:147398

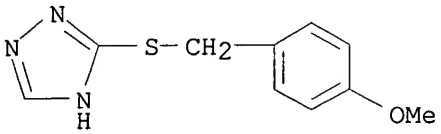
AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT **3922-47-2 17357-75-4 41266-78-8 68838-40-4 134796-34-2 254880-42-7 254880-46-1 261511-13-1 261511-30-2 261626-98-6 261626-99-7 261627-00-3 261704-50-1 261705-07-1 261928-97-6 261928-98-7 262856-19-9 296272-93-0 302804-66-6 303150-34-7 303150-56-3 307545-27-3 338391-99-4 338393-05-8 338393-13-8 339022-11-6 339022-23-0 339105-69-0 339105-71-4 339105-73-6 339105-78-1 339105-82-7 339105-84-9 339105-87-2 341944-06-7 344262-76-6 351857-48-2 351858-12-3 351858-13-4 351858-14-5 351858-15-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(peptidomimetic modulators of cell adhesion)

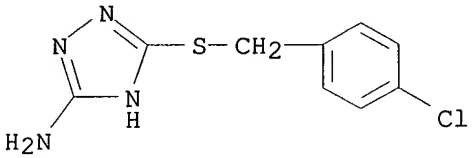
RN 3922-47-2 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



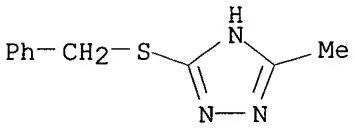
RN 17357-75-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



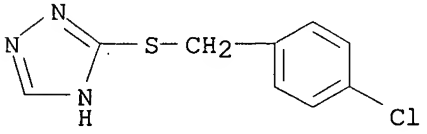
RN 41266-78-8 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



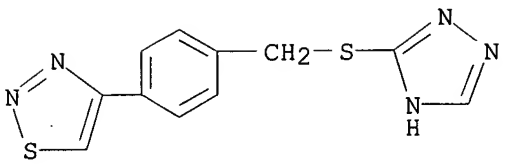
RN 68838-40-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



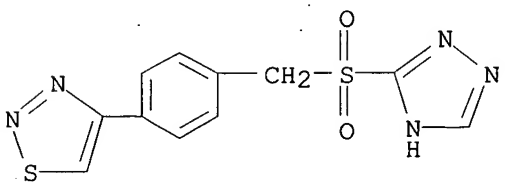
RN 134796-34-2 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



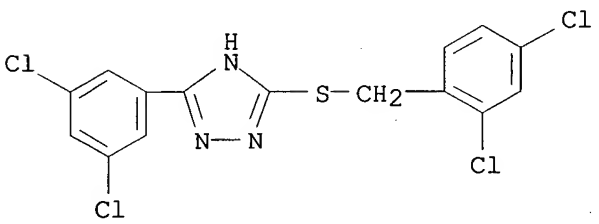
RN 254880-42-7 CAPLUS
CN 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



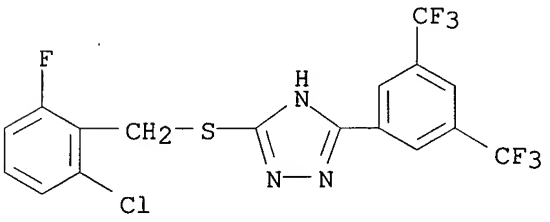
RN 254880-46-1 CAPLUS
CN 1,2,3-Thiadiazole, 4-[4-[(1H-1,2,4-triazol-3-ylsulfonyl)methyl]phenyl]-
(9CI) (CA INDEX NAME)



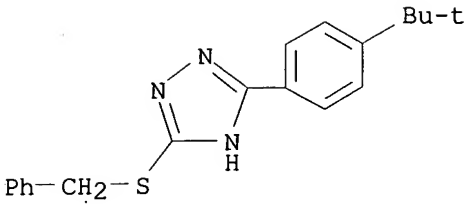
RN 261511-13-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-(3,5-dichlorophenyl)-5-[[(2,4-
dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



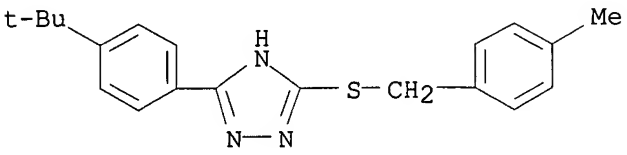
RN 261511-30-2 CAPLUS
CN 1H-1,2,4-Triazole, 3-[3,5-bis(trifluoromethyl)phenyl]-5-[[(2-chloro-6-
fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



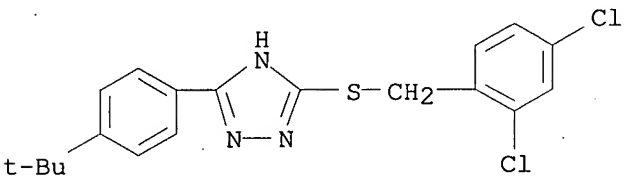
RN 261626-98-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[(phenylmethyl)thio]-
(9CI) (CA INDEX NAME)



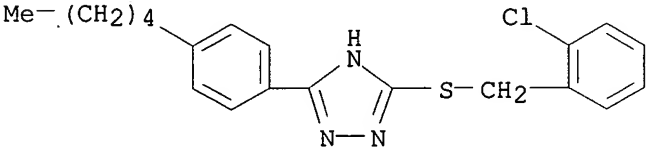
RN 261626-99-7 CAPLUS
CN 1H-1,2,4-Triazole, 3-[4-(1,1-dimethylethyl)phenyl]-5-[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



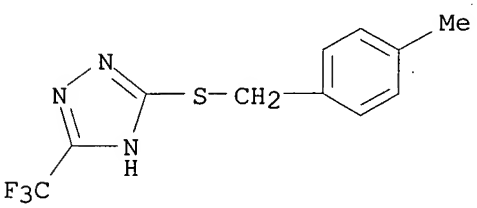
RN 261627-00-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2,4-dichlorophenyl)methyl]thio]-5-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 261704-50-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chlorophenyl)methyl]thio]-5-(4-pentylphenyl)- (9CI) (CA INDEX NAME)

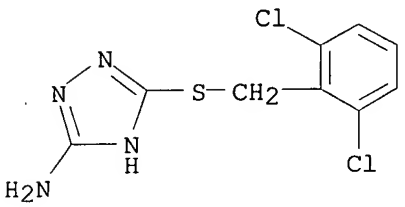


RN 261705-07-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

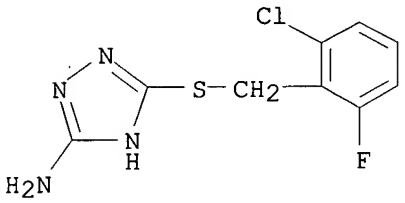


RN 261928-97-6 CAPLUS

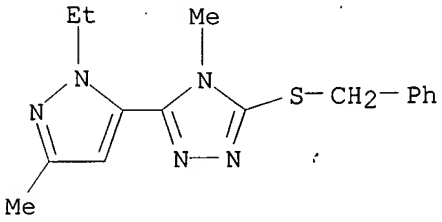
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2,6-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



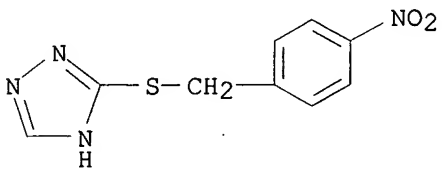
RN 261928-98-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



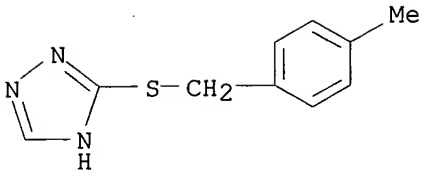
RN 262856-19-9 CAPLUS
CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



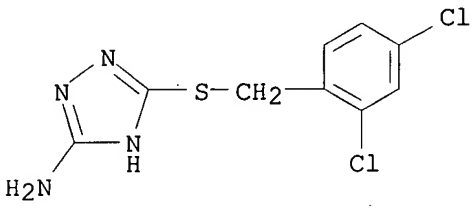
RN 296272-93-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



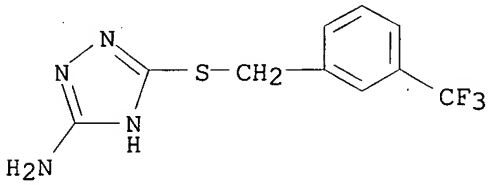
RN 302804-66-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



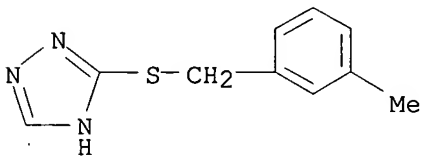
RN 303150-34-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



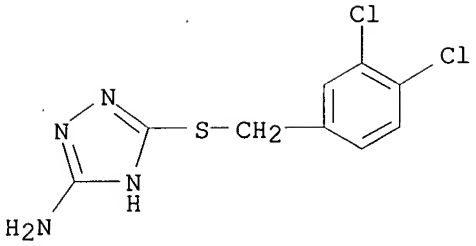
RN 303150-56-3 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



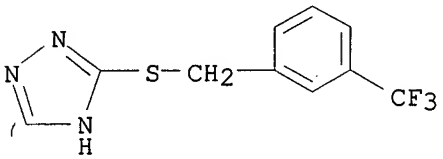
RN 307545-27-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)



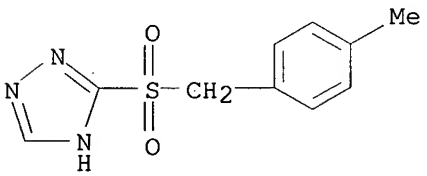
RN 338391-99-4 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(3,4-dichlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



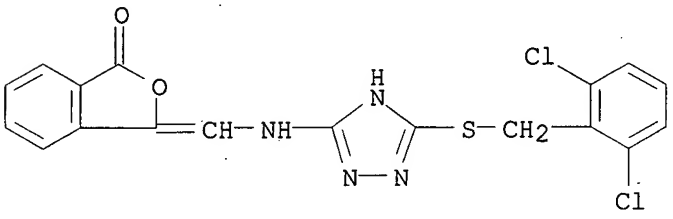
RN 338393-05-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



RN 338393-13-8 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

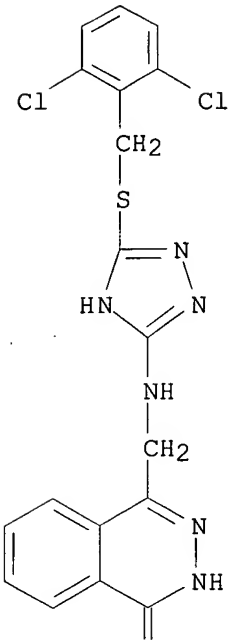


RN 339022-11-6 CAPLUS
CN 1(3H)-Isobenzofuranone, 3-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methylene]- (9CI) (CA INDEX NAME)



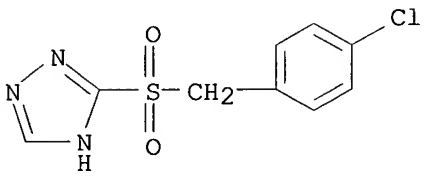
RN 339022-23-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[[5-[[(2,6-dichlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]amino]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

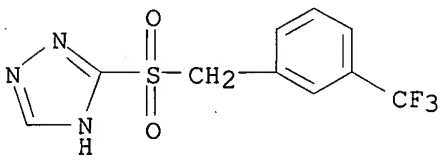


PAGE 2-A

RN 339105-69-0 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

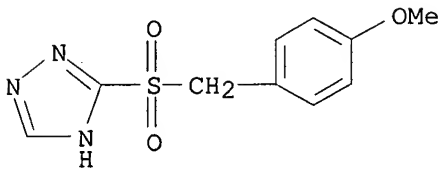


RN 339105-71-4 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

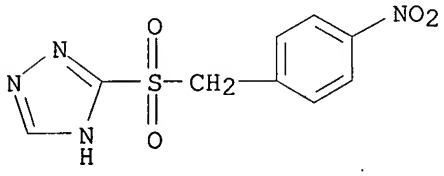


RN 339105-73-6 CAPLUS

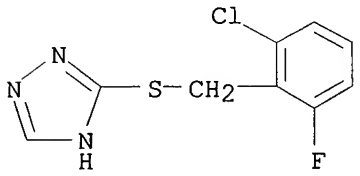
CN 1H-1,2,4-Triazole, 3-[[(4-methoxyphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



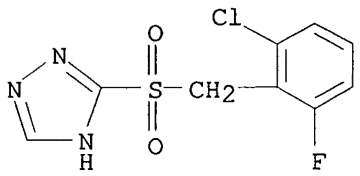
RN 339105-78-1 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



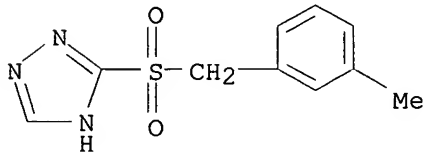
RN 339105-82-7 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



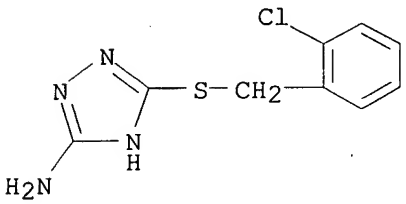
RN 339105-84-9 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(2-chloro-6-fluorophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



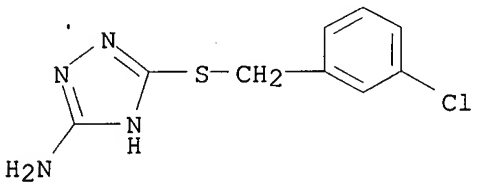
RN 339105-87-2 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(3-methylphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)



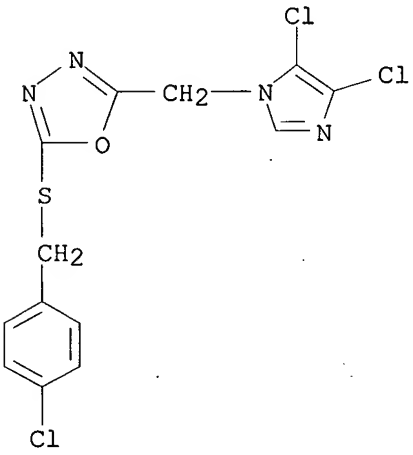
RN 341944-06-7 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(2-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



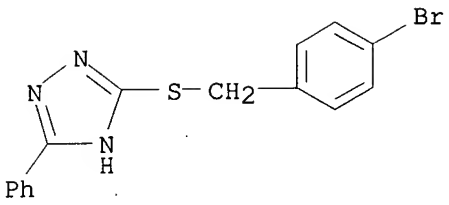
RN 344262-76-6 CAPLUS
CN 1H-1,2,4-Triazol-3-amine, 5-[[(3-chlorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



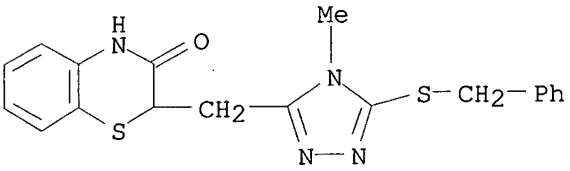
RN 351857-48-2 CAPLUS
CN 1,3,4-Oxadiazole, 2-[[(4-chlorophenyl)methyl]thio]-5-[(4,5-dichloro-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



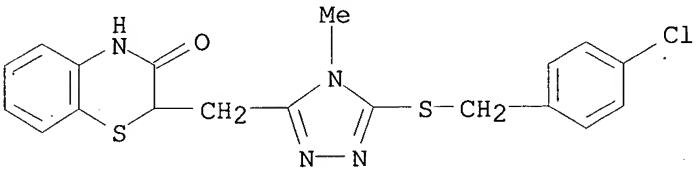
RN 351858-12-3 CAPLUS
CN 1H-1,2,4-Triazole, 3-[[(4-bromophenyl)methyl]thio]-5-phenyl- (9CI) (CA INDEX NAME)



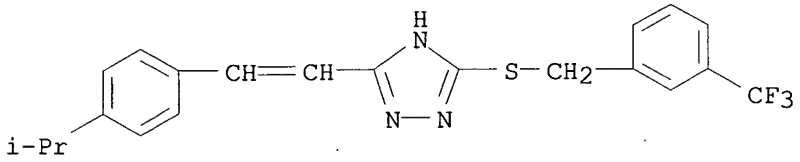
RN 351858-13-4 CAPLUS
CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[4-methyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 351858-14-5 CAPLUS
CN 2H-1,4-Benzothiazin-3(4H)-one, 2-[[5-[[(4-chlorophenyl)methyl]thio]-4-methyl-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



RN 351858-15-6 CAPLUS
CN 1H-1,2,4-Triazole, 3-[2-[4-(1-methylethyl)phenyl]ethenyl]-5-[[[3-(trifluoromethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



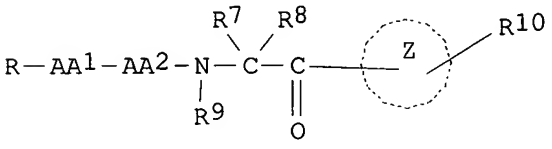
L46 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:453041 CAPLUS
DOCUMENT NUMBER: 135:46457
TITLE: Preparation of dipeptide analogs containing oxadiazole derivatives as cysteine protease inhibitors
INVENTOR(S): Ohmoto, Kazuyuki; Itagaki, Iori
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 424 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044214	A1	20010621	WO 2000-JP8514	20001201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001016504	A5	20010625	AU 2001-16504	20001201
EP 1234820	A1	20020828	EP 2000-979048	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003166573	A1	20030904	US 2002-148612	20020821

PRIORITY APPLN. INFO.: JP 1999-344389 A 19991203
WO 2000-JP8514 W 20001201

OTHER SOURCE(S): MARPAT 135:46457

GI



I

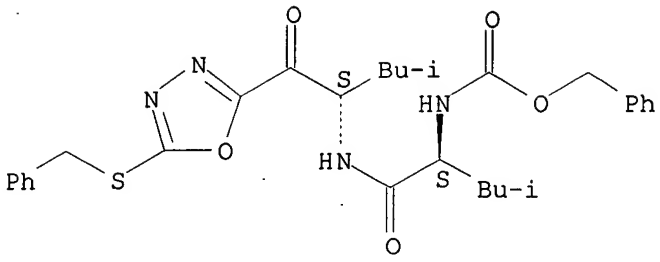
AB The title compds. I [R represents hydrogen, alkyl, etc.; AA1 represents a single bond, an amino acid residue, etc.; AA2 represents a single bond, an amino acid residue, etc.; R7 and R8 represent each hydrogen, alkyl, etc.; R9 represents hydrogen or alkyl; and R10 represents hydrogen, alkyl, etc; ring Z is 2-oxo-1,3,4-oxadiazoline, etc.] are prepd. I are useful in the treatment of inflammatory diseases, autoimmune diseases, etc. In an in vitro test for inhibiting activity against cathepsin K, one compd. of this invention showed the Ki value of 1.3 nM. Formulations are given.

IT **345213-93-6P 345213-94-7P 345216-43-5P 345216-45-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dipeptide analogs contg. oxadiazole derivs. as cysteine protease inhibitors)

RN 345213-93-6 CAPLUS

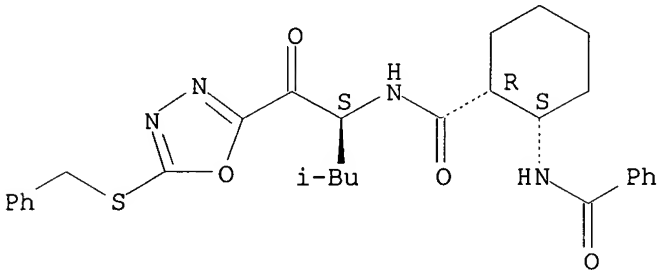
CN Carbamic acid, [(1S)-3-methyl-1-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



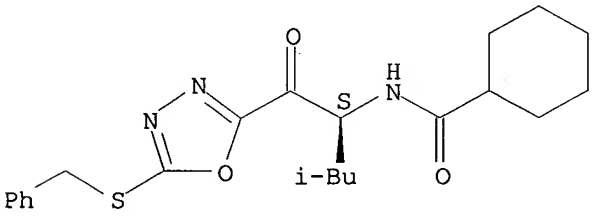
RN 345213-94-7 CAPLUS
CN Benzamide, N-[(1S,2R)-2-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



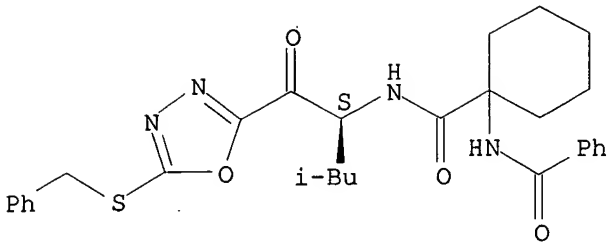
RN 345216-43-5 CAPLUS
CN Cyclohexanecarboxamide, N-[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 345216-45-7 CAPLUS
CN Benzamide, N-[1-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:402274 CAPLUS
DOCUMENT NUMBER: 129:76491
TITLE: The use of CD4-binding small molecules to inhibit immune responses
INVENTOR(S): Huang, Ziwei; Korngold, Robert
PATENT ASSIGNEE(S): Thomas Jefferson University, USA; Huang, Ziwei; Korngold, Robert
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

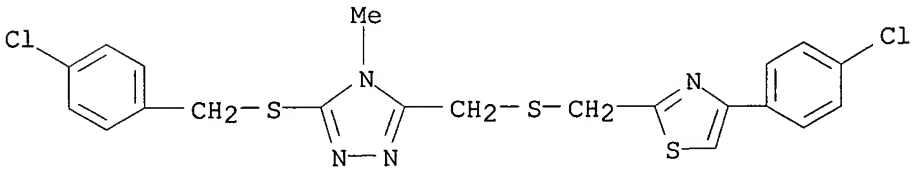
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825469	A1	19980618	WO 1997-US23450	19971210
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6127387	A	20001003	US 1997-987086	19971209
AU 9858013	A1	19980703	AU 1998-58013	19971210
EP 949865	A1	19991020	EP 1997-954167	19971210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001506636	T2	20010522	JP 1998-527066	19971210

PRIORITY APPLN. INFO.: US 1996-32996P P 19961210
WO 1997-US23450 W 19971210

AB The application concerns a method of identifying compds. that can be used to inhibit undesired human CD4+ T cell immune responses by identifying compds. that block the interaction of CD4 and class II MHC gene products and a method of treatment which comprises administering such an identified compd. The compds. that inhibit undesired human CD4+ T cell immune responses can be used to treat disease such as multiple sclerosis and to prevent graft rejection and graft vs. host disease. More specifically, the application concerns compds. having mol. wts. between about 500 and 150 Da that bind to the GFCC'C'' portion of the D1 domain of human CD4 lymphocyte cell surface antigen.

IT **185454-52-8**, TJU 101
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of CD4-binding small mols. to inhibit immune responses)

RN 185454-52-8 CAPLUS
CN 4H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]thio]-5-[[[[4-(4-chlorophenyl)-2-thiazolyl]methyl]thio]methyl]-4-methyl- (9CI) (CA INDEX NAME)

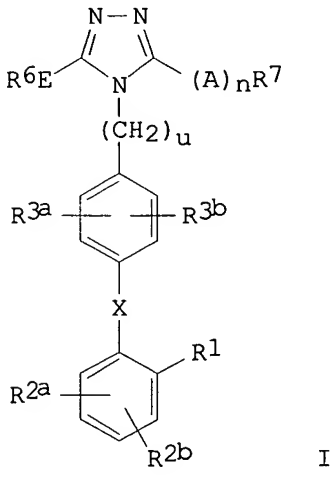


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:45976 CAPLUS
DOCUMENT NUMBER: 120:45976
TITLE: Substituted triazoles as neurotensin antagonists
INVENTOR(S): Chakravarty, Prasun K.; Ransom, Richard W.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Brit. UK Pat. Appl., 68 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2263635	A1	19930804	GB 1993-937	19930119
PRIORITY APPLN. INFO.:			US 1992-826710	19920128

OTHER SOURCE(S): MARPAT 120:45976
GI



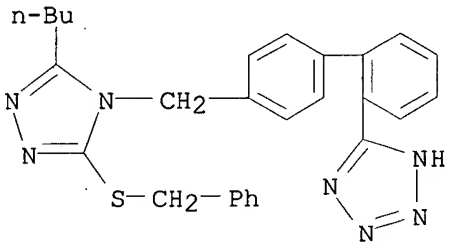
I

AB Substituted triazoles I [R1 = NHSO2R23, NHSO2NHCOR23, SO2NHR23 (R23 = (hetero)aryl, C3-7 cycloalkyl, (substituted) C1-4 alkyl), etc.; R2a, R2b = H, Cl, Br, I, F, CF3, C1-4 alkyl, C1-4 alkoxy; R3a = H, Cl, Br, I, F, C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxy-C1-4 alkyl; R3b = H, Cl, Br, I, F, CF3, C1-6 alkyl, C1-6 alkoxy, C3-6 cycloalkyl; R6 = aryl, (substituted) C1-6

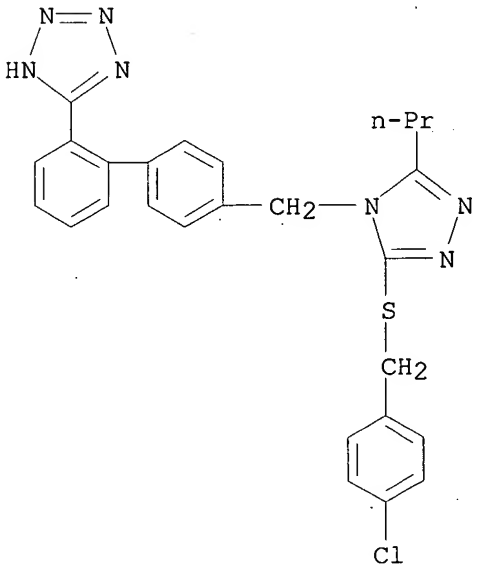
alkyl, etc.; R7 = (substituted) C1-10 alkyl, (substituted) Ph, etc.; X = CO, O, S, etc.; E = single bond, CHOH, CO, etc.; A = S(O)p (p = 0-2), NR13 (R13 = H, C1-4 acyl, Ph, benzyl, etc.), etc.; n = 0, 1; u = 1, 2], as disclosed in EP-0409332-A2, are neurotensin antagonists useful for the treatment of certain central nervous system and gastrointestinal disorders. Representative compds. of the invention were tested with a neurotensin binding assay using human frontal cortex.

IT **134460-78-9 134460-81-4 134460-82-5**
134460-83-6 134460-84-7 134460-85-8
134460-86-9 134460-87-0 134460-88-1
134460-89-2 134460-91-6 134460-94-9
134460-95-0
RL: BIOL (Biological study)
(as neurotensin antagonist, for treatment of gastrointestinal disorder or central nervous system disorder)

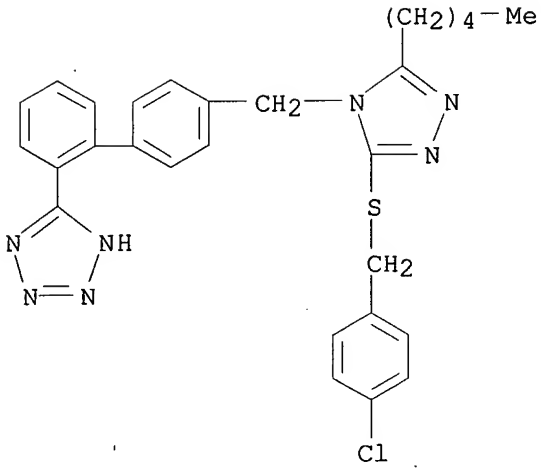
RN 134460-78-9 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



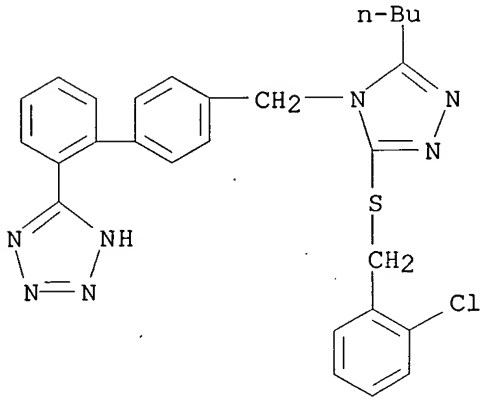
RN 134460-81-4 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[3-[[(4-chlorophenyl)methyl]thio]-5-propyl-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



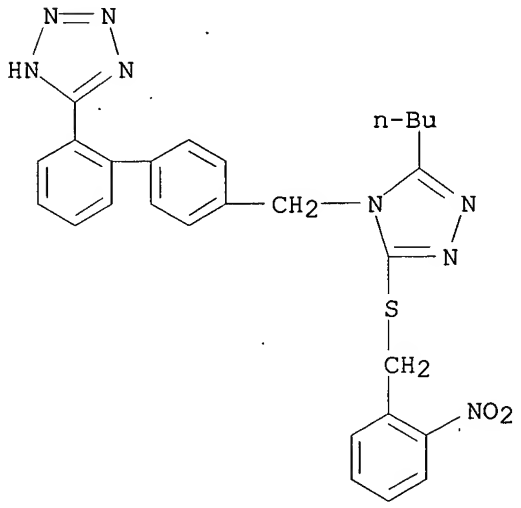
RN 134460-82-5 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[3-[[(4-chlorophenyl)methyl]thio]-5-pentyl-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



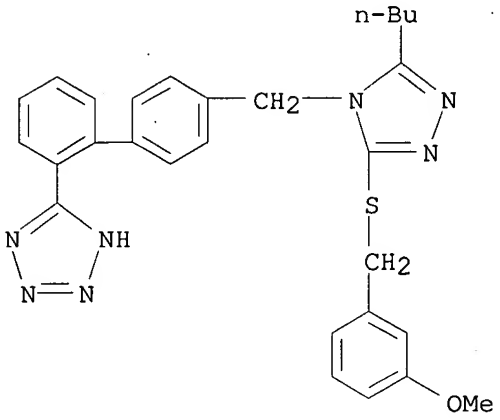
RN 134460-83-6 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[(2-chlorophenyl)methyl]thio]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



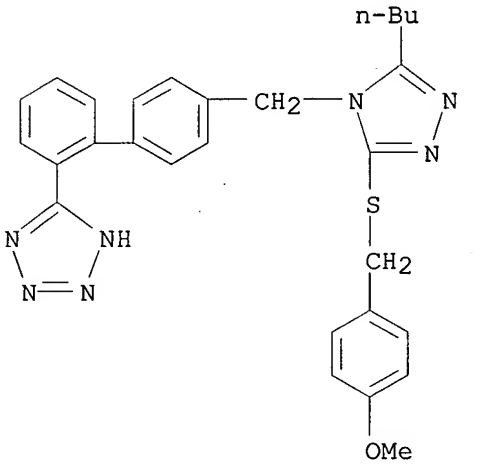
RN 134460-84-7 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[(2-nitrophenyl)methyl]thio]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



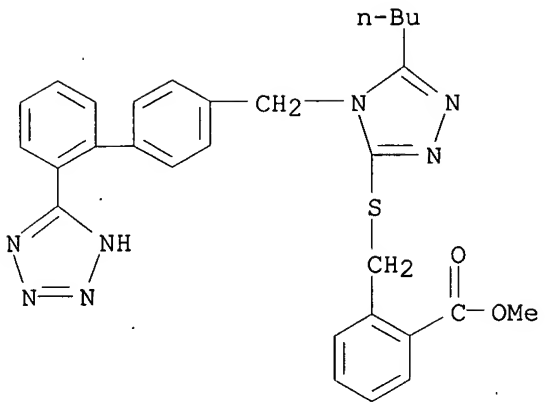
RN 134460-85-8 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[(3-methoxyphenyl)methyl]thio]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



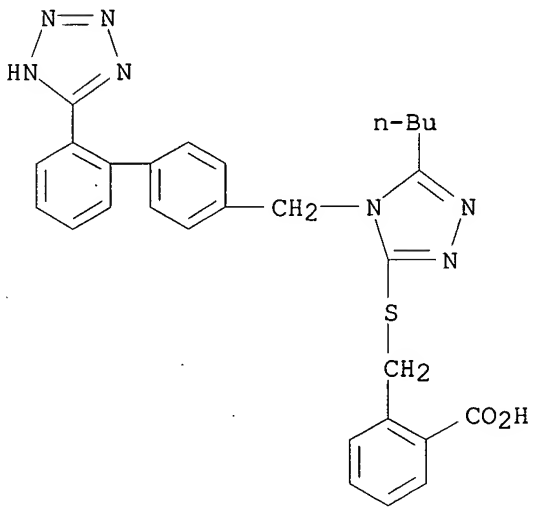
RN 134460-86-9 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[(4-methoxyphenyl)methyl]thio]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



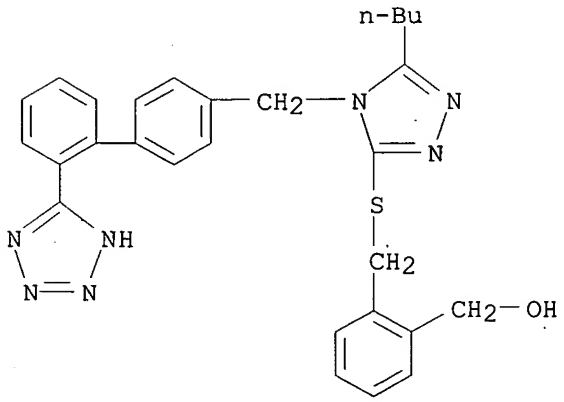
RN 134460-87-0 CAPLUS
CN Benzoic acid, 2-[[[5-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)



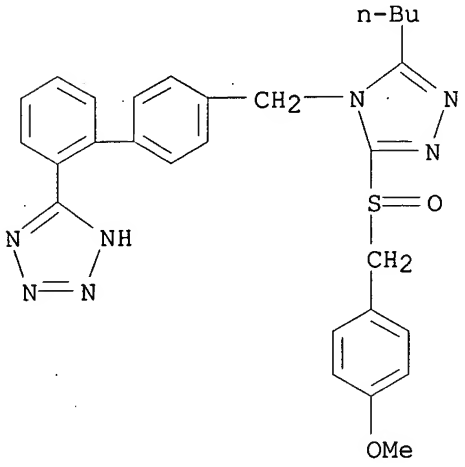
RN 134460-88-1 CAPLUS
CN Benzoic acid, 2-[[[5-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-4H-1,2,4-triazol-3-yl]thio]methyl]- (9CI) (CA INDEX NAME)



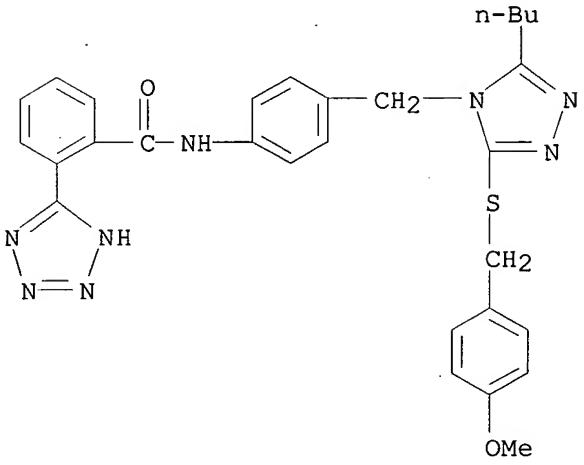
RN 134460-89-2 CAPLUS
CN Benzenemethanol, 2-[[[5-butyl-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-4H-1,2,4-triazol-3-yl]thio]methyl]- (9CI) (CA INDEX NAME)



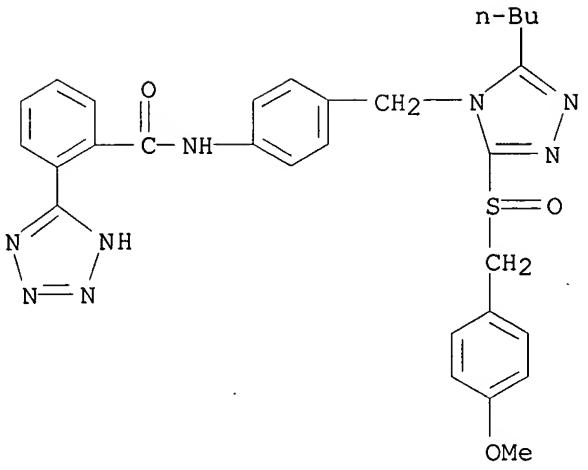
RN 134460-91-6 CAPLUS
CN 1H-Tetrazole, 5-[4'-[[3-butyl-5-[[(4-methoxyphenyl)methyl]sulfinyl]-4H-1,2,4-triazol-4-yl]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



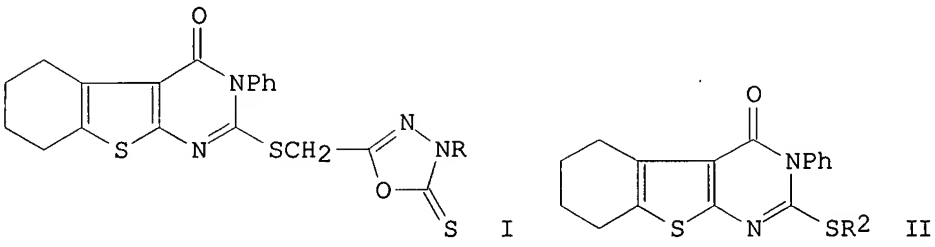
RN 134460-94-9 CAPLUS
CN Benzamide, N-[4-[[3-butyl-5-[[(4-methoxyphenyl)methyl]thio]-4H-1,2,4-triazol-4-yl]methyl]phenyl]-2-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



RN 134460-95-0 CAPLUS
CN Benzamide, N-[4-[[3-butyl-5-[[(4-methoxyphenyl)methyl]sulfinyl]-4H-1,2,4-triazol-4-yl]methyl]phenyl]-2-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

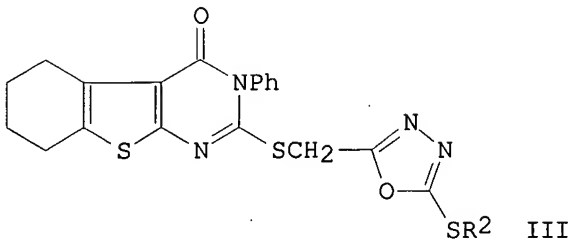


L46 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:612437 CAPLUS
DOCUMENT NUMBER: 117:212437
TITLE: Synthesis of certain thienopyrimidone derivatives as possible anticonvulsants
AUTHOR(S): Kandeel, M. M.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1992), 33(1-2), 357-67
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:212437
GI



I

II

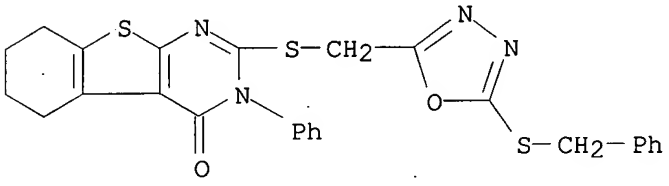


III

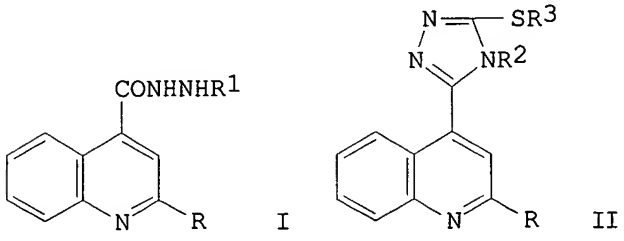
AB Several thienopyrimidone derivs. were synthesized and evaluated for their anticonvulsant activity. This was achieved by reaction of 2-hydrazinocarbonylmethylthio-3-phenyl-3,4,5,6,7,8-hexahydrobenzo[4,5]thieno[2,3-d]pyrimidin-4-one with CS2 in ethanolic KOH to give the resp. oxadiazole I (R = H). Application of the Mannich reaction to this intermediate, by using formaldehyde and different

secondary amines, yielded the corresponding Mannich bases I (R = CH2R1; R1 = NMe2, pyrrolidino, etc.). Condensation of either 2-mercapto-3-phenyl-3,4,5,6,7,8-hexahydrobenzo[4,5]thieno[2,3-d]pyrimidin-4-one or the substrate I (R = H) with a variety of alkyl halides or N-substituted chloroacetamide afforded the corresponding thioethers II and III (R2 = alkyl), resp. In pharmacol. screening, two of the novel compds. showed slight anticonvulsant activity.

IT **144316-20-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 144316-20-1 CAPLUS
CN [1]Benzothieno[2,3-d]pyrimidin-4(3H)-one, 5,6,7,8-tetrahydro-3-phenyl-2-[[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]methyl]thio]- (9CI) (CA INDEX NAME)



L46 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:203971 CAPLUS
DOCUMENT NUMBER: 90:203971
TITLE: Synthesis and biological evaluation of 3-quinolyl-5-mercapto-1,2,4-triazoles
AUTHOR(S): Hazzaa, A. A. B.; Habib, N. S.; El-Khawass, S. M.; Daabees, T. T.; Sharabi, F. M.; Tawil, G. G.
CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, Egypt
SOURCE: Scientia Pharmaceutica (1978), 46(4), 298-306
CODEN: SCPHA4; ISSN: 0036-8709
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:203971
GI

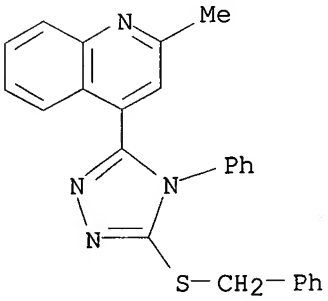


AB Hydrazides I (R = Me, Ph, R1 = H) were treated with R2NCS (R2 = Ph, C6H4Cl-4, C6H4Me-4, CH2Ph) to give thiosemicarbazides I (R1 = CSNHR2), which were cyclized with base to give II (R3 = H). Alkylation of II (R3 = H) gave II (R3 = CH2Ph, Et, CHMe2), which were oxidized to the sulfones. I (R1 = CSNHR2) had the best in vitro bactericidal and fungicidal activity. II (R3 = H) had the best anticonvulsant activity, II (R = Ph) being superior to II (R = Me).

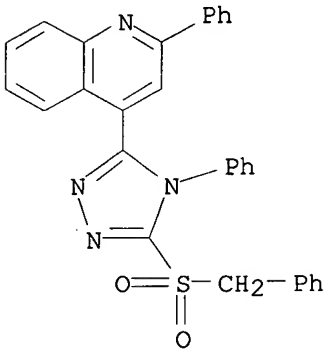
IT **70059-85-7P 70060-05-8P 70060-08-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal and fungicidal activity of)

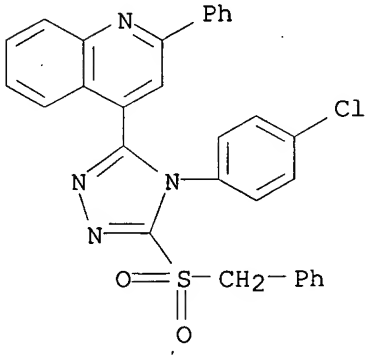
RN 70059-85-7 CAPLUS
CN Quinoline, 2-methyl-4-[4-phenyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



RN 70060-05-8 CAPLUS
CN Quinoline, 2-phenyl-4-[4-phenyl-5-[(phenylmethyl)sulfonyl]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



RN 70060-08-1 CAPLUS
CN Quinoline, 4-[4-(4-chlorophenyl)-5-[(phenylmethyl)sulfonyl]-4H-1,2,4-triazol-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)

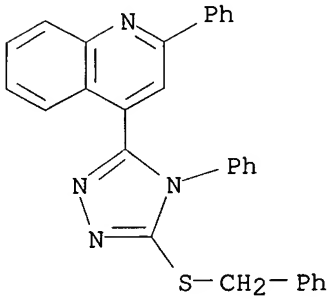


IT **70059-95-9P 70059-98-2P 70060-01-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidn. and pharmacol. activity of)

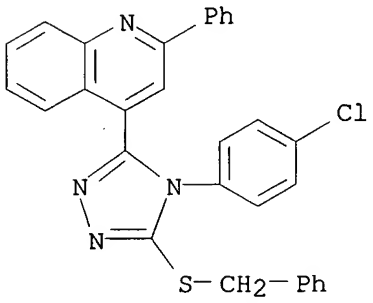
RN 70059-95-9 CAPLUS

CN Quinoline, 2-phenyl-4-[4-phenyl-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



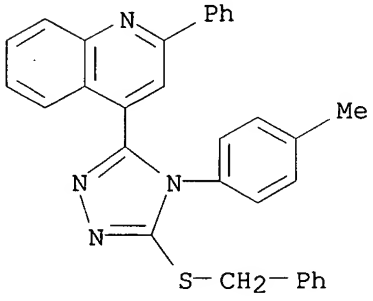
RN 70059-98-2 CAPLUS

CN Quinoline, 4-[4-(4-chlorophenyl)-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 70060-01-4 CAPLUS

CN Quinoline, 4-[4-(4-methylphenyl)-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)



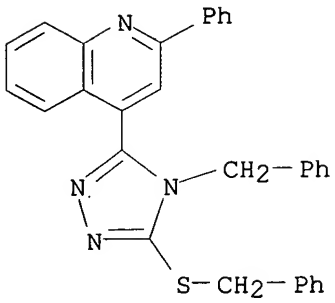
IT **70060-04-7P 70060-10-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and pharmacol. activity of)

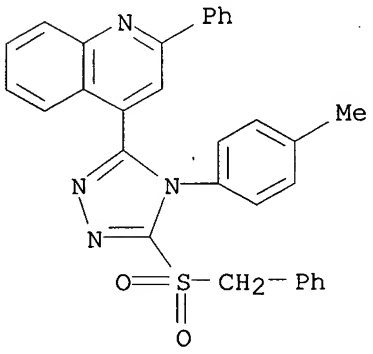
RN 70060-04-7 CAPLUS

CN Quinoline, 2-phenyl-4-[4-(phenylmethyl)-5-[(phenylmethyl)thio]-4H-1,2,4-

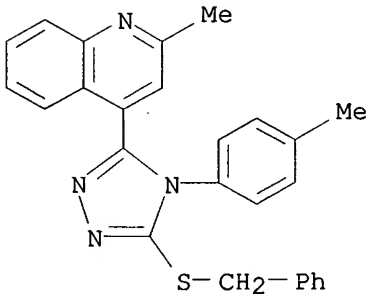
triazol-3-yl]- (9CI) (CA INDEX NAME)



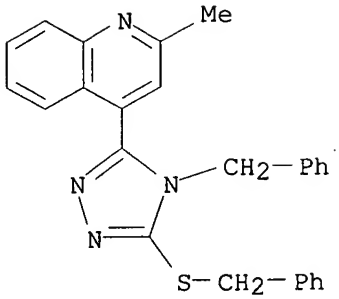
RN 70060-10-5 CAPLUS
CN Quinoline, 4-[4-(4-methylphenyl)-5-[(phenylmethyl)sulfonyl]-4H-1,2,4-triazol-3-yl]-2-phenyl- (9CI) (CA INDEX NAME)



IT **70059-90-4P 70059-92-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 70059-90-4 CAPLUS
CN Quinoline, 2-methyl-4-[4-(4-methylphenyl)-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



RN 70059-92-6 CAPLUS
CN Quinoline, 2-methyl-4-[4-(phenylmethyl)-5-[(phenylmethyl)thio]-4H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



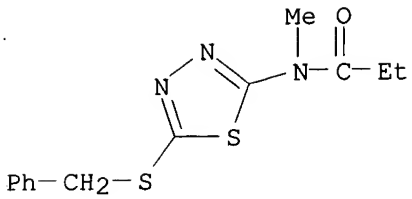
L46 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1961:54353 CAPLUS
DOCUMENT NUMBER: 55:54353
ORIGINAL REFERENCE NO.: 55:10472a-d
TITLE: 2-(N-Substituted)acylamino-1,3,4-thiadiazole-5-sulfonamides
INVENTOR(S): Young, Richard W.
PATENT ASSIGNEE(S): American Cyanamid Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 844946		19600817	GB	

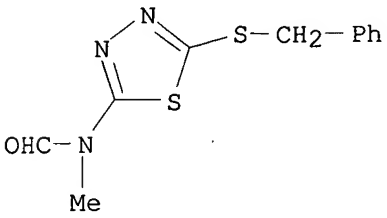
AB The compds. were useful in the treatment of edema, epilepsy, and glaucoma. To a hot soln. of tert-BuOK, prepd. from 4.0 g. K in 150 ml. tert-BuOH, was added 20.7 g. 2-acetylamino-5-benzylthio-1,3,4-thiadiazole, MeI (15 g.) in 50 ml. tert-BuOH was added to the soln., the mixt. refluxed 5 hrs., the suspension filtered hot, the filtrate dild. with 600 ml. ice and the gummy mass adjusted to pH 7 by addn. of concd. HCl, and the ppt. filtered off and dried in air to give 2-(N-methylacetamido)-5-benzylthio-1,3,4-thiadiazole (I), m. 93-4.degree. 3 times, (MeOH). I (2.79 g.) suspended in 28 ml. 1:2 AcOH-H2O was cooled to 5.degree., stirred and treated with Cl2. The sulfonyl chloride was filtered off, washed with H2O, dried 1 hr., added in portions to 30 ml. liquid NH3 in a N atm., the NH3 evapd., the solid residue triturated with 20 ml. H2O, filtered off and the filtrate acidified to give 2-(N-methylacetamido)-1,3,4-thiadiazole-5-sulfonamide (II), m. 209-12.degree.. The insol. portion m. 206-13.degree.. The 2 portions sep. recrystd. from H2O m. 214-17.5.degree. and 215-17.degree., resp. The following 2-(N-substituted)acylamino-5-benzylthio-1,3,4-thiadiazoles were prepd. similarly: N-methylformylamino; N-methylpropionylamido; N-propylbutyrylamino; N-benzylacetamino; and N-methylphenylacetamino. These were converted into the resp. sulfonamides as in the case of II.

IT **100373-95-3**, Propionamide, N-[5-(benzylthio)-1,3,4-thiadiazol-2-yl]-N-methyl- **103646-50-0**, Formamide, N-[5-(benzylthio)-1,3,4-thiadiazol-2-yl]-N-methyl- **107778-64-3**, 1,3,4-Thiadiazole, 2-(benzylthio)-5-(N-methyl-2-phenylacetamido)- **109046-37-9**, 1,3,4-Thiadiazole, 2-(benzylthio)-5-N-methylacetamido- **110053-99-1**, 1,3,4-Thiadiazole, 2-N-benzylacetamido-5-(benzylthio)- (prepn. of)

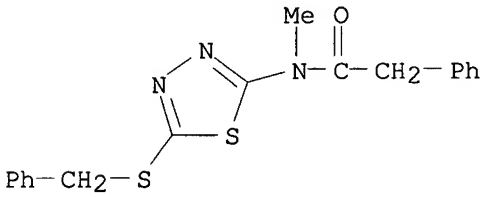
RN 100373-95-3 CAPLUS
CN Propionamide, N-[5-(benzylthio)-1,3,4-thiadiazol-2-yl]-N-methyl- (6CI) (CA INDEX NAME)



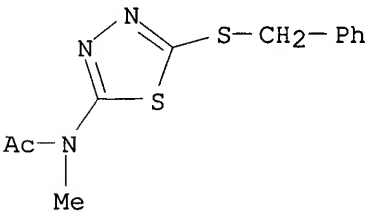
RN 103646-50-0 CAPLUS
CN Formamide, N-[5-(benzylthio)-1,3,4-thiadiazol-2-yl]-N-methyl- (6CI) (CA INDEX NAME)



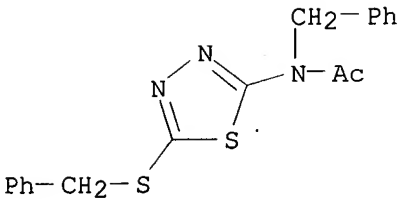
RN 107778-64-3 CAPLUS
CN 1,3,4-Thiadiazole, 2-(benzylthio)-5-(N-methyl-2-phenylacetamido)- (6CI) (CA INDEX NAME)



RN 109046-37-9 CAPLUS
CN 1,3,4-Thiadiazole, 2-(benzylthio)-5-N-methylacetamido- (6CI) (CA INDEX NAME)



RN 110053-99-1 CAPLUS
CN 1,3,4-Thiadiazole, 2-N-benzylacetamido-5-(benzylthio)- (6CI) (CA INDEX NAME)



L46 ANSWER 25 OF 31 USPATFULL on STN

ACCESSION NUMBER:	2003:306949 USPATFULL
TITLE:	Triazole derivatives
INVENTOR(S):	Tobe, Takahiko, Tsukuba-shi, JAPAN Sugane, Takashi, Tsukuba-shi, JAPAN Hamaguchi, Wataru, Tsukuba-shi, JAPAN Shimada, Itsuro, Tsukuba-shi, JAPAN Maeno, Kyoichi, Tsukuba-shi, JAPAN Miyata, Junji, Tsukuba-shi, JAPAN Kimizuka, Tetsuya, Itabashi-ku, JAPAN Suzuki, Takeshi, Tsukuba-shi, JAPAN Kohara, Atsuyuki, Tsukuba-shi, JAPAN Morita, Takuma, Tsukuba-shi, JAPAN Arlt, Michael, Jugenheim, GERMANY, FEDERAL REPUBLIC OF Greiner, Hartmut, Weiterstadt, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003216385	A1	20031120	
APPLICATION INFO.:	US 2002-276720	A1	20021118	(10)
	WO 2001-JP4128		20010517	

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	SUGHRUE MION, PLLC, 2100 PENNSYLVANIA AVENUE, N.W., WASHINGTON, DC, 20037
NUMBER OF CLAIMS:	7
EXEMPLARY CLAIM:	1
LINE COUNT:	2523

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

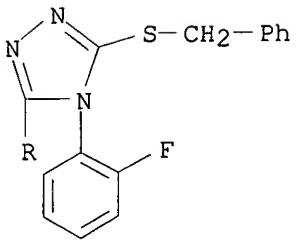
AB The invention relates to a triazole derivative with an activity inhibiting glycine transporter and for use as a pharmaceutical drug, and a novel triazole derivative. The inventive triazole derivative has an excellent activity inhibiting glycine transporter and is useful as a therapeutic agent of dementia, schizophrenia, cognitive disorders, or cognitive disorders involved in various diseases such as Alzheimer disease, Parkinson's disease, or Huntington disease or the like, or spasm involved in diseases such as nerve degenerative diseases and cerebrovascular disorders, or the like. Particularly, the pharmaceutical drug is useful for the amelioration of learning disability of dementia and the like.

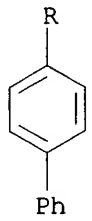
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **374887-85-1P 374887-86-2P 374887-87-3P 374887-88-4P**
(prepn. of triazole derivs. as **glycine transporter** inhibitors)

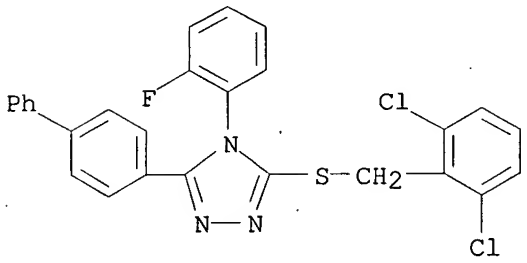
RN 374887-85-1 USPATFULL

CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

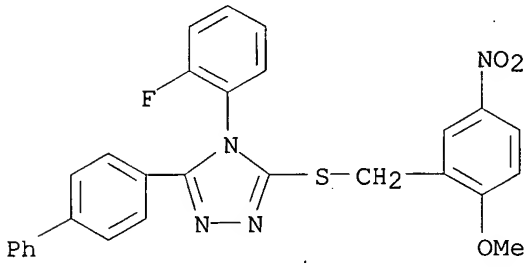




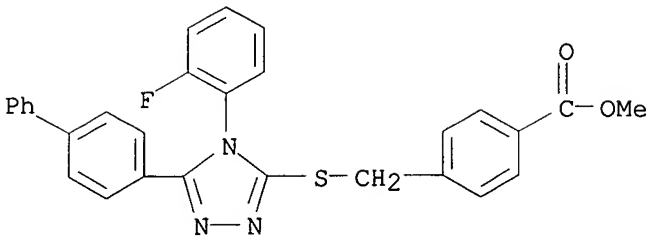
RN 374887-86-2 USPATFULL
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-5-[[(2,6-dichlorophenyl)methyl]thio]-4-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 374887-87-3 USPATFULL
CN 4H-1,2,4-Triazole, 3-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-5-[[(2-methoxy-5-nitrophenyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 374887-88-4 USPATFULL
CN Benzoic acid, 4-[[[5-[1,1'-biphenyl]-4-yl-4-(2-fluorophenyl)-4H-1,2,4-triazol-3-yl]thio]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L46 ANSWER 26 OF 31 USPATFULL on STN

ACCESSION NUMBER:	2003:244989 USPATFULL			
TITLE:	Blockade of voltage dependent sodium channels			
INVENTOR(S):	Garthwaite, Giti, London, UNITED KINGDOM Selwood, David, London, UNITED KINGDOM Kling, Marcel, London, UNITED KINGDOM Wishart, Grant, London, UNITED KINGDOM			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003171403	A1	20030911	
APPLICATION INFO.:	US 2003-203001	A1	20030225	(10)
	WO 2001-GB472		20010205	
	NUMBER		DATE	
PRIORITY INFORMATION:	GB 2000-2666		20000204	
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	APPLICATION			
LEGAL REPRESENTATIVE:	NIXON & VANDERHYE, PC, 1100 N GLEBE ROAD, 8TH FLOOR, ARLINGTON, VA, 22201-4714			
NUMBER OF CLAIMS:	18			
EXEMPLARY CLAIM:	1			
LINE COUNT:	2512			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

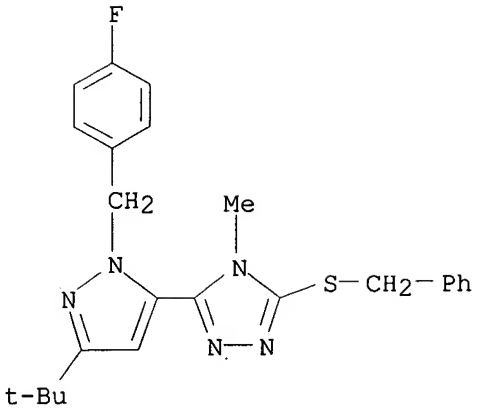
AB Compounds of formula (1), and pharmaceutically acceptable salts thereof, are capable of blockading voltage-dependent sodium channels and are useful in particular, in treating glaucoma and multiple sclerosis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

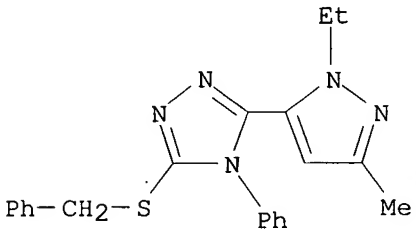
IT **263766-88-7P 353504-56-0P**
(prepn. of pyrazoles and indazoles for blockading voltage dependent sodium channels)

RN 263766-88-7 USPATFULL

CN 4H-1,2,4-Triazole, 3-[3-(1,1-dimethylethyl)-1-[(4-fluorophenyl)methyl]-1H-pyrazol-5-yl]-4-methyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



RN 353504-56-0 USPATFULL
CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L46 ANSWER 27 OF 31 USPATFULL on STN

ACCESSION NUMBER:	2003:238532 USPATFULL
TITLE:	Use of compounds for decreasing activity of hormone-sensitive
INVENTOR(S):	Ebdrup, Soren, Roskilde, DENMARK de Jong, Johannes Cornelis, Bagsvaerd, NETHERLANDS Jacobsen, Poul, Slangerup, DENMARK Hansen, Holger Claus, Vaerlose, DENMARK Vedso, Per, Frederiksberg, DENMARK

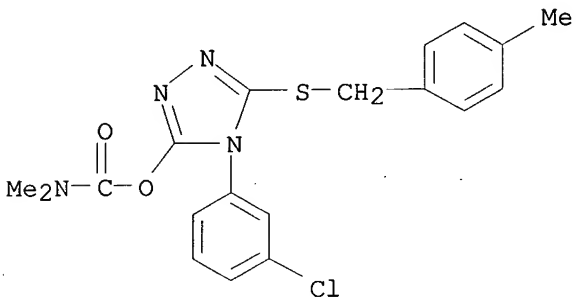
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003166690	A1	20030904	
APPLICATION INFO.:	US 2002-319212	A1	20021213	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	DK 2001-1879	20011214	
	DK 2002-645	20020430	
	DK 2002-1000	20020627	
	DK 2002-1562	20021011	
	US 2002-346909P	20020103	(60)
	US 2002-384243P	20020530	(60)
	US 2002-393068P	20020628	(60)
	US 2002-418481P	20021015	(60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Reza Green, Esq., Novo Nordisk Pharmaceuticals, Inc., 100 College Road West, Princeton, NJ, 08540

NUMBER OF CLAIMS: 46
EXEMPLARY CLAIM: 1
LINE COUNT: 15810
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Use of compounds to inhibit hormone-sensitive lipase, pharmaceutical compositions comprising the compounds, methods of treatment employing these compounds and compositions, and novel compounds. The present compounds are inhibitors of hormone-sensitive lipase and may be useful in the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **261627-02-5P**
(lipase inhibitor; prepn. of carbamates as HSL inhibitors for treatment of diabetes and related disorders)
RN 261627-02-5 USPATFULL
CN Carbamic acid, dimethyl-, 4-(3-chlorophenyl)-5-[[(4-methylphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl ester (9CI) (CA INDEX NAME)



L46 ANSWER 28 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2003:238486 USPATFULL
TITLE: Compounds and uses thereof for decreasing activity of hormone-sensitive lipase
INVENTOR(S): Ebdrup, Soren, Roskilde, DENMARK
de Jong, Johannes Cornelis, Bagsvaerd, DENMARK
Jacobsen, Poul, Slangerup, DENMARK
Hansen, Holger Claus, Vaerlose, DENMARK
Vedso, Per, Frederiksberg, DENMARK

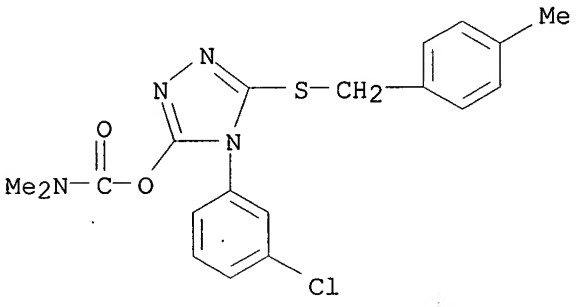
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003166644	A1	20030904	
APPLICATION INFO.:	US 2002-319885	A1	20021213	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	DK 2001-1879	20011214	
	DK 2002-645	20020430	
	DK 2002-1000	20020627	
	DK 2002-1562	20021011	
	US 2002-346909P	20020103	(60)
	US 2002-384243P	20020530	(60)
	US 2002-393068P	20020628	(60)
	US 2002-418481P	20021015	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Reza Green, Esq., Novo Nordisk Pharmaceuticals, Inc.,

100 College Road West, Princeton, NJ, 08540
NUMBER OF CLAIMS: 327
EXEMPLARY CLAIM: 1
LINE COUNT: 20859
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Use of compounds to inhibit hormone-sensitive lipase, pharmaceutical compositions comprising the compounds, methods of treatment employing these compounds and compositions, and novel compounds. The present compounds are inhibitors of hormone-sensitive lipase and may be useful in the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **261627-02-5P**
(lipase inhibitor; prepn. of carbamates as HSL inhibitors for treatment of diabetes and related disorders)
RN 261627-02-5 USPATFULL
CN Carbamic acid, dimethyl-, 4-(3-chlorophenyl)-5-[[(4-methylphenyl)methyl]thio]-4H-1,2,4-triazol-3-yl ester (9CI) (CA INDEX NAME)



L46 ANSWER 29 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2003:238415 USPATFULL
TITLE: Oxadiazole derivatives and drugs containing these derivatives as the active ingredient
INVENTOR(S): Ohmoto, Kazuyuki, Osaka, JAPAN
Itagaki, Iori, Nagano, JAPAN

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003166573	A1	20030904	
APPLICATION INFO.:	US 2002-148612	A1	20020821	(10)
	WO 2000-JP8514		20001201	

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-344389	19991203

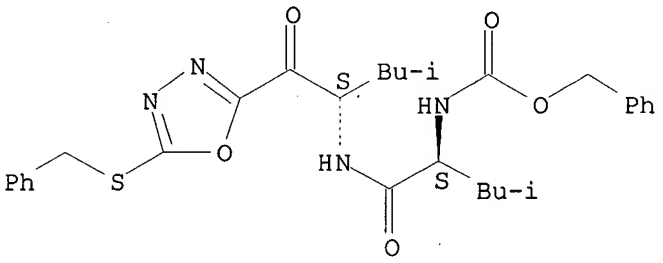
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SUGHRUE MION, PLLC, 2100 PENNSYLVANIA AVENUE, N.W., WASHINGTON, DC, 20037
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 7201
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB An oxadiazole derivative of formula (I) and a non-toxic salt thereof, ##STR1##

wherein R is hydrogen, alkyl, CycA, etc.; AA.sup.1 is a single bond, amino acid residue, etc.; AA.sup.2 is a single bond, amino acid residue, etc.; R.sup.7 and R.sup.8 are hydrogen, alkyl, etc.; R.sup.9 is hydrogen, alkyl, etc.; R.sup.10 is hydrogen, alkyl, etc.).

The compound of formula (I) has an inhibitory activity against cysteine protease and therefore it is useful as an agent for the prophylaxis and/or treatment of inflammatory diseases, diseases induced by apoptosis, diseases induced by disorders of immune responses, autoimmune diseases, diseases induced by decomposition of proteins which compose organism, shock, circulatory system disorders, blood coagulation system disorders, malignant tumors, acquired immune deficiency syndrome (AIDS) and AIDS-related complex (ARC), parasitic diseases, nerve degeneration diseases, pulmonary disorders, bone resorption diseases, endocrinesthenia, etc.

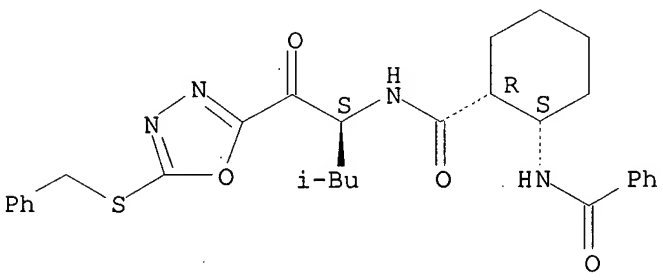
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **345213-93-6P 345213-94-7P 345216-43-5P 345216-45-7P**
(prepn. of dipeptide analogs contg. oxadiazole derivs. as cysteine protease inhibitors)
RN 345213-93-6 USPATFULL
CN Carbamic acid, [(1S)-3-methyl-1-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



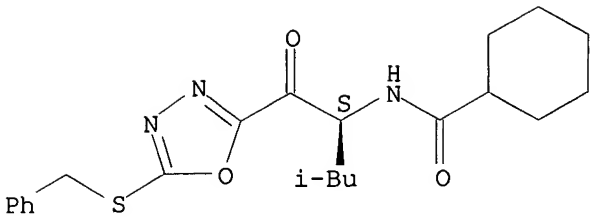
RN 345213-94-7 USPATFULL
CN Benzamide, N-[(1S,2R)-2-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



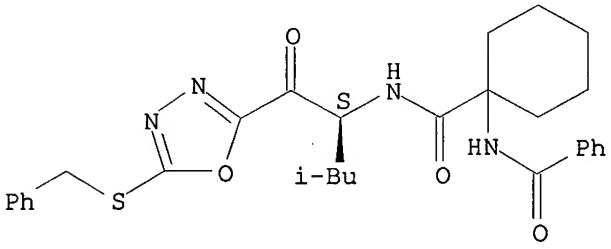
RN 345216-43-5 USPATFULL
CN Cyclohexanecarboxamide, N-[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 345216-45-7 USPATFULL
CN Benzamide, N-[1-[[[(1S)-3-methyl-1-[[5-[(phenylmethyl)thio]-1,3,4-oxadiazol-2-yl]carbonyl]butyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L46 ANSWER 30 OF 31 USPATFULL on STN

ACCESSION NUMBER:	2003:106814 USPATFULL		
TITLE:	Heterocyclic inhibitors of glycine transporter 2		
INVENTOR(S):	Laborde, Edgardo, Foster City, CA, UNITED STATES Villar, Hugo O., La Jolla, CA, UNITED STATES		

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003073726	A1	20030417
APPLICATION INFO.:	US 2002-72308	A1	20020205 (10)

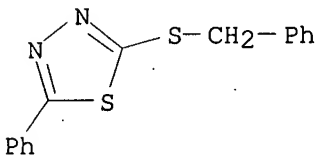
	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-267894P	20010209 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	HELLER EHRMAN WHITE & MCAULIFFE LLP, 275 MIDDLEFIELD ROAD, MENLO PARK, CA, 94025-3506
NUMBER OF CLAIMS:	28
EXEMPLARY CLAIM:	1
LINE COUNT:	1024

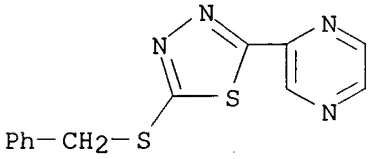
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds, compositions, and methods for inhibiting the glycine transporter 2 and for inhibiting glycine transporter mediated neuronal activity. These compounds are particularly useful for treating diseases of the nerve and muscle, including psychoses, pain, epilepsy, neurodegenerative diseases, stroke, head trauma, multiple sclerosis and the like, and of muscle disorders, including diseases or conditions associated with increased muscle contraction, such as spasticity and myoclonus. In addition, the compounds may be used to discover other agents with improved activity in assays in which the compounds of the invention are active.

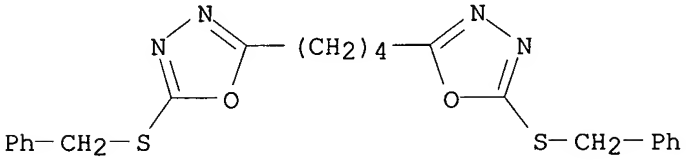
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **93141-03-8P 154192-35-5P 333409-40-8P**
353504-56-0P 448947-76-0P 448947-77-1P
448947-78-2P 448947-79-3P 448947-80-6P
448947-81-7P 448947-82-8P 448947-83-9P
(prepn. of 2-benzylthio-1,3,4-thiadiazoles and -triazoles and analogs as **glycine transporter** 2 inhibitors)
RN 93141-03-8 USPATFULL
CN 1,3,4-Thiadiazole, 2-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



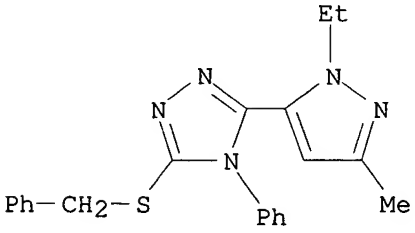
RN 154192-35-5 USPATFULL
CN Pyrazine, [5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



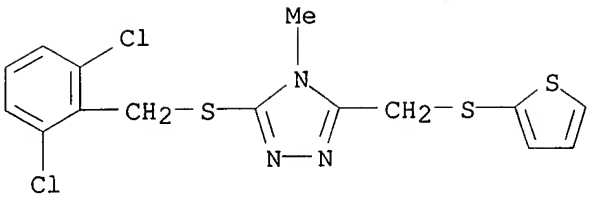
RN 333409-40-8 USPATFULL
CN 1,3,4-Oxadiazole, 2,2'-(1,4-butanediyl)bis[5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



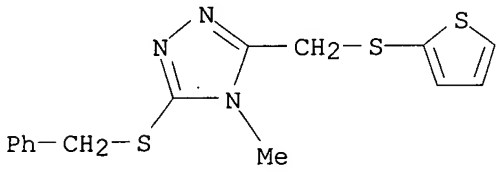
RN 353504-56-0 USPATFULL
CN 4H-1,2,4-Triazole, 3-(1-ethyl-3-methyl-1H-pyrazol-5-yl)-4-phenyl-5-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



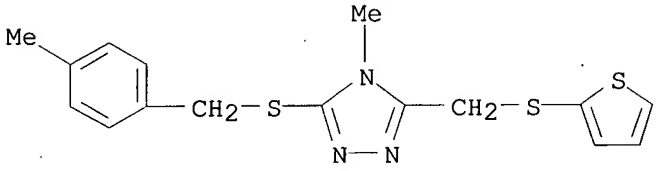
RN 448947-76-0 USPATFULL
CN 4H-1,2,4-Triazole, 3-[[(2,6-dichlorophenyl)methyl]thio]-4-methyl-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



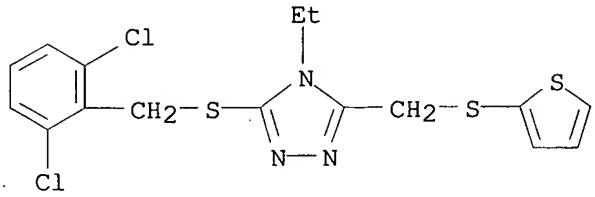
RN 448947-77-1 USPATFULL
CN 4H-1,2,4-Triazole, 4-methyl-3-[(phenylmethyl)thio]-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



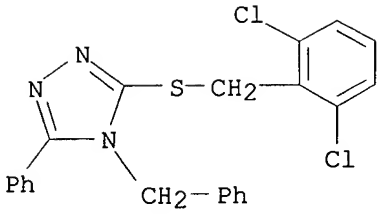
RN 448947-78-2 USPATFULL
CN 4H-1,2,4-Triazole, 4-methyl-3-[[(4-methylphenyl)methyl]thio]-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



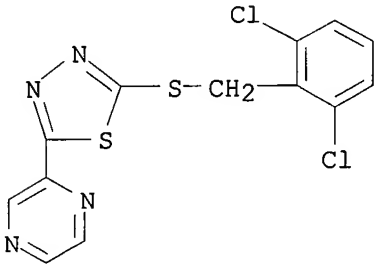
RN 448947-79-3 USPATFULL
CN 4H-1,2,4-Triazole, 3-[[(2,6-dichlorophenyl)methyl]thio]-4-ethyl-5-[(2-thienylthio)methyl]- (9CI) (CA INDEX NAME)



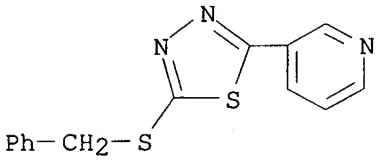
RN 448947-80-6 USPATFULL
CN 4H-1,2,4-Triazole, 3-[[(2,6-dichlorophenyl)methyl]thio]-5-phenyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



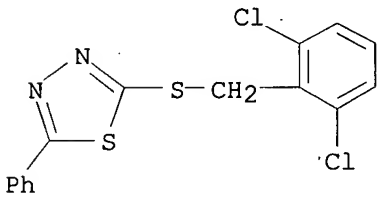
RN 448947-81-7 USPATFULL
CN Pyrazine, [5-[[(2,6-dichlorophenyl)methyl]thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 448947-82-8 USPATFULL
CN Pyridine, 3-[5-[(phenylmethyl)thio]-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



RN 448947-83-9 USPATFULL
CN 1,3,4-Thiadiazole, 2-[[(2,6-dichlorophenyl)methyl]thio]-5-phenyl- (9CI) (CA INDEX NAME)



L46 ANSWER 31 OF 31 USPATFULL on STN
ACCESSION NUMBER: 2000:131859 USPATFULL
TITLE: Use of CD4-binding small molecules to inhibit immune responses
INVENTOR(S): Huang, Ziwei, Philadelphia, PA, United States
Korngold, Robert, Cherry Hill, NJ, United States
PATENT ASSIGNEE(S): Thomas Jefferson University, Philadelphia, PA, United

States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6127387		20001003
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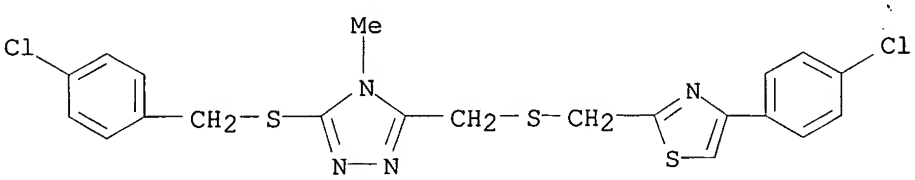
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The application concerns a method of identifying compounds that can be used to inhibit undesired human CD4.sup.+ T cell immune responses by identifying compounds that block the interaction of CD4 and class II MHC gene products and a method of treatment which comprises administering such an identified compound. The compounds that inhibit undesired human CD4.sup.+ T cell immune responses can be used to treat disease such as multiple sclerosis and to prevent graft rejection and graft versus host disease. More specifically, the application concerns compounds having molecular weights between about 500 and 150 that bind to the GFCC'C" portion of the D1 domain of human CD4 lymphocyte cell surface antigen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **185454-52-8**, TJU 101
(use of CD4-binding small mols. to inhibit immune responses)
RN 185454-52-8 USPATFULL
CN 4H-1,2,4-Triazole, 3-[[(4-chlorophenyl)methyl]thio]-5-[[[[4-(4-chlorophenyl)-2-thiazolyl]methyl]thio]methyl]-4-methyl- (9CI) (CA INDEX NAME)



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